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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 09	CA/CAplus records now contain indexing from 1907 to the present
NEWS	4	Jul 15	Data from 1960-1976 added to RDISCLOSURE
NEWS	5	Jul 21	Identification of STN records implemented
NEWS	6	Jul 21	Polymer class term count added to REGISTRY
NEWS	7	Jul 22	INPADOC: Basic index (/BI) enhanced; Simultaneous Left and Right Truncation available
NEWS	8	AUG 05	New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
NEWS	9	AUG 13	Field Availability (/FA) field enhanced in BEILSTEIN
NEWS	10	AUG 15	PATDPAFULL: one FREE connect hour, per account, in September 2003
NEWS	11	AUG 15	PCTGEN: one FREE connect hour, per account, in September 2003
NEWS	12	AUG 15	RDISCLOSURE: one FREE connect hour, per account, in September 2003
NEWS	13	AUG 15	TEMA: one FREE connect hour, per account, in September 2003
NEWS	14	AUG 18	Data available for download as a PDF in RDISCLOSURE
NEWS	15	AUG 18	Simultaneous left and right truncation added to PASCAL
NEWS	16	AUG 18	FROSTI and KOSMET enhanced with Simultaneous Left and Righ Truncation
NEWS	17	AUG 18	Simultaneous left and right truncation added to ANABSTR
NEWS	18	SEP 22	DIPPR file reloaded

NEWS EXPRESS	April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 12:22:43 ON 24 SEP 2003

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=> file reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.21       0.21

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STRUCTURE FILE UPDATES:   23 SEP 2003  HIGHEST RN 591719-82-3
DICTIONARY FILE UPDATES:  23 SEP 2003  HIGHEST RN 591719-82-3

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> e androstaane
E1       28788     ANDROST/BI
E2       12474     ANDROSTA/BI
E3           0 --> ANDROSTAANE/BI
E4         118     ANDROSTADI/BI
E5          11     ANDROSTADIE/BI
E6          50     ANDROSTADIEN/BI
E7          61     ANDROSTADIENE/BI
E8           3     ANDROSTADIENEDI/BI
E9           1     ANDROSTADIENEDIOL/BI
E10          2     ANDROSTADIENEDIONE/BI
E11          1     ANDROSTADIENOL/BI
E12          1     ANDROSTADIENOLONE/BI

=> e androstane
E1           1     ANDROSTANAZOLESTAN/BI
E2           1     ANDROSTANAZOLESTANAZOL/BI
E3       16925 --> ANDROSTANE/BI
E4           1     ANDROSTANEACETIC/BI
E5           1     ANDROSTANEACROLEIN/BI
E6           1     ANDROSTANECARB/BI
E7           1     ANDROSTANECARBAMIC/BI
E8           5     ANDROSTANECARBO/BI
E9           5     ANDROSTANECARBONITR/BI
E10          5     ANDROSTANECARBONITRILE/BI
E11          4     ANDROSTANECARBOX/BI
E12          3     ANDROSTANECARBOXALDEHYDE/BI

=> s e3
L1       16925 ANDROSTANE/BI

=> s 17 amino androstane
        459049 17
       4362170 AMINO
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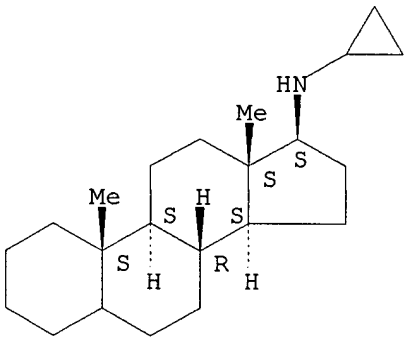
         16925 ANDROSTANE
L2           0 17 AMINO ANDROSTANE
                (17(W)AMINO(W)ANDROSTANE)

=> s amino androstane
       4362170 AMINO
         16925 ANDROSTANE
L3           4 AMINO ANDROSTANE
                (AMINO(W)ANDROSTANE)

=> d l3 1-4

L3   ANSWER 1 OF 4  REGISTRY  COPYRIGHT 2003 ACS on STN
RN   130887-50-2  REGISTRY
CN   Androstan-17-amine, N-cyclopropyl-, (17.beta.)- (9CI)  (CA INDEX NAME)
OTHER NAMES:
CN   17.beta.-(Cyclopropylamino)androstane
CN   N-Cyclopropylandrostan-17.beta.-amine
FS   STEREOSEARCH
MF   C22 H37 N
CI   COM
SR   CA

Absolute stereochemistry.
```

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**


L3   ANSWER 2 OF 4  REGISTRY  COPYRIGHT 2003 ACS on STN
RN   82663-45-4  REGISTRY
CN   Androstane-17-carboxylic acid, 2-ethoxy-3-hydroxy-11-[(3-
     methylbutyl)amino]-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17
     .beta.)-, pentanedioate (2:1) (1:1) (9CI)  (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN   Pentanedioic acid, compd. with (2.beta.,3.alpha.,5.alpha.,11.alpha.,1
     7.beta.)-methyl 2-ethoxy-3-hydroxy-11-[(3-methylbutyl)amino]androstane-17-
     carboxylate (1:2) (9CI)
FS   STEREOSEARCH
MF   C28 H49 N O4 . 1/2 C5 H8 O4
LC   STN Files:   CA, CAPLUS

     CM   1

     CRN  82662-94-0
     CMF  C28 H49 N O4

Absolute stereochemistry.
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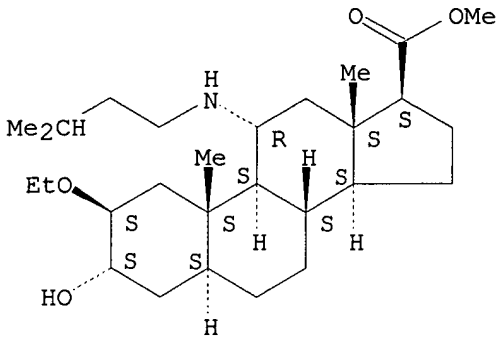


CM 2

CRN 110-94-1
CMF C5 H8 O4

$HO_2C-(CH_2)_3-CO_2H$

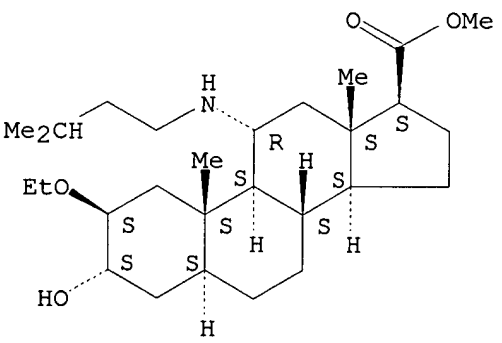
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 3 OF 4 REGISTRY COPYRIGHT 2003 ACS on STN
RN 82663-43-2 REGISTRY
CN Androstane-17-carboxylic acid, 2-ethoxy-3-hydroxy-11-[(3-methylbutyl)amino]-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)-, compd. with D-ascorbic acid (1:1) (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN **D-Ascorbic acid, compd. with (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)-methyl 2-ethoxy-3-hydroxy-11-[(3-methylbutyl)amino]androstane-17-carboxylate (1:1) (9CI)**
FS STEREOSEARCH
MF C28 H49 N O4 . C6 H8 O6
LC STN Files: CA, CAPLUS

CM 1

CRN 82662-94-0
CMF C28 H49 N O4

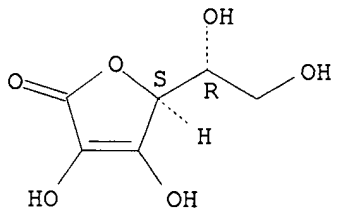
Absolute stereochemistry.



CM 2

CRN 10504-35-5
CMF C6 H8 O6

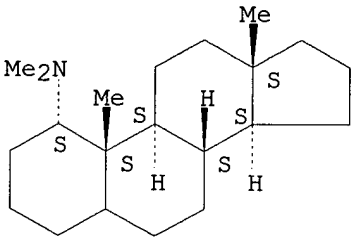
Absolute stereochemistry. Rotation (-).



1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 4 OF 4 REGISTRY COPYRIGHT 2003 ACS on STN
RN 62057-65-2 REGISTRY
CN Androstan-1-amine, N,N-dimethyl-, (1.alpha.)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN **1.alpha.-(Dimethylamino)androstane**
FS STEREOSEARCH
MF C21 H37 N
LC STN Files: BEILSTEIN*, CA, CAPLUS
(*File contains numerically searchable property data)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d his

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FILE 'REGISTRY' ENTERED AT 12:22:50 ON 24 SEP 2003
E ANDROSTAANE
E ANDROSTANE
L1 16925 S E3
L2 0 S 17 AMINO ANDROSTANE
L3 4 S AMINO ANDROSTANE

=> file caplus

COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION
FULL ESTIMATED COST | 34.44 | 34.65

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FILE COVERS 1907 - 24 Sep 2003 VOL 139 ISS 13
FILE LAST UPDATED: 23 Sep 2003 (20030923/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l1
L4 21559 L1

=>

=> s l3
L5 2 L3

=> d l5 1-2

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1982:492634 CAPLUS
DN 97:92634
TI 11.alpha.-Aminoandrostanes and compositions containing them
IN Phillipps, Gordon Hanley; Humber, David Cedric; Ewan, George Blanch; Coomber, Barry Anthony
PA Glaxo Group Ltd., UK
SO Fr. Demande, 64 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2487359	A1	19820129	FR 1981-13799	19810715
	FR 2487359	B1	19840713		
	BE 889639	A1	19820115	BE 1981-205415	19810715
	DK 8103151	A	19820117	DK 1981-3151	19810715
	SE 8104393	A	19820117	SE 1981-4393	19810715
	AU 8172877	A1	19820121	AU 1981-72877	19810715
	AU 541732	B2	19850117		
	GB 2080308	A	19820203	GB 1981-21812	19810715
	GB 2080308	B2	19840328		
	NL 8103358	A	19820216	NL 1981-3358	19810715
	JP 57040499	A2	19820306	JP 1981-110633	19810715

	DE 3127972	A1	19820415	DE 1981-3127972	19810715
	US 4353898	A	19821012	US 1981-283454	19810715
	ZA 8104844	A	19830223	ZA 1981-4844	19810715
	CA 1173433	A1	19840828	CA 1981-381747	19810715
	ZA 8104846	A	19830223	ZA 1981-4846	19810724
PRAI	GB 1980-23295		19800716		
	GB 1980-39383		19801209		
	GB 1981-6487		19810302		
	GB 1981-16413		19810529		

OS CASREACT 97:92634

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1977:72983 CAPLUS
DN 86:72983
TI Intramolecular hydrogen exchanges during the electron impact-induced fragmentation of complex alicyclic amines
AU Longevialle, Pierre; Marazano, Christian
CS Inst. Chim. Subst. Nat., CNRS, Gif-sur-Yvette, Fr.
SO Organic Mass Spectrometry (1976), 11(9), 964-74
CODEN: ORMSBG; ISSN: 0030-493X
DT Journal
LA English

=> d l5 1 all

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1982:492634 CAPLUS
DN 97:92634
TI 11.alpha.-Aminoandrostanes and compositions containing them
IN Phillipps, Gordon Hanley; Humber, David Cedric; Ewan, George Blanch; Coomber, Barry Anthony
PA Glaxo Group Ltd., UK
SO Fr. Demande, 64 pp.
CODEN: FRXXBL
DT Patent
LA French
IC C07J005-00; A61K031-57
CC 32-4 (Steroids)
Section cross-reference(s): 1, 63

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2487359	A1	19820129	FR 1981-13799	19810715
	FR 2487359	B1	19840713		
	BE 889639	A1	19820115	BE 1981-205415	19810715
	DK 8103151	A	19820117	DK 1981-3151	19810715
	SE 8104393	A	19820117	SE 1981-4393	19810715
	AU 8172877	A1	19820121	AU 1981-72877	19810715
	AU 541732	B2	19850117		
	GB 2080308	A	19820203	GB 1981-21812	19810715
	GB 2080308	B2	19840328		
	NL 8103358	A	19820216	NL 1981-3358	19810715
	JP 57040499	A2	19820306	JP 1981-110633	19810715
	DE 3127972	A1	19820415	DE 1981-3127972	19810715
	US 4353898	A	19821012	US 1981-283454	19810715
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	CA 1173433	A1	19840828	CA 1981-381747	19810715
	ZA 8104846	A	19830223	ZA 1981-4846	19810724
PRAI	GB 1980-23295		19800716		
	GB 1980-39383		19801209		
	GB 1981-6487		19810302		

GB 1981-16413 19810529
OS CASREACT 97:92634
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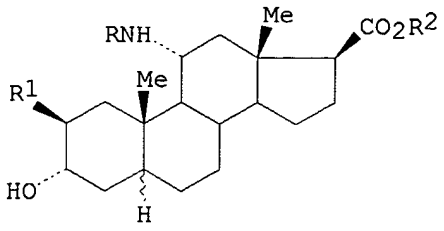

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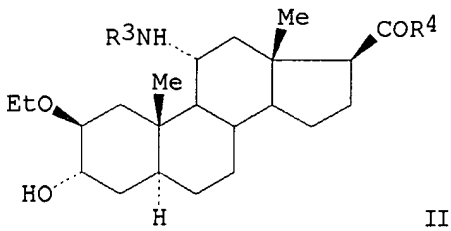

II

AB Aminoandrostanecarboxylates I (R = alkyl, cycloalkyl; R1 = H, alkoxy, acyloxy; R2 = alkyl, cycloalkyl) were prepd. as antiarrhythmics. Thus, acylating 11.alpha.-amino-2.beta.-ethoxy-3.alpha.-hydroxy-5.alpha.-pregnan-20-one with ClCO2CH2CCl3 and subsequent haloform oxidn. gave androstanecarboxylic acid II (R3 = Cl3CCH2O2C; R4 = HO). Esterifying the last and then deblocking by Zn-HOAc gave II (R3 = H, R4 = EtO), which was alkylated by Me2CHCH2CH2Br and transesterified to give II (R3 = Me2CHCH2CH2, R4 = MeO) (III). III had antiarrhythmic ED50 of 1.3 mg/kg in the rat against aconitive-induced arrhythmia.

ST antiarrhythmic aminoandrostanecarboxylate; androstanecarboxylate aminohydroxy antiarrhythmic

IT Antiarrhythmics
(aminoandrostanecarboxylic acids)

IT Steroids, preparation
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, of aminoandrostanecarboxylic acids)

IT 17341-93-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation by, of amino steroids)

IT 65066-98-0 65067-23-4 65067-24-5 82033-66-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of, by trichloroethyl chloroformate)

IT 82662-58-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(epoxidn. of)

IT 38540-80-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(oxime formation from)

IT 82667-09-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and acylation of, by trichloroethyl chloroformate)

IT 82662-56-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and alcoholysis-epoxide ring cleavage of)

IT 82033-72-5P 82033-74-7P 82662-73-5P 82662-74-6P 82662-78-0P 82662-79-1P 82662-80-4P 82662-82-6P 82662-83-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and alkylation of)

IT 82033-67-8P 82662-45-1P 82662-46-2P 82662-65-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and bromoform oxidn. of)

IT 82033-69-0P 82033-71-4P 82079-17-2P 82662-49-5P 82662-50-8P
82662-51-9P 82662-52-0P 82662-60-0P 82662-61-1P 82662-62-2P
82662-63-3P 82662-64-4P 82662-70-2P 82667-11-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deacylation of)

IT 82662-53-1P 82662-54-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and epoxidn. of)

IT 82033-68-9P 82662-47-3P 82662-48-4P 82662-66-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and esterification of)

IT 82662-55-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and methanolysis-epoxide ring cleavage of)

IT 82662-72-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and neutralization and alkylation of)

IT 82033-73-6P 82033-75-8P 82033-79-2P 82662-84-8P 82662-94-0P
82662-95-1P 82662-96-2P 82663-01-2P 82663-02-3P 82663-03-4P
82663-04-5P 82663-05-6P 82663-06-7P 82663-07-8P 82663-08-9P
82663-09-0P 82663-10-3P 82663-11-4P 82663-12-5P 82663-13-6P
82663-14-7P 82663-15-8P 82665-04-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and neutralization of)

IT 82662-67-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and oxidn. of)

IT 82662-68-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. of)

IT 82033-77-0P 82662-59-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reductive alkylation of)

IT 82033-70-3P 82033-72-5P 82033-82-7P 82048-77-9P 82048-80-4P
82662-57-5P 82662-69-9P 82662-71-3P 82662-75-7P 82662-76-8P
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82663-36-3P 82663-37-4P 82663-38-5P 82663-39-6P 82663-40-9P
82663-41-0P 82663-42-1P **82663-43-2P** 82663-44-3P
82663-45-4P 82667-10-5P 82667-12-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

IT 65066-64-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(redn. of)

IT 65066-85-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reductive alkylation of)

IT 66-25-1 67-64-1, reactions 120-92-3 123-72-8 502-42-1 1119-16-0

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     1191-95-3   2987-16-8
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (reductive amination of, by amino steroids)
IT   108-94-1, reactions
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (reductive amination of, with amino steroids)
IT   107-82-4
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (substitution reactions of, with amino steroids)


=> d his

     (FILE 'HOME' ENTERED AT 12:22:43 ON 24 SEP 2003)

     FILE 'REGISTRY' ENTERED AT 12:22:50 ON 24 SEP 2003
                E ANDROSTAANE
                E ANDROSTANE
L1         16925 S E3
L2             0 S 17 AMINO ANDROSTANE
L3             4 S AMINO ANDROSTANE

     FILE 'CAPLUS' ENTERED AT 12:26:28 ON 24 SEP 2003
L4         21559 S L1
L5             2 S L3

=> FIL REGISTRY

COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                   8.07      42.72

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                     ENTRY    SESSION
CA SUBSCRIBER PRICE                                  -0.65      -0.65

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STRUCTURE FILE UPDATES:   23 SEP 2003  HIGHEST RN 591719-82-3
DICTIONARY FILE UPDATES:  23 SEP 2003  HIGHEST RN 591719-82-3

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> S 130887-50-2/RN

L6             1 130887-50-2/RN
```

=> SET NOTICE 1 DISPLAY

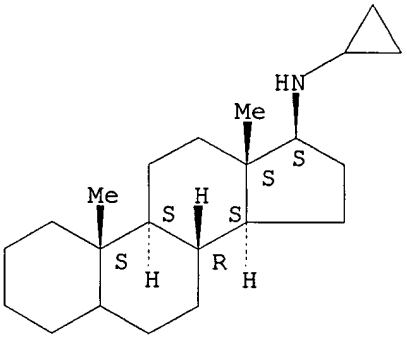
NOTICE SET TO 1 U.S. DOLLAR FOR DISPLAY COMMAND
SET COMMAND COMPLETED

=> D L6 SQIDE 1-

YOU HAVE REQUESTED DATA FROM 1 ANSWERS - CONTINUE? Y/(N):y
THE ESTIMATED COST FOR THIS REQUEST IS 5.63 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L6 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2003 ACS on STN
RN **130887-50-2** REGISTRY
CN Androstan-17-amine, N-cyclopropyl-, (17.beta.)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 17.beta.-(Cyclopropylamino)androstane
CN N-Cyclopropylandrostan-17.beta.-amine
FS STEREOSEARCH
MF C22 H37 N
CI COM
SR CA

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=> SET NOTICE LOGIN DISPLAY

NOTICE SET TO OFF FOR DISPLAY COMMAND
SET COMMAND COMPLETED

=>

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	2.48	45.20

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-0.65

FILE 'CAPLUS' ENTERED AT 12:31:56 ON 24 SEP 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 24 Sep 2003 VOL 139 ISS 13
FILE LAST UPDATED: 23 Sep 2003 (20030923/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> d his

     (FILE 'HOME' ENTERED AT 12:22:43 ON 24 SEP 2003)

     FILE 'REGISTRY' ENTERED AT 12:22:50 ON 24 SEP 2003
                E ANDROSTAANE
                E ANDROSTANE
L1         16925 S E3
L2             0 S 17 AMINO ANDROSTANE
L3             4 S AMINO ANDROSTANE

     FILE 'CAPLUS' ENTERED AT 12:26:28 ON 24 SEP 2003
L4         21559 S L1
L5             2 S L3

     FILE 'REGISTRY' ENTERED AT 12:30:59 ON 24 SEP 2003
L6             1 S 130887-50-2/RN
                 SET NOTICE 1 DISPLAY
                 SET NOTICE LOGIN DISPLAY

     FILE 'CAPLUS' ENTERED AT 12:31:56 ON 24 SEP 2003

=> s bacterial or bacteria or bacillus or antibacterial
        213926 BACTERIAL
        259608 BACTERIA
         77199 BACILLUS
         66960 ANTIBACTERIAL
L7      488868 BACTERIAL OR BACTERIA OR BACILLUS OR ANTIBACTERIAL

=> s l7 and l4
L8          264 L7 AND L4

=> e amine
E1            1     AMINDS/BI
E2            1     AMINDZHON/BI
E3       238606 --> AMINE/BI
E4            5     AMINE1/BI
E5            1     AMINE1MOL/BI
E6            4     AMINE2/BI
E7            1     AMINE3HCL/BI
E8            5     AMINEA/BI
```

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E9            2     AMINEACCELERATED/BI
E10           1     AMINEACCELERATORS/BI
E11           1     AMINEACETAMIDOPENICILLANIC/BI
E12          12     AMINEACETATE/BI

=> s e3
L9       238606 AMINE/BI

=> s l8 and l9
L10           4 L8 AND L9

=> d l10 1-4

L10  ANSWER 1 OF 4  CAPLUS  COPYRIGHT 2003 ACS on STN
AN   2003:590701  CAPLUS
DN   139:146206
TI   Bioconjugate-nanoparticle probes
IN   Garimella, Viswanadham; Storhoff, James J.
PA   USA
SO   U.S. Pat. Appl. Publ., 27 pp.
     CODEN: USXXCO
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.         KIND  DATE          APPLICATION NO.  DATE
     ---------------    ----  --------      ---------------  --------
PI   US 2003143598       A1   20030731      US 2002-291291   20021108
PRAI US 2001-348239P     P    20011109

L10  ANSWER 2 OF 4  CAPLUS  COPYRIGHT 2003 ACS on STN
AN   1966:93718  CAPLUS
DN   64:93718
OREF 64:17669b-h,17670a-h,17671a-c
TI   Steroids. XXIII. Steroid heterocyclics. 6'-Amino, 2',6'-diamino-, and
     2'-hydroxy-6'-amino [3,2-d], [17,16-d]dipyrimidines of androstane and
     estrane
AU   De Ruggieri, Pietro; Gandolfi, Carmelo; Guzzi, Umberto
CS   Ormonoterapia Richter S.p.A., Milan
SO   Gazzetta Chimica Italiana (1966), 96(1-2), 152-78
     CODEN: GCITA9; ISSN: 0016-5603
DT   Journal
LA   Italian

L10  ANSWER 3 OF 4  CAPLUS  COPYRIGHT 2003 ACS on STN
AN   1964:17107  CAPLUS
DN   60:17107
OREF 60:3049d-h,3050a-b
TI   17.beta.-Dialkylamino-17-cyano steroids and their 17.alpha.-alkyl,
     alkylene, and alkyne derivatives
IN   Lednicer, Daniel
PA   Upjohn Co.
SO   8 pp.
DT   Patent
LA   Unavailable
     PATENT NO.         KIND  DATE          APPLICATION NO.  DATE
     ---------------    ----  --------      ---------------  --------
PI   US 3107254               19631015      US               19601005

L10  ANSWER 4 OF 4  CAPLUS  COPYRIGHT 2003 ACS on STN
AN   1963:410815  CAPLUS
DN   59:10815
OREF 59:1994c-d
```

TI Antimicrobial action of nitrogen-containing steroids
AU Smith, Rodney F.; Shay, Donald E.; Doorenbos, Norman J.
CS Univ. of Maryland, Baltimore
SO Journal of Bacteriology (1963), 85, 1295-9
CODEN: JOBAAY; ISSN: 0021-9193
DT Journal
LA Unavailable

=> s l10 4 all
MISSING OPERATOR L10 4 ALL
The search profile that was entered contains terms or
nested terms that are not separated by a logical operator.

=> d l10 4 all

L10 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1963:410815 CAPLUS
DN 59:10815
OREF 59:1994c-d
TI Antimicrobial action of nitrogen-containing steroids
AU Smith, Rodney F.; Shay, Donald E.; Doorenbos, Norman J.
CS Univ. of Maryland, Baltimore
SO Journal of Bacteriology (1963), 85, 1295-9
CODEN: JOBAAY; ISSN: 0021-9193
DT Journal
LA Unavailable
CC 62 (Microbial Biochemistry)
AB A new group of 16 synthetic N-contg. steroids have been tested against a variety of microorganisms for antimicrobial properties. The gradient plate screening method, serial diln., and dry wt. techniques were used in the studies. The organisms tested consisted of 14 gram-neg. **bacteria**, 10 gram-pos. **bacteria**, 2 actinomycetes, 7 yeasts, and 8 molds. Inhibitory properties were found to be specific and potent in 4 compds., with inhibitory concns. as low as 0.37 .gamma./ml. Three of the active steroids are 4-azacholestanes and one is a 4-nor-3,5-secocholestane amide. Sensitivity to the compds. was greatest in the gram-pos. **bacteria**, followed by the yeasts and molds. The gram-neg. **bacteria** were not inhibited. All 16 steroids interfered to some extent with pigmentation in Serratia marcescens but not with pigment production in Pseudomonas aeruginosa. In a few instances, some of the molds were stimulated by the steroids at a concn. of 250 .gamma./ml.
IT Steroids
(nitrogen-contg., bactericidal action of)
IT Bactericidal action or Bacteriostatic action
(of steroids (N-contg.))
IT Bactericides, Disinfectants and Antiseptics
(steroids (N-contg.) as)
IT 1H-Benz[e]indene-6-propionamide, 3-(1,5-dimethylhexyl)dodecahydro-N-(2-hydroxyethyl)-3a,5b-dimethyl-7-oxo-
3-Aza-A-homo-5.alpha.-androstan-4-one, 17.beta.-acetamido-4-Azonia-5.alpha.-cholestane compounds, 3.beta.-benzyl-4,4-dimethyl-5.alpha.-Androst-2-eno[3,2-b]thiazol-17.beta.-ol, 2'-amino-17-methyl-Spiro[benzothiazoline-2,2'(1'H)-dicyclopenta[a,f]naphthalene], 6'-(1,5-dimethylhexyl)-3',3'a,3'b,4',5',5'a,6',7',8',8'a,8'b,9',10',10'a-tetradecahydro-3'a,5'a-dimethyl-
(bactericidal action of)
IT **1865-62-9**, Androst-4-en-3-one, 17.beta.-acetamido- 2102-24-1, 4-Azapregn-5-en-3-one, 20.beta.-hydroxy- 4379-76-4, 4-Azapregn-5-ene-3,20-dione, 4-(2-hydroxyethyl)- 5089-86-1, 4-Aza-5.alpha.-cholestane, 3.beta.,4-dimethyl- 5457-79-4, 5.alpha.-Cholestan-3.alpha.-**amine**

, hydrochloride 5758-90-7, 4-Aza-5.alpha.-cholestane, 3.beta.-benzyl-4-methyl- 10062-39-2, 3-Aza-A-homocholest-4a-en-4-one 15262-52-9, Ammonium, diethyl[2-(17.beta.-hydroxy-17-methyl-3-oxo-4-azaandrost-5-en-4-yl)ethyl]methyl, iodide 95044-25-0, Pregn-4-en-3-one, 20.beta.-hydroxy-, oxime 96290-48-1, 5.alpha.-Cholestan-3.beta.-**amine**, hydrochloride 100271-49-6, 1H-Cyclopenta[7,8]phenanthro[2,3-d]thiazol-1-ol, 8-amino-2,3,3a,3b,4,5,5a,6,10,10a,10b,11,12,12a-tetradecahydro-1,10a,12a-trimethyl- 100576-74-7, Cyclopenta[5,6]naphth[1,2-d]azepin-2(1H)-one, 8-acetamido-3,4,5,5a,5b,6,7,7a,8,9,10,10a,10b,11,12,12a-hexadecahydro-5a,7a-dimethyl- 103713-41-3, 3,5-Seco-A-norcholestan-3-amide, N-(2-hydroxyethyl)-5-oxo-
(bactericidal action of)

IT 217-04-9, Dicyclopenta[a,f]naphthalene
(spiro derivs., bactericidal action of)

IT 219-14-7, 2H-Indeno[5,4-f]quinoline
(steroid derivs., bactericidal action of)

=> d l10 3 all

L10 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1964:17107 CAPLUS
DN 60:17107
OREF 60:3049d-h,3050a-b
TI 17.beta.-Dialkylamino-17-cyano steroids and their 17.alpha.-alkyl, alkylene, and alkyne derivatives
IN Lednicer, Daniel
PA Upjohn Co.
SO 8 pp.
DT Patent
LA Unavailable
NCL 260397300
CC 42 (Steroids)

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3107254		19631015	US	19601005

GI For diagram(s), see printed CA Issue.

AB The title compds. are prepd. for use as antifungal, **antibacterial**, antiinflammatory, cholesterol lowering, central nervous system regulating, and diuretic agents. A stream of methylamine was bubbled through 10 g. androst-5-en-3.beta.-ol-17-one acetate at 195-200.degree. 6 hrs., the melt allowed to cool under N, dissolved in CH2Cl2, the soln. washed with H2O, and the CH2Cl2 evapd. to yield 17-methyliminoandrost-5-en-3.beta.-ol acetate (I). I was dissolved in 50 ml. CH2Cl2, treated with 60 ml. MeI, allowed to stand 3.5 hrs., the mixt. poured into Et2O, the solid dissolved in 100 ml. MeCN, the soln. poured into 6 g. KCN in 60 ml. H2O with stirring, dild. after 40 min. with 800 ml. H2O, and the ppt. filtered off and recrystd. from hexane (cooled to -20.degree.) to yield 5.36 g. 17.beta.-dimethylamino-17-cyanoandrost-5-en-3.beta.-ol acetate (II), m. 145-50.degree.. Prepd. similarly were: 17.beta.-dimethylamino-17-cyanoandrost-5-en-3.beta.,11.beta.-diol 3-acetate; 17.beta.-dimethylamino-17-cyano-5.alpha.-androstan-11.beta.-ol, m. 197-203.degree.; 17.beta.-dimethylamino-17-cyano-5.alpha.-androstane; 17.beta.-dimethylamino-17-cyano-3-methoxyestra-1,3,5-triene, m. 148-50.degree.; and 17.beta.-dimethylamino-17-cyano-3-methoxyestra-1,3,5-trien-11.beta.-ol. II (1 g.) in 30 ml. tetrahydrofuran was mixed with 10 ml. 3M MeMgBr in Et2O, the mixt. refluxed 2 hrs., the excess Grignard destroyed by careful addn. of H2O, addnl. H2O, Et2O, and CH2Cl2 added, the org. layer washed with brine, dried, evapd. in vacuo, and the residue recrystd. from aq. MeOH to yield 0.55 g 17.beta.-dimethylamino-17-methylandrost-5-en-3.beta.-ol (III), m. 149-51.5.degree.. Prepd. similarly was 17.beta.-

dimethylamino-17-methylandrost-5-ene-3.beta.,11.beta.-diol 3-acetate. III (1 g.) was dissolved in 8.5 ml. cyclohexanone and 50 ml. toluene, 4 ml. solvent distd., 0.55 g. Al(OPr-iso)3 in 10 ml. toluene added, the mixt. refluxed 2 hrs., a small amt. H2O added, the soln. concd. in vacuo, the residue extd. with Et2O and CH2Cl2, the exts. washed with brine, the org. layer extd. with 100 ml. 2.5N HCl, the exts. made alk., and the residue recrystd. from aq. MeOH to yield 0.71 g. 17.beta.-dimethylamino-17-methylandrost-4-en-3-one, m. 140.5-44.degree.. Prepd. similarly were: 17.beta.-dimethylamino-17-methylandrost-4-en-11.beta.-ol-3-one; 17.beta.-dimethylamino-17-ethynylandrost-5-en-3.beta.-ol, m. 206-8.degree.; 17.beta.-dimethylamino-17-ethynylandrost-5-ene-3.beta.,11.beta.-diol; 17.beta.-dimethylamino-17-ethynylandrost-4-en-3-one, m. 158-61.degree., and 17.beta.-dimethylamino-17-ethynylandrost-4-en-11.beta.-ol-3-one. Pd-C (5%) (0.3 g.) in 200 ml. C5H5N was shaken under H 45 min., then 1.5 g. 17.beta.-dimethylamino-17-ethynylandrost-4-en-3-one added, shaking continued 4 hrs., the Pd-C filtered off, the soln. concd. in vacuo to 5-10 ml., the residue dild. with H2O, and the ppt. recrystd. from aq. MeOH to give 0.77 g. 17.beta.-dimethylamino-17-vinylandrost-4-en-3-one, m. 154-6.degree.. Prepd. similarly were: 17.beta.-dimethylamino-17-vinylandrost-4-en-11.beta.-ol-3-one; 17.beta.-dimethylamino-17-methyl-5.alpha.-androstan-11.beta.-ol, m. 164-5.degree.; 17.beta.-dimethylamino-17-methyl-5.alpha.-androstane; 17.beta.-dimethylamino-17-ethynyl-5.alpha.-androstan-11.beta.-ol, m. 160-1.degree.; 17.beta.-dimethylamino-17-ethynyl-5.alpha.-androstane; 17.beta.-dimethylamino-17-methyl-3-methoxyestra-1,3,5-triene, m. 110.5-11.5.degree.; 17.beta.-dimethylamino-17-methyl-3-methoxyestra-1,3,5-trien-11.beta.-ol; 17.beta.-dimethylamino-17-methyl-3-methoxyestra-1,3,5-triene, m. 199.5-201.degree.; 17.beta.-dimethylamino-17-propynyl-3-methoxyestra-1,3,5-triene; and 17.beta.-dimethylamino-17-ethynyl-3-methoxyestra-1,3,5-trien-11.beta.-ol.

IT Steroids
(17.alpha.-cyano 17-(dialkylamino), and derivs.)

IT Spectra, infrared
(of 17.alpha.-cyano 17-(dialkylamino) steroids and their derivs.)

IT 17.alpha.-Pregn-5-en-20-yn-3.beta.-ol, 17-(dimethylamino)-, quartihydrate
19-Nor-17.alpha.-pregna-1,3,5(10)-trien-20-yn-17-**amine**,
3-methoxy-N,N-dimethyl-
Androst-5-en-3.beta.-ol, 17.beta.-(dimethylamino)-17-methyl-,
quartihydrate

IT 50304-30-8, Estra-1,3,5(10)-trien-17.beta.-**amine**,
3-methoxy-N,N,17-trimethyl- 95222-26-7, 5.alpha.-Androstan-11.beta.-ol,
17.beta.-(dimethylamino)-17-methyl- 95227-79-5, Androst-5-ene-17.alpha.-carbonitrile, 17-(dimethylamino)-3.beta.-hydroxy- 95228-26-5,
Estra-1,3,5(10)-triene-17.alpha.-carbonitrile, 17-(dimethylamino)-3-methoxy- 95287-88-0, Androst-4-en-3-one, 17.beta.-(dimethylamino)-17-methyl- 95557-49-6, 17.alpha.-Pregn-4-en-20-yn-3-one,
17-(dimethylamino)- 95807-96-8, Androst-5-en-3.beta.-ol,
17.beta.-(dimethylamino)-17-methyl- 96478-54-5, 17.alpha.-Pregn-5-en-20-yn-3.beta.-ol, 17-(dimethylamino)- 97353-41-8, 5.alpha.,17.alpha.-Pregn-20-yn-11.beta.-ol, 17.beta.-(dimethylamino)- 101298-44-6,
Androst-5-ene-17.alpha.-carbonitrile, 17-(dimethylamino)-3.beta.-hydroxy-, acetate 101500-88-3, 17.alpha.-Pregna-4,20-dien-3-one,
17-(dimethylamino)- **106972-61-6**, 5.alpha.-Androstane-17.alpha.-carbonitrile, 17-(dimethylamino)-11.beta.-hydroxy-
(prepn. of)

=> d l10 3 all

L10 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1964:17107 CAPLUS
DN 60:17107
OREF 60:3049d-h,3050a-b

TI 17.beta.-Dialkylamino-17-cyano steroids and their 17.alpha.-alkyl, alkylene, and alkyne derivatives
IN Lednicer, Daniel
PA Upjohn Co.
SO 8 pp.
DT Patent
LA Unavailable
NCL 260397300
CC 42 (Steroids)

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3107254		19631015	US	19601005

GI For diagram(s), see printed CA Issue.

AB The title compds. are prepd. for use as antifungal, **antibacterial**, antiinflammatory, cholesterol lowering, central nervous system regulating, and diuretic agents. A stream of methylamine was bubbled through 10 g. androst-5-en-3.beta.-ol-17-one acetate at 195-200.degree. 6 hrs., the melt allowed to cool under N, dissolved in CH2Cl2, the soln. washed with H2O, and the CH2Cl2 evapd. to yield 17-methyliminoandrost-5-en-3.beta.-ol acetate (I). I was dissolved in 50 ml. CH2Cl2, treated with 60 ml. MeI, allowed to stand 3.5 hrs., the mixt. poured into Et2O, the solid dissolved in 100 ml. MeCN, the soln. poured into 6 g. KCN in 60 ml. H2O with stirring, dild. after 40 min. with 800 ml. H2O, and the ppt. filtered off and recrystd. from hexane (cooled to -20.degree.) to yield 5.36 g. 17.beta.-dimethylamino-17-cyanoandrost-5-en-3.beta.-ol acetate (II), m. 145-50.degree.. Prepd. similarly were: 17.beta.-dimethylamino-17-cyanoandrost-5-en-3.beta.,11.beta.-diol 3-acetate; 17.beta.-dimethylamino-17-cyano-5.alpha.-androstan-11.beta.-ol, m. 197-203.degree.; 17.beta.-dimethylamino-17-cyano-5.alpha.-androstane; 17.beta.-dimethylamino-17-cyano-3-methoxyestra-1,3,5-triene, m. 148-50.degree.; and 17.beta.-dimethylamino-17-cyano-3-methoxyestra-1,3,5-trien-11.beta.-ol. II (1 g.) in 30 ml. tetrahydrofuran was mixed with 10 ml. 3M MeMgBr in Et2O, the mixt. refluxed 2 hrs., the excess Grignard destroyed by careful addn. of H2O, addnl. H2O, Et2O, and CH2Cl2 added, the org. layer washed with brine, dried, evapd. in vacuo, and the residue recrystd. from aq. MeOH to yield 0.55 g 17.beta.-dimethylamino-17-methylandrost-5-en-3.beta.-ol (III), m. 149-51.5.degree.. Prepd. similarly was 17.beta.-dimethylamino-17-methylandrost-5-ene-3.beta.,11.beta.-diol 3-acetate. III (1 g.) was dissolved in 8.5 ml. cyclohexanone and 50 ml. toluene, 4 ml. solvent distd., 0.55 g. Al(OPr-iso)3 in 10 ml. toluene added, the mixt. refluxed 2 hrs., a small amt. H2O added, the soln. concd. in vacuo, the residue extd. with Et2O and CH2Cl2, the exts. washed with brine, the org. layer extd. with 100 ml. 2.5N HCl, the exts. made alk., and the residue recrystd. from aq. MeOH to yield 0.71 g. 17.beta.-dimethylamino-17-methylandrost-4-en-3-one, m. 140.5-44.degree.. Prepd. similarly were: 17.beta.-dimethylamino-17-methylandrost-4-en-11.beta.-ol-3-one; 17.beta.-dimethylamino-17-ethynylandrost-5-en-3.beta.-ol, m. 206-8.degree.; 17.beta.-dimethylamino-17-ethynylandrost-5-ene-3.beta.,11.beta.-diol; 17.beta.-dimethylamino-17-ethynylandrost-4-en-3-one, m. 158-61.degree., and 17.beta.-dimethylamino-17-ethynylandrost-4-en-11.beta.-ol-3-one. Pd-C (5%) (0.3 g.) in 200 ml. C5H5N was shaken under H 45 min., then 1.5 g. 17.beta.-dimethylamino-17-ethynylandrost-4-en-3-one added, shaking continued 4 hrs., the Pd-C filtered off, the soln. concd. in vacuo to 5-10 ml., the residue dild. with H2O, and the ppt. recrystd. from aq. MeOH to give 0.77 g. 17.beta.-dimethylamino-17-vinylandrost-4-en-3-one, m. 154-6.degree.. Prepd. similarly were: 17.beta.-dimethylamino-17-vinylandrost-4-en-11.beta.-ol-3-one; 17.beta.-dimethylamino-17-methyl-5.alpha.-androstan-11.beta.-ol, m. 164-5.degree.; 17.beta.-dimethylamino-17-methyl-5.alpha.-androstane; 17.beta.-dimethylamino-17-ethynyl-5.alpha.-androstan-11.beta.-ol, m. 160-1.degree.; 17.beta.-dimethylamino-17-ethynyl-5.alpha.-androstane; 17.beta.-dimethylamino-17-methyl-3-methoxyestra-1,3,5-triene, m. 110.5-11.5.degree.; 17.beta.-dimethylamino-17-methyl-3-

methoxyestra-1,3,5-trien-11.beta.-ol; 17.beta.-dimethylamino-17-methyl-3-methoxyestra-1,3,5-triene, m. 199.5-201.degree.; 17.beta.-dimethylamino-17-propynyl-3-methoxyestra-1,3,5-triene; and 17.beta.-dimethylamino-17-ethynyl-3-methoxyestra-1,3,5-trien-11.beta.-ol.

IT Steroids
(17.alpha.-cyano 17-(dialkylamino), and derivs.)

IT Spectra, infrared
(of 17.alpha.-cyano 17-(dialkylamino) steroids and their derivs.)

IT 17.alpha.-Pregn-5-en-20-yn-3.beta.-ol, 17-(dimethylamino)-, quartihydrate
19-Nor-17.alpha.-pregna-1,3,5(10)-trien-20-yn-17-**amine**,
3-methoxy-N,N-dimethyl-
Androst-5-en-3.beta.-ol, 17.beta.-(dimethylamino)-17-methyl-,
quartihydrate

IT 50304-30-8, Estra-1,3,5(10)-trien-17.beta.-**amine**,
3-methoxy-N,N,17-trimethyl- 95222-26-7, 5.alpha.-Androstan-11.beta.-ol,
17.beta.-(dimethylamino)-17-methyl- 95227-79-5, Androst-5-ene-17.alpha.-carbonitrile, 17-(dimethylamino)-3.beta.-hydroxy- 95228-26-5,
Estra-1,3,5(10)-triene-17.alpha.-carbonitrile, 17-(dimethylamino)-3-methoxy- 95287-88-0, Androst-4-en-3-one, 17.beta.-(dimethylamino)-17-methyl- 95557-49-6, 17.alpha.-Pregn-4-en-20-yn-3-one,
17-(dimethylamino)- 95807-96-8, Androst-5-en-3.beta.-ol,
17.beta.-(dimethylamino)-17-methyl- 96478-54-5, 17.alpha.-Pregn-5-en-20-yn-3.beta.-ol, 17-(dimethylamino)- 97353-41-8, 5.alpha.,17.alpha.-Pregn-20-yn-11.beta.-ol, 17.beta.-(dimethylamino)- 101298-44-6,
Androst-5-ene-17.alpha.-carbonitrile, 17-(dimethylamino)-3.beta.-hydroxy-, acetate 101500-88-3, 17.alpha.-Pregna-4,20-dien-3-one,
17-(dimethylamino)- **106972-61-6**, 5.alpha.-Androstane-17.alpha.-carbonitrile, 17-(dimethylamino)-11.beta.-hydroxy-
(prepn. of)

=> d l10 2 all

L10 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1966:93718 CAPLUS
DN 64:93718
OREF 64:17669b-h,17670a-h,17671a-c
TI Steroids. XXIII. Steroid heterocyclics. 6'-Amino, 2',6'-diamino-, and 2'-hydroxy-6'-amino [3,2-d], [17,16-d]dipyrimidines of androstane and estrane
AU De Ruggieri, Pietro; Gandolfi, Carmelo; Guzzi, Umberto
CS Ormonoterapia Richter S.p.A., Milan
SO Gazzetta Chimica Italiana (1966), 96(1-2), 152-78
CODEN: GCITA9; ISSN: 0016-5603
DT Journal
LA Italian
CC 42 (Steroids)
GI For diagram(s), see printed CA Issue.
AB cf. CA 64, 11269g. The androstane and estrane derivs. contg. either one fused pyrimidine ring in 3,2-position on the steroid system, or two fused pyrimidine rings in the 3,2- and 17,16-positions on steroid skeleton were prepd. for testing as potential **antibacterial** agents.
2-Cyano-5.alpha.-androstan-17.beta.-ol-3-one (I) (0.5 g.) was refluxed with 0.5 g. S-methylthiourea sulfate and 510 mg. Na2CO3 in 50 ml. EtOH 18 hours to give 0.34 g. 3-S-methylthioureido-2-cyano-5.alpha.-androst-2-en-17.beta.-ol (II), m. 224-6.degree. (MeOH), [.alpha.]D 69.degree. (all [.alpha.]D in CHCl3). I (0.24 g.) in 20 ml. EtOH was refluxed 20 hrs. with 0.38 g. guanidine-HCl and 0.34 g. NaHCO3 and the formed precipitate filtered off to give 0.23 g. 3-guanidino-2-cyano-5.alpha.-androst-2-en-17.beta.-ol (III), m. 314-16.degree. (EtOAc), [.alpha.]D 10.degree. (C5H5N). Attempts to cyclize II and III to pyrimidine derivs. were unsuccessful. Therefore the enamine intermediates were prepd., which

could later be cyclized to the desired compds. 2-Cyano-3-oxo steroid (0.01 mole) in 40 ml. abs. EtOH was refluxed with 0.02 mole HCO2NH4 20-48 hrs. and products were crystd. from MeOH. Thus were prepd. 2-cyano-3-amino-5.alpha.-androst-2-en-17.beta.-ol (IV), m. 258-60.degree., [.alpha.]D 77.degree. (C5H5N), and its 17-acetate (V), m. 222-4.degree., [.alpha.]D 59.degree.; -5.alpha.-estr-2-en-17.beta.-ol (VI), m. 252.degree., [.alpha.]D 150.degree., and its 17-acetate (VII), m. 240-1.degree., [.alpha.]D 128.degree.; -17.alpha.-methyl-5.alpha.-androst-2-en-17.beta.-ol (VIII), m. 265-7.degree., [.alpha.]D 60.degree. (C5H5N); androsta-2,4-dien-17.beta.-ol (IX), m. 226-8.degree., [.alpha.]D 90.degree.; estra-2, 4-dien-17.beta.-ol (X), m. 185-90.degree., [.alpha.]D 72.degree. and its 17-acetate (XI), m. 199-201.degree., [.alpha.]D 37.degree.. The 17-acetates of 2-cyano-3-oxo steroids used for prepg. V, VII, and XI were synthesized in the following way: when 1 g. 2-cyano-3-oxo-5.alpha.-androst-2-en-17.beta.-ol (XII), 2-cyano-3-oxo-5.alpha.-estr-2-en-17.beta.-ol, and 2-cyano-3-oxoestra-2,4-dien-17.beta.-ol, resp., were treated with 4 ml. Ac2O in 8 ml. C5H5N overnight at room temp., the 3,17-diacetates of 2-cyano-5.alpha.-androst-2-ene-3,17-diol, m. 203-5.degree., [.alpha.]D 51.degree., 2-cyano-5.alpha.-estr-2-ene-3,17-diol, m. 189-91.degree., [.alpha.]D 100.degree., and 2-cyanoestra-2,4-diene-3,17-diol, m. 180-2.degree. [.alpha.]D -68.degree., were formed. These compds. (1 g.) were suspended in 20-30 ml. MeOH at 20.degree., 14 ml. 1% KOMe was added and stirred 8 min., then acidified with 2 ml. 15% AcOH, and ppts. were crystd. from MeOH. Thus 2-cyano-5.alpha.-androstan-3-on-17.beta.-ol 17-acetate, m. 184-6.degree. [.alpha.]D 59.degree.; 2-cyano-5.alpha.-estran-3-on-17.beta.-ol 17-acetate, m. 160-2.degree. [.alpha.]D 78.degree.; and 2-cyanoestr-4-en-3-on-17.beta.-ol 17-acetate, m. 159-61.degree. [.alpha.]D 65.degree., were prepd. 2-Cyano-3-oxosteroids gave on treatment with excess CH2N2 in Et2O for 1 hr. the corresponding 2-cyano-3-methoxy-2-ene derivs. (method a); the same 2-cyano-3-oxo steroids (0.02 mole) when refluxed with 18-25 ml. aliphatic alcohols in 120-180 ml. C6H6 or PhMe under catalysis of p-MeC6H4SO3H 4-8 hrs. gave enol ethers (method b); to a soln. of 2-cyano-3-oxo steroids (0.016 mole) in 84 ml. MeOH and 84 ml. 40% aq. KOH was added under stirring at 30-5.degree. a soln. 0.15 mole R2SO4 or 0.24 mole an alkyl halide and 84 ml. 40% aq. KOH, the mixt. stirred an addnl. 4 hrs. at 35.degree., then dild. with H2O, aq. layer extd. with C6H6, the C6H6 layer washed with 12% aq. KOH, H2O, evapd. to dryness and the product crystd. from MeOH (method c). 2-Cyano-4-en-3-oxo derivs. gave reasonable yields of enol ethers only with method a. 2-Cyano-3-ethoxycholest-2-ene (XIII) (2.62 g.), m. 192-4.degree., [.alpha.]D 77.degree., could also be prepd. on stirring a suspension of 5.28 g. cholestan[2,3-d]isoxazole and 9.7 ml. Et2SO4 in 150 ml. EtOH with 15 ml. 20% KOH added dropwise within 4 hrs. under external cooling <5.degree., followed by addnl. stirring 2 hrs. and working up as above. The following 2-cyano-3-enol ethers were prepd. (2-cyano steroid, alkoxy group, m.p., [.alpha.]D, and method given): 5.alpha.-androst-2-en-17.beta.-ol, 3-methoxy (XIV), 208-10.degree., 66.degree., (a,c); 17.alpha.-methyl-5.alpha.-androst-2-en-17.beta.-ol, 3-methoxy (XV), 207-9.degree., 48.degree., (a,c); androsta-2,4-dien-17.beta.-ol, 3-methoxy (XVI), 169-72.degree., 49.degree., (a); 5.alpha.-androst-2-en-17.beta.-ol, 3-butoxy (XVII), 93-6.degree., 55.degree., (b); androsta-2,4-dien-17.beta.-ol, 3-butoxy (XVIII), 112-14.degree., 66.degree., (b); 5.alpha.-estr-2-en-17.beta.-ol, 3-butoxy (XIX), 79-81.degree., 112.degree., (b); 5.alpha.-androst-2-en-17.beta.-ol, 3-ethoxy (XX), 177-9.degree., 63.degree., (b,c); androsta-2,4-dien-17.beta.-ol, 3-ethoxy (XXI), 98-100.degree.,--,(b); 5.alpha.-estr-2-en-17.beta.-ol, 3-ethoxy (XXII), 159-61.degree., 128.degree. (b,c); 17.alpha.-methyl-5.alpha.-androst-2-en-17.beta.-ol, 3-ethoxy (XXIII), 180-4.degree., 46.degree., (c); 5.alpha.-estr-2-en-17.beta.-ol, 3-methoxy (XXIV), 203-4.degree., 139.degree., (c); and androsta-2,4-dien-17.beta.-ol 17-acetate, 3-butoxy (XXV), 134-6.degree., 70.degree., --. XIV-XXV served as starting

materials for synthesis of heterocycles, e.g. XXVI: To a soln. of 1 g. I, IV, IX, XIV, XV, XVII, XIX, XX, XXII-XXIV in 30 ml. HCONH2 at 160.degree. were added 4 g. tris-(formylamino)methane (as donor of formamidine) and 50 mg. p-MeC6H4SO3H, the mixt. was kept 7 hrs. at 160.degree., poured into 120 ml. N NaOH, extd. with CHCl3, and the CHCl3 layer washed with aq. NaOH, H2O, dried, and evapd. to give XXVI in 50-75% yields (recrystn. from Me2CO). The yields for .DELTA.4-compds. were low; therefore an alternate method via 3-EtOCH:N derivs. had to be chosen, the latter being prepd. as follows: To a soln. of 200 mg. VIII in 20 ml. dioxane was added 0.8 ml. HC(OEt)3 and 0.54 ml. of the soln. prepd. from 2.7 ml. dioxane, 244 mg. p-Me-C6H4SO3H, and 0.55 ml. EtOH, the mixt. kept 20 hrs. at room temp., then 1 ml. C5H5N added, then H2O, and the mixt. extd. with CH2Cl2to give 180 mg. 2-cyano-3-(N-ethoxymethylidene)-amino-17.alpha.-methyl-5.alpha.-androst-2-en-17.beta.-ol (XXVH), m. 158-60.degree., [.alpha.]D 54.degree. (C5H5N). Similarly 2-cyano-3-(N-ethoxymethylidene)-aminocholest-2-ene (XXVIII), m. 170-2.degree., [.alpha.]D 70.degree., was prepd., while 2-cyano-3-(N-ethoxymethylidene)amino-5.alpha.-androst-2-en-17.beta.-ol 17-orthodiethoxyformate (XXIX), m. 119-21.degree., [.alpha.]D 53.degree., o rXXIX contg. .DELTA.4, (XXX) m. 118-20.degree., [.alpha.]D94.degree., or 2-cyano-3-(N-ethoxymethylidene)amino-5.alpha.-androst-2-en-17.beta.-ol 17-acetate (XXXI), m. 177-8.degree., [.alpha.]D 55.degree., were synthesized from the corresponding amines on refluxing with excess HC(OEt)3 and crystd. from MeOH. XXVI derivs. were prepd. on heating 0.5 g. XXVII-XXXI in 20 ml. EtOH (satd. with NH3) 4-6 hrs. at 120-30.degree. in an autoclave, the solvent was evapd., the residue dild. with H2O, and the ppt. crystd. from Me2CO (yields 85%). In XXIX and XXX the 17-orthoester underwent ammonolysis as well. In this way were prepd. the following 6'-amino[3,2-d]pyrimidines: 5.alpha.-androstan-17.beta.-ol, m. 256.degree., [.alpha.]D 50.degree.; 17.alpha.-methyl-5.alpha.-androstan-17.beta.-ol, m. 287-9.degree., [.alpha.]D 34.degree.; 5.alpha.-estran-17.beta.-ol, m. > 310.degree., [.alpha.]D 138.degree.; androst-4-en-17.beta.-ol, m. 152.degree. (decompn.), [.alpha.]D 171.degree., cholestane, m. 218-21.degree., [.alpha.]D 53.degree.; androst-4-en-17.beta.-ol 17-acetate, m. 255-7.degree., [.alpha.]D90.degree.; and 5.alpha.androstan-17.beta.-ol 17-acetate, m. 210.degree., [.alpha.]D 36.degree.. 2-Cyano-3-amino-2-ene derivs. (e.g. V, VIII) gave on reflux with EtOCOCl and K2CO3 in C6H6 or PhMe the corresponding 2-cyano-2-ene-3-aminourethans which in turn gave cytosine derivs. (XXXII) when heated 6 hrs. at 130.degree. in an autoclave. Thus were prepd. 17.alpha.-methyl-5.alpha.-androstan-17.beta.-ol[3,2-d]-2-hydroxy-6'-aminopyrimidine, m. >350.degree., cholestane[3,2-d]-2'-hydroxy-6'-aminopyrimidine, m. >350.degree., and 5.alpha.-androstan-17.beta.-ol [3,2-d]-2'-hydroxy-6'-aminopyrimidine 17-acetate, m. >360.degree., [.alpha.]D 40.degree. (PhCH2OH). When 1 g. I was refluxed 6 hrs. with 0.4 g. PhNH2 in 50 ml. PhMe with simultaneous azeotropic removal of H2O, 0.98 g. 3-phenylamino-2-ene deriv. (XXXIV) was obtained, m. 98-100.degree., [.alpha.]D-40.degree.. The latter compd. (0.4 g.) on heating with 0.25 g. CO(NH2)2 to 205-10.degree. yielded 85 mg. 5.alpha.-androstan-17.beta.-ol[3,2-d]-2'-hydroxy-6'-amino-pyrimidine (XXXIV), m. >300.degree., [.alpha.]D 62.degree. (PhCH2OH); when 0.34 g. XXXIV was heated in a sealed tube with 0.17 g. SC(NH2)2 to 200-3.degree., 5.alpha.-androstan-17.beta.-ol[3,2-d]-2'-mercapto-6'-amino-pyrimidine (XXXV), m. >280.degree., was formed. Derivs. of XXXVI were prepd. when a soln. 3.3 g. XVII, XIX, or XXIII, 1.1 g. guanidine-HCl, 50 ml. 3% NaOEt in EtOH, and 50 ml. EtOH was heated 20 hrs. at 150.degree. in an autoclave; then the solvent was evapd. and products were crystd. from MeOH. Thus were prepd.: 5.alpha.-androstan-17.beta.-ol[3,2-d]-2',6'-diaminopyrimidine (XX-XVII) (the pure product was obtained by chromatography on Al2O3 by elution with 94:6 C6H6-EtOH, m. 319-22.degree., [.alpha.]D 46.degree. (PhCH2OH); 17.alpha.-methyl-5.alpha.-androstan-17.beta.-ol[3,2-d]-2',6'-di-aminopyrimidine, m. 265.degree., [.alpha.]D 11.degree. (PhCH2OH); and 5.alpha.-estran-17.beta.-ol[3,2-d]-2',6'-diaminopyrimidine, m.

348-,50.degree., [.alpha.]D 94.degree. (PhCH2OH). The purer the XXXVII, the lower the **antibacterial** activity shown. The dipyrimidines were obtained as follows: 2.5 g. 2,16-bis(hydroxymethylene)-5.alpha.-androstan-3,17-dione, 3.5 g. tris(formylamino)methane, and 0.15 g. p-MeC6H4SO3H in 50 ml. HCONH2 was heated 8 hrs. to 160.degree., then the mixt. was poured into 300 ml. N NaOH and extd. with CHCl3, CHCl3 layer was washed with H2O, aq. NaOH, H2O, evapd. to give XXXVIII, m. 217-19.degree. (Me2CO), [.alpha.]D 90.degree.. Similarly XXXIX, m. 212-15.degree., [.alpha.]D 122.degree. (C5H5N), was prepd. from 2,16-bis(hydroxymethylene)-5.alpha.-estran-3,17-dione. XL (1.2 g.), m. >350.degree., was obtained when 2 g. 2-hydroxymethylene-5.alpha.-androstan-3-one[17,16-d]pyrimidine was refluxed with 1 g. guanidine acetate in 19 ml. EtOH 6 hrs. I gave on Jones oxidn. at 0.degree. 2.2 g. 2-cyano-5.alpha.-androstan-3,17-dione, m. 224-6.degree., [.alpha.]D 135.degree., which was kept with 3 ml. Ac2O in 6 ml. C5H5N overnight to give 2.12 g. 3-acetoxy-2-cyano-5.alpha.-androst-2-en-17-one, m. 230-2.degree.. The latter (1.6 g.) was stirred 4 hrs. with 1.6 g. NaOMe and 3.2 ml. HCO2Et in 10 ml. tetrahydrofuran, then 3 ml. H2O and 5 ml. EtOH were added, and the mixt. heated 20 min. to 70.degree., acidified, and dild. with H2O to ppt. 1.25 g. 2-cyano-16-hydroxymethylene-5.alpha.-androstane-3,17-dione, m. 243.degree. (MeOH), [.alpha.]D 84.degree. (C5H5N). The latter compd. was heated with 3 g. tris(formylamino)methane and 0.13 g. p-MeC6H4SO3H in 60 ml. HCONH2 8 hrs. at 160.degree., the mixt. then poured into 250 ml. N NaOH and extd. with EtOAc, the org. layer washed with H2O and evapd., and the residue chromatographed on Al2O3 to give in EtOAc eluate 200 mg. 2-cyano-5.alpha.-androstan-3-one[17,16-d]pyrimidine, m. >330.degree., and in 3:2 EtOAc-Me2CO eluate XLI, m. 352-4.degree., [.alpha.]D 93.degree. (PhCH2OH).

IT Pyrimidine, nucleosides

IT Steroids
([3,2-d]pyrimidine and [3,2-d][17,16-d]dipyrimidine)

IT Steroids
(heterocyclic)

IT Spectra, visible and ultraviolet
(of 18,19-dinor-5.beta.,8.alpha.,9.beta.,10.alpha.,14.beta.-cholest-13-(17)-ene derivs.)

IT Spectra, visible and ultraviolet
(of 2',6'-diamino-5.alpha.-androstano[3,2-d]pyrimidin-17.beta.-ol and related compds.)

IT Nuclear magnetic resonance
(of 5,14-dimethyl-18,19-dinor-5.beta.,8.alpha.,9.beta.,10.alpha.,14.beta.-cholest-13(17)-ene-3,6-dione and related compds.)

IT 1H-Cyclopenta[5,6]naphtho[1,2-g]quinazolin-1-ol, 10-amino-2,3,3a,3b,4,5,11,11a,11b,12,13,13a-dodecahydro-11a,13a-dimethyl-, acetate (ester)

1H-Cyclopenta[5,6]naphtho[1,2-g]quinazolin-1-ol, 10-amino-2,3,3a,3b,4,5,5a,6,11,11a,11b,12,13,13a-tetradecahydro-13a-dimethyl-

1H-Cyclopenta[5,6]naphtho[1,2-g]quinazolin-1-ol, 10-amino-2,3,3a,3b,4,5,5a,6,11,11a,11b,12,13,13a-tetradecahydro-13a-dimethyl-, acetate (ester)

2(1H)-Phenanthrone, 1.beta.-(4,8-dimethyl-3-oxononyl)-3,4,4a.alpha.,4b.beta.,5,6,7,8,8a,9,10,10a.beta.-dodecahydro-7.alpha.,9.beta.-dihydroxy-1,8.alpha.-dimethyl-

5.alpha.-Androst-2-ene-2-carbonitrile, 3-[(ethoxymethylene)amino]-17.beta.-hydroxy-17.beta.-methyl-

5.alpha.-Androstano[17,16-d]pyrimidine-2-carhonitrile, 3-oxo-

5.alpha.-Androstano[3,2-d]pyrimidin-17.beta.-ol, 2',6'-diamino-

5.alpha.-Androstano[3,2-d]pyrimidin-17.beta.-ol, 2',6'-diamino-17-methyl-

5.alpha.-Androstano[3,2-d]pyrimidin-17.beta.-ol, 6'-amino-

5.alpha.-Androstano[3,2-d]pyrimidin-17.beta.-ol, 6'-amino-, acetate (ester)

5.alpha.-Androstano[3,2-d]pyrimidin-17.beta.-ol, 6'-amino-17-methyl-

5.alpha.-Androstano[3,2-d]pyrimidin-2'-one, 6'-amino-17.beta.-hydroxy-
5.alpha.-Androstano[3,2-d]pyrimidin-2'-one, 6'-amino-17.beta.-hydroxy-, acetate (ester)
5.alpha.-Androstano[3,2-d]pyrimidin-2'-one, 6'-amino-17.beta.-hydroxy-17-methyl-
5.alpha.-Androstano[3,2-d]pyrimidine-2'-thione, 6'-amino-17.beta.-hydroxy-
5.alpha.-Androstano[3,2:4',5'][17,16:4'',5'']dipyrimidine, 6'-amino-
5.alpha.-Cholestano[3,2-d]pyrimidin-2'-one, 6'-amino-
5.alpha.-Estrano[3,2-d][17,16-d]dipyrimidine
5.alpha.-Estrano[3,2-d]pyrimidin-17.beta.-ol, 2',6'-diamino-
5.alpha.-Estrano[3,2-d]pyrimidin-17.beta.-ol, 6'-amino-
5H-Pyrimido[4'',5'':3',4']cyclopenta[1',2':5,6]naphtho[1,2-g]quinazoline, 2-amino-5a,5b,6,7,7a,12,12a,12b,13,14,14a,15-dodecahydro-5a,7a-dimethyl-
8H-Cyclopenta[5,6]naphtho[1,2-g]quinazolin-8-one, 10-amino-1,2,3,3a,3b,4,5,5a,6,7,11,11a,11b,12,13,13a-hexadecahydro-1-hydroxy-11a,13a-dimethyl-, acetate (ester)
8H-Cyclopenta[5,6]naphtho[1,2-g]quinazoline-8-thione, 10-amino-1,2,3,3a,3b,4,5,5a,6,7,11,11a,11b,12,13,13a-hexadechydro-1-hydroxy-11a,13a-dimethyl-
Androst-4-eno[3,2-d]pyrimidin-17.beta.-ol, 6'-amino-
Androst-4-eno[3,2-d]pyrimidin-17.beta.-ol, 6'-amino-, acetate (ester)
Androsta-2,4-diene-2-carbonltrile, 17.beta.-hydroxy-3-methoxy-
Androsta-2,4-diene-2-carbonltrile, 3-[(ethoxymethylene)amino]-17.beta.-hydroxy-, diethyl orthoformate (ester)
Androsta-2,4-diene-2-carbonltrile, 3-amino-17.beta.-hydroxy-
Androsta-2,4-diene-2-carbonltrile, 3-butoxy-17.beta.-hydroxy-
Androsta-2,4-diene-2-carbonltrile, 3-butoxy-17.beta.-hydroxy-, acetate
Androsta-2,4-diene-2-carbonltrile, 3-ethoxy-17.beta.-hydroxy-
Cholest-4-en-3-one, 6.beta.-[(3.beta.-hydroxy-5,14-dimethyl-18,19-dinor-5.beta.,8.alpha.,9,10.alpha.,14.beta.-cholest-13(17)-en-6.alpha.-yl)oxy]-, acetate
Estra-2,4-diene-2-carbonitrile, 3-**amine**-17.beta.-hydroxy-
Estra-2,4-diene-2-carbonitrile, 3-**amine**-17.beta.-hydroxy-, acetate (ester)

IT 4060-53-1, 5H-Pyrimido[4'',5'':3',4']cyclopenta[1',2':5,6]naphtho[1,2-g]quinazoline, 5a,5b,6,7,7a,12,12a,12b,13,14,14a,15-dodecahydro-7a-methyl- 4060-54-2, 5.alpha.-Androstano[3,2:4',5'][17,16:4'',5'']dipyrimidine, 2'-amino- 4060-59-7, 5.alpha.-Androst-2-ene-2-carbonitrile, 3-hydroxy-17-oxo-, acetate 4060-61-1, 5H-Pyrimido[4'',5'':3',4']cyclopenta[1',2':5,6]naphtho[1,2-g]quinazoline, 4-amino-5a,5b,6,7,7a,12,12a,12b,13,14,14a,15-dodecahydro-5a,7a-dimethyl- 4208-94-0, 5.alpha.-Androstano[3,2:4',5'][17,16:4'',5'']dipyrimidine 5740-67-0, 18,19-Dinor-5.beta.,8.alpha.,9.beta.,10.alpha.,14.beta.-cholest-13(17)ene-3.beta.,6.alpha.-diol, 5,14-dimethyl-, diacetate 5740-68-1, 18,19-Dinor-5.beta.,8.alpha.,9.beta.,10.alpha.,14.beta.-cholest-13(17)ene-3.beta.,6.alpha.-diol, 5,14-dimethyl- **5742-47-2**, 5.alpha.-Androstane-2.alpha.-carbonitrile, 17.beta.-hydroxy-3-oxo-, acetate 5742-48-3, 5.alpha.-Estrane-2.alpha.-carbonitrile, 17.beta.-hydroxy-3-oxo-, acetate 5742-49-4, Estr-4-ene-2.alpha.-carbonitrile, 17.beta.-hydroxy-3-oxo-, acetate 5742-50-7, 5.alpha.-Androst-2-ene-2-carbonitrile, 17.beta.-hydroxy-3-methoxy- 5742-51-8, 5.alpha.-Androst-2-ene-2-carbonitrile, 17.beta.-hydroxy-3-methoxy-17-methyl- 5742-54-1, 5.alpha.-Androst-2-ene-2-carbonitrile, 3-ethoxy-17.beta.-hydroxy- 5742-56-3, 5.alpha.-Androst-2-ene-2-carbonitrile, 3-ethoxy-17.beta.-hydroxy-17-methyl- 5742-57-4, 5.alpha.-Estr-2-ene-2-carbonitrile, 17.beta.-hydroxy-3-methoxy- 5742-59-6, Formimidic acid, N-(2-cyano-17.beta.-hydroxy-17-methyl-5.alpha.-androst-2-en-3-yl)-, ethyl ester 5742-60-9, 5.alpha.-Cholest-2-ene-2-carbonitrile, 3-[(ethoxymethylene)amino]- 5742-61-0, Formimidic acid, N-(2-cyano-17.beta.-hydroxy-5.alpha.-androst-2-en-3-yl)-, ethyl ester, di-Et orthoformate 5742-62-1, Formimidic acid, N-(2-cyano-17.beta.-hydroxyandrosta-2,4-dien-3-yl)-, ethyl ester, di-Et orthoformate

5742-63-2, 5.alpha.-Androst-2-ene-2-carbonitrile, 3-[(ethoxymethylene)amino]-17.beta.-hydroxy-, acetate (ester) 5742-64-3, 1H-Cyclopenta[5,6]naphtho[1,2-g]quinazolin-1-ol, 10-amino-2,3,3a,3b,4,5,5a,6,11,11a,11b,12,13,13a-tetradecahydro-13a-methyl- 5742-66-5, 5.alpha.-Cholestano[3,2-d]pyrimidine, 6'-amino- 5742-69-8, 8H-Cyclopenta[5,6]naphtho[1,2-g]quinazolin-8-one, 10-amino-1,2,3,3a,3b,4,5,5a,6,7,11,11a,11b,12,13,13a-hexadecahydro-1-hydroxy-1,11a,13a-trimethyl- 5742-71-2, 5.alpha.-Androst-2-ene-2-carbonitrile, 3-anilino-17.beta.-hydroxy- 5742-72-3, 1H-Cyclopenta[5,6]naphtho[1,2-g]quinazolin-1-ol, 8,10-diamino-2,3,3a,3b,4,5,5a,6,11,11a,11b,12,13,13a-tetradecahydro-11a,13a-dimethyl- 5742-73-4, 1H-Cyclopenta[5,6]naphtho[1,2-g]quinazolin-1-ol, 8,10-diamino-2,3,3a,3b,4,5,5a,6,11,11a,11b,12,13,13a-tetradecahydro-1,11a,13a-trimethyl- 5742-74-5, 1H-Cyclopenta[5,6]naphtho[1,2-g]quinazolin-1-ol, 8,10-diamino-2,3,3a,3b,4,5,5a,6,11,11a,11b,12,13,13a-tetradecahydro-13a-methyl- **5742-78-9**, 5.alpha.-Androstane-2-carbonitrile, 3,17-dioxo- **5742-80-3**, 5.alpha.-Androstane-2-carbonitrile, 16-(hydroxymethylene)-3,17-dioxo- 5742-81-4, 1H-Naphth[2',1':4,5]indeno[1,2-d]pyrimidine-3-carbonitrile, 2,3,4,4a,4b,5,6,6a,11,11a,11b,12,13,13a-tetradecahydro-4a,6a-dimethyl-2-oxo- 5742-90-5, 5.alpha.-Androst-2-ene-3-carbamic acid, 2-cyano-17.beta.-hydroxy-17-methyl-, ethyl ester 5742-98-3, 5.alpha.-Cholest-2-ene-3-carbamic acid, 2-cyano-, ethyl ester 5742-99-4, 5.alpha.-Androst-2-ene-3-carbamic acid, 2-cyano-17.beta.-hydroxy-, ethyl ester, acetate 5767-97-5, Guanidine, (2-cyano-17.beta.-hydroxy-5.alpha.-androst-2-en-3-yl)- 5767-98-6, 5.alpha.-Androst-2-ene-2-carbonitrile, 3-amino-17.beta.-hydroxy- 5767-99-7, 5.alpha.-Androst-2-ene-2-carbonitrile, 3-amino-17.beta.-hydroxy-, acetate (ester) 5768-00-3, 5.alpha.-Estr-2-ene-2-carbonitrile, 3-amino-17.beta.-hydroxy- 5768-01-4, 5.alpha.-Estr-2-ene-2-carbonitrile, 3-amino-17.beta.-hydroxy-, acetate (ester) 5768-04-7, 5.alpha.-Estr-2-ene-2-carbonitrile, 3,17.beta.-dihydroxy-, diacetate 5768-05-8, Estra-2,4-diene-2-carbonitrile, 3,17.beta.-dihydroxy-, diacetate 5768-07-0, 18,19-Dinor-5.beta.,8.alpha.,9.beta.,10.alpha.,14.beta.-cholest-13(17)-ene-3,6-dione, 5,14-dimethyl- 5785-38-6, 5.alpha.-Estr-2-ene-2-carbonitrile, 3-butoxy-17.beta.-hydroxy- 5785-39-7, 8H-Cyclopenta[5,6]naphtho[1,2-g]quinazolin-8-one, 10-amino-1,2,3,3a,3b,4,5,5a,6,7,11,11a,11b,12,13,13a-hexadecahydro-1-hydroxy-11a,13a-dimethyl- 6079-01-2, Pseudourea, 1-(2-cyano-17.beta.-hydroxy-5.alpha.-androst-2-en-3-yl)-2-methyl-2-thio- 6079-02-3, 5.alpha.-Androst-2-ene-2-carbonitrile, 3-butoxy-17.beta.-hydroxy- 6079-03-4, 5.alpha.-Estr-2-ene-2-carbonitrile, 3-ethoxy-17.beta.-hydroxy- 6079-05-6, 1H-Cyclopenta[5,6]naphtho[1,2-g]quinazolin-1-ol, 10-amino-2,3,3a,3b,4,5,5a,6,11,11a,11b,12,13,13a-tetradecahydro-1,11a,13a-trimethyl- 6107-04-6, 5.alpha.-Androst-2-ene-2-carbonitrile, 3,17.beta.-dihydroxy-, diacetate 6599-78-6, 8H-Cyclopenta[5,6]naphtho[1,2-g]quinazolin-8-one, 10-amino-1-(1,5-dimethylhexyl)-1,2,3,3a,3b,4,5,5a,6,7,11,11a,11b,12,13,13a-hexadecahydro-11a,13a-dimethyl- 7412-29-5, 5.alpha.-Androst-2-ene-2-carbonitrile, 3-amino-17.beta.-hydroxy-17-methyl- 7412-35-3, 5.alpha.-Cholest-2-ene-2-carbonitrile, 3-ethoxy- 101611-31-8, Formimidic acid, N-(2-cyano-17.beta.-hydroxy-5.alpha.-androst-2-en-3-yl)-, ethyl ester, acetate
(prepn. of)

IT 463-78-5, Orthoformic acid
(with steroids)

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L10 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:590701 CAPLUS
DN 139:146206

TI Bioconjugate-nanoparticle probes
IN Garimella, Viswanadham; Storhoff, James J.
PA USA
SO U.S. Pat. Appl. Publ., 27 pp.
CODEN: USXXCO
DT Patent
LA English
IC ICM C12Q001-68
ICS G01N033-53; C07H021-04; C07K016-46
NCL 435006000; 435007100; 536024300; 530387100
CC 9-15 (Biochemical Methods)
Section cross-reference(s): 3
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003143598	A1	20030731	US 2002-291291	20021108
PRAI	US 2001-348239P	P	20011109		

AB The invention provides nanoparticle-bioconjugate probes that are useful for detecting target analytes such as nucleic acids. The probes of the invention are stable towards heat and resistant to displacement by thiol contg. compds. such as DTT (dithiothreitol). Epiandrosterone disulfide deriv.-modified oligonucleotide probes were prepd. and used to bind to a target sequence. The probes had increased stability in the presence of DTT at elevated temp.

ST bioconjugate nanoparticle probe heat stable; thiol displacement resistant bioconjugate nanoparticle probe; nucleic acid detection bioconjugate nanoparticle probe; epiandrosterone disulfide deriv modified oligonucleotide probe stability; dithiothreitol heat stable epiandrosterone disulfide deriv probe

IT Freezing
(-thawing; bioconjugate-nanoparticle probes with increased stability)

IT Gene
RL: ANT (Analyte); ANST (Analytical study)
(assocd. with disease, detection of; bioconjugate-nanoparticle probes with increased stability)

IT Crosslinking agents
(bifunctional, **amine**-reactive, in prepn. of bioconjugate probe; bioconjugate-nanoparticle probes with increased stability)

IT Biochemistry
(biochem. compds.; bioconjugate-nanoparticle probes with increased stability)

IT Analysis
DNA microarray technology
DNA sequences
Nanoparticles
Nucleic acid hybridization
PCR (polymerase chain reaction)
Test kits
Thermal stability
(bioconjugate-nanoparticle probes with increased stability)

IT Antibodies
DNA
Nucleic acids
RNA
RL: ANT (Analyte); ANST (Analytical study)
(bioconjugate-nanoparticle probes with increased stability)

IT Cell
(bioconjugates contg. whole or fragments of; bioconjugate-nanoparticle probes with increased stability)

IT Aptamers
Virus
(bioconjugates contg.; bioconjugate-nanoparticle probes with increased

stability)
IT Amino acids, biological studies
Antigens
Carbohydrates, biological studies
Haptens
Ligands
Lipids, biological studies
Nucleoside triphosphates
Nucleosides, biological studies
Nucleotides, biological studies
Oligonucleotides
Organic compounds, biological studies
Peptide nucleic acids
Peptides, biological studies
Polymers, biological studies
Polynucleotides
Proteins
Receptors
Steroids, biological studies
cDNA
RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)
(bioconjugates contg.; bioconjugate-nanoparticle probes with increased stability)
IT Probes (nucleic acid)
RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(conjugates with nanoparticles; bioconjugate-nanoparticle probes with increased stability)
IT Antibodies
RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)
(conjugates, bioconjugates contg.; bioconjugate-nanoparticle probes with increased stability)
IT **Bacteria** (Eubacteria)
Fungi
Human
(detection of nucleic acid of; bioconjugate-nanoparticle probes with increased stability)
IT Polymers, biological studies
RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inorg., bioconjugates contg.; bioconjugate-nanoparticle probes with increased stability)
IT Proteins
RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)
(lipid-bound, bioconjugates contg.; bioconjugate-nanoparticle probes with increased stability)
IT Sulfhydryl group
(nanoparticles with affinity for; bioconjugate-nanoparticle probes with increased stability)
IT Colloids
(nanoparticles, conjugates; bioconjugate-nanoparticle probes with increased stability)
IT Alloys, biological studies
RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological

study); PREP (Preparation); USES (Uses)
(nanoparticles, conjugates; bioconjugate-nanoparticle probes with increased stability)

IT Magnetic materials
Semiconductor materials
(nanoparticles; bioconjugate-nanoparticle probes with increased stability)

IT Metals, biological studies
RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)
(nanoparticles; bioconjugate-nanoparticle probes with increased stability)

IT Affinity
(of nanoparticles for thiol groups; bioconjugate-nanoparticle probes with increased stability)

IT Anions
(polyvalent, bioconjugates contg.; bioconjugate-nanoparticle probes with increased stability)

IT Thiols (organic), miscellaneous
RL: MSC (Miscellaneous)
(probes resistance to displacement by; bioconjugate-nanoparticle probes with increased stability)

IT Salts, uses
RL: NUU (Other use, unclassified); USES (Uses)
(soln., in prepn. of bioconjugate probe; bioconjugate-nanoparticle probes with increased stability)

IT Substitution reaction
(thiolation, in prepn. of bioconjugate probe; bioconjugate-nanoparticle probes with increased stability)

IT Staining, biological
Staining, coloring
(with silver; bioconjugate-nanoparticle probes with increased stability)

IT 111-30-8, Pentanedial 124-04-9, Hexanedioic acid, reactions 822-06-0, 1,6-Hexane diisocyanate 4044-65-9, 1,4-Phenylene diisothiocyanate 40451-21-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(as **amine**-reactive bifunctional crosslinker, in prepn. of bioconjugate probe; bioconjugate-nanoparticle probes with increased stability)

IT 4781-83-3, 2-Iminothiolane hydrochloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(as thiolating agent in prepn. of bioconjugate probe; bioconjugate-nanoparticle probes with increased stability)

IT 570432-83-6DP, reaction with epiandrostane derivs.
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(attachment to gold nanoparticles; bioconjugate-nanoparticle probes with increased stability)

IT 7732-18-5, Water, uses
RL: NUU (Other use, unclassified); USES (Uses)
(bioconjugate contact with nanoparticle in; bioconjugate-nanoparticle probes with increased stability)

IT **481-29-8**, Epiandrosterone 74185-01-6, 1,2-Dithiane-4,5-diol 89992-70-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(bioconjugate-nanoparticle probes with increased stability)

IT 351334-71-9P 351336-64-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(bioconjugate-nanoparticle probes with increased stability)

IT 65-71-4P, Thymine 66-22-8P, Uracil, biological studies 71-30-7P, Cytosine 73-24-5P, Adenine, biological studies 73-40-5P, Guanine
RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)
(bioconjugates contg.; bioconjugate-nanoparticle probes with increased stability)

IT 14265-44-2, Phosphate, uses
RL: NUU (Other use, unclassified); USES (Uses)
(buffer, in prepn. of bioconjugate probe; bioconjugate-nanoparticle probes with increased stability)

IT 77-92-9, uses
RL: NUU (Other use, unclassified); USES (Uses)
(gold nanoparticles stabilized with; bioconjugate-nanoparticle probes with increased stability)

IT 7558-79-4
RL: NUU (Other use, unclassified); USES (Uses)
(in probe prepn.; bioconjugate-nanoparticle probes with increased stability)

IT 1303-00-0P, Gallium arsenide (GaAs), biological studies 1303-11-3P, Indium arsenide (InAs), biological studies 1306-23-6P, Cadmium sulfide (CdS), biological studies 1306-24-7P, Cadmium selenide (CdSe), biological studies 1306-25-8P, Cadmium telluride (CdTe), biological studies 1309-37-1P, Iron oxide (Fe2O3), biological studies 1314-13-2P, Zinc oxide (ZnO), biological studies 1314-87-0P, Lead sulfide (PbS) 1314-98-3P, Zinc sulfide, biological studies 1315-11-3P, Zinc telluride (ZnTe) 7440-06-4P, Platinum, biological studies 7440-21-3P, Silicon, biological studies 7440-48-4P, Cobalt, biological studies 7440-57-5P, Gold, biological studies 7774-29-0P, Mercury iodide (HgI2) 7783-96-2P, Silver iodide (AgI) 7785-23-1P, Silver bromide (AgBr) 12006-15-4P, Cadmium arsenide (Cd3As2) 12014-28-7P, Cadmium phosphide (Cd3P2) 12030-24-9P, Indium sulfide (In2S3) 12047-27-7P, Barium titanium oxide (BaTiO3), biological studies 12056-07-4P, Indium selenide (In2Se3) 12063-98-8P, Gallium phosphide (GaP), biological studies 12069-00-0P, Lead selenide (PbSe) 13463-67-7P, Titanium oxide, biological studies
RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)
(nanoparticles, conjugates; bioconjugate-nanoparticle probes with increased stability)

IT 7440-22-4DP, Silver, bioconjugates
RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)
(nanoparticles; bioconjugate-nanoparticle probes with increased stability)

IT 570432-84-7, DNA (synthetic)
RL: ANT (Analyte); BSU (Biological study, unclassified); PRP (Properties); ANST (Analytical study); BIOL (Biological study)
(nucleotide sequence, detection of; bioconjugate-nanoparticle probes with increased stability)

IT 3483-12-3, Dithiothreitol
RL: MSC (Miscellaneous)
(probes resistance to displacement by; bioconjugate-nanoparticle probes with increased stability)

IT 127-09-3, Sodium acetate 631-61-8, Ammonium acetate 7447-40-7, Potassium chloride, uses 7647-14-5, Sodium chloride, uses 7786-30-3, Magnesium chloride, uses 12125-02-9, Ammonium chloride, uses
RL: NUU (Other use, unclassified); USES (Uses)
(soln., in prepn. of bioconjugate probe; bioconjugate-nanoparticle probes with increased stability)

IT 7440-22-4, Silver, uses

```
     RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
        (stain; bioconjugate-nanoparticle probes with increased stability)
IT   570485-59-5
     RL: PRP (Properties)
        (unclaimed nucleotide sequence; bioconjugate-nanoparticle probes)
IT   570485-60-8   570485-61-9
     RL: PRP (Properties)
        (unclaimed sequence; bioconjugate-nanoparticle probes)


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     (FILE 'HOME' ENTERED AT 12:22:43 ON 24 SEP 2003)

     FILE 'REGISTRY' ENTERED AT 12:22:50 ON 24 SEP 2003
                E ANDROSTAANE
                E ANDROSTANE
L1         16925 S E3
L2             0 S 17 AMINO ANDROSTANE
L3             4 S AMINO ANDROSTANE

     FILE 'CAPLUS' ENTERED AT 12:26:28 ON 24 SEP 2003
L4         21559 S L1
L5             2 S L3

     FILE 'REGISTRY' ENTERED AT 12:30:59 ON 24 SEP 2003
L6             1 S 130887-50-2/RN
                 SET NOTICE 1 DISPLAY
                 SET NOTICE LOGIN DISPLAY

     FILE 'CAPLUS' ENTERED AT 12:31:56 ON 24 SEP 2003
L7        488868 S BACTERIAL OR BACTERIA OR BACILLUS OR ANTIBACTERIAL
L8           264 S L7 AND L4
                E AMINE
L9        238606 S E3
L10            4 S L8 AND L9

=> e amino
E1             1     AMINNS/BI
E2             1     AMINNYE/BI
E3        949155 --> AMINO/BI
E4             2     AMINO0/BI
E5             1     AMINO0TERMINAL/BI
E6            29     AMINO1/BI
E7             2     AMINO10/BI
E8             1     AMINO11/BI
E9             1     AMINO14C/BI
E10            1     AMINO1A/BI
E11            1     AMINO1H/BI
E12            1     AMINO1MIDAZOPYRIMIDINES/BI

=> s e3
L11       949155 AMINO/BI

=> s l8 and l11
L12           30 L8 AND L11

=> s l12 not l10
L13           27 L12 NOT L10

=> d l13 10-27
```

L13 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1998:745085 CAPLUS
DN 130:3983
TI Preparation of 4-azasteroids as testosterone 5.alpha.-reductase inhibitors
IN Pamidi, Chenchaiah Chinna; Jia, Qi
PA Novopharm Ltd., Can.
SO PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9850419	A2	19981112	WO 1998-CA438	19980506
	WO 9850419	A3	19990204		
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9873277	A1	19981127	AU 1998-73277	19980506
	EP 983295	A2	20000308	EP 1998-920417	19980506
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2001523259	T2	20011120	JP 1998-547577	19980506
	US 2002035260	A1	20020321	US 2001-828973	20010723
PRAI	US 1997-45810P	P	19970507		
	WO 1998-CA438	W	19980506		
	US 2000-423386	B1	20000128		

OS MARPAT 130:3983

L13 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:362746 CAPLUS
DN 127:104499
TI Rabbit sex hormone binding globulin: primary structure, tissue expression, and structure/function analyses by expression in Escherichia coli
AU Lee, W. M.; Wong, A. S. T.; Tu, A. W. K.; Cheung, C.-H.; Li, J. C. H.; Hammond, G. L.
CS Dep. of Zoology, University of Hong Kong, Hong Kong, Hong Kong
SO Journal of Endocrinology (1997), 153(3), 373-384
CODEN: JOENAK; ISSN: 0022-0795
PB Journal of Endocrinology
DT Journal
LA English

L13 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:357326 CAPLUS
DN 127:14211
TI Molecular modeling of mammalian CYP2B isoforms and their interaction with substrates, inhibitors and redox partners
AU Lewis, D. F. V.; Lake, B. G.
CS Molecular Toxicology Group, School Biological Sciences, University Surrey, Guildford, GU2 5XH, UK
SO Xenobiotica (1997), 27(5), 443-478
CODEN: XENOBH; ISSN: 0049-8254
PB Taylor & Francis
DT Journal
LA English

L13 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1996:232902 CAPLUS
DN 124:279463
TI Activation of two mutant androgen receptors from human prostatic carcinoma by adrenal androgens and metabolic derivatives of testosterone
AU Culig, Zoran; Stober, Jutta; Gast, Andreas; Peterziel, Heike; Hobisch, Alfred; Radmayr, Christian; Hittmair, Anton; Bartsch, Georg; Cato, Andrew C. B.; Klocker, Helmut
CS Department of Urology, University of Innsbruck, Innsbruck, A-6020, Austria
SO Cancer Detection and Prevention (1996), 20(1), 68-75
CODEN: CDPRD4; ISSN: 0361-090X
PB Blackwell
DT Journal
LA English

L13 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1990:547878 CAPLUS
DN 113:147878
TI Aphidicolin-resistant DNA polymerase of bacteriophage .vphi.29 APHr71 mutant is hypersensitive to phosphonoacetic acid and butylphenyldeoxyguanosine 5'-triphosphate
AU Matsumoto, K.; Kim, C. I.; Kobayashi, H.; Kanehiro, H.; Hirokawa, H.
CS Life Sci. Inst., Sophia Univ., Tokyo, 102, Japan
SO Virology (1990), 178(1), 337-9
CODEN: VIRLAX; ISSN: 0042-6822
DT Journal
LA English

L13 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1990:434805 CAPLUS
DN 113:34805
TI Specific region in hormone binding domain is essential for hormone binding and trans-activation by human androgen receptor
AU Govindan, Manjapra Variath
CS Med. Cent., Laval Univ., Quebec, QC, G1V 4G2, Can.
SO Molecular Endocrinology (1990), 4(3), 417-27
CODEN: MOENEN; ISSN: 0888-8809
DT Journal
LA English

L13 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1985:100661 CAPLUS
DN 102:100661
TI Endotoxin contamination of parenteral drugs and radiopharmaceuticals as determined by the Limulus amebocyte lysate method
AU Twohy, Christine W.; Duran, Anthony P.; Munson, Terry E.
CS Minneapolis Cent. Microbiol. Invest., Food and Drug Adm., Minneapolis, MN, USA
SO Journal of Parenteral Science and Technology (1984), 38(5), 190-201
CODEN: JPATDS; ISSN: 0279-7976
DT Journal
LA English

L13 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1983:404009 CAPLUS
DN 99:4009
TI Support-bound immunogenic material
IN Polson, Alfred; Van der Merwe, Kirsten Jacobus
PA South African Inventions Development Corp., S. Afr.
SO Ger. Offen., 71 pp.
CODEN: GWXXBX
DT Patent

LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3224788	A1	19830203	DE 1982-3224788	19820702
	ZA 8205075	A	19830525	ZA 1982-5075	19820716
	JP 58024524	A2	19830214	JP 1982-124979	19820717
PRAI	ZA 1981-4898		19810717		

L13 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1980:37301 CAPLUS
DN 92:37301
TI Quantitative evaluation of enteric microbial overgrowth
IN Wolgemuth, Richard L.; Hanson, Kenneth M.; Zassenhaus, Peter H.
PA Polysciences, Inc., USA
SO U.S., 5 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4171352	A	19791016	US 1977-826539	19770822
PRAI	US 1977-826539		19770822		

L13 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1978:402134 CAPLUS
DN 89:2134
TI Characterization of an associate 17-.beta.-hydroxysteroid dehydrogenase activity and affinity labelling of the 3-.alpha.-hydroxysteroid dehydrogenase of Pseudomonas testosteroni
AU Battais, E.; Terouanne, B.; Nicolas, J. C.; Descomps, B.; Crastes de Paulet, A.
CS Cent. Rech. Biol. Val d'Aurelle, INSERM, Montpellier, Fr.
SO Biochimie (1977), 59(11-12), 909-17
CODEN: BICMBE; ISSN: 0300-9084
DT Journal
LA English

L13 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1976:587179 CAPLUS
DN 85:187179
TI Structure-function activity of azasterols and nitrogen-containing steroids
AU Kabara, Jon J.; Holzschu, Donald L.; Catsoulacos, Demokritos P.
CS Dep. Biomech., Michigan State Univ., East Lansing, MI, USA
SO Lipids (1976), 11(10), 755-62
CODEN: LPDSAP; ISSN: 0024-4201
DT Journal
LA English

L13 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1976:487915 CAPLUS
DN 85:87915
TI Protective effect of drugs against cytotoxic activity of aflatoxin B1 on **bacterial** cells
AU Boutibonnes, P.; Auffray, Y.
CS Dep. Biol. Ecol., Univ. Caen, Caen, Fr.
SO IRCS Medical Science: Library Compendium (1976), 4(7), 306
CODEN: IRLCDZ; ISSN: 0305-6651
DT Journal
LA English

L13 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1969:502104 CAPLUS
DN 71:102104
TI Synthesis and **antibacterial** activity of acid and basic A-nor-androstane derivatives
AU Rufer, Clemens
CS Hauptlab., Schering A.-G., Berlin, Fed. Rep. Ger.
SO Justus Liebigs Annalen der Chemie (1969), 726, 145-51
CODEN: JLACBF; ISSN: 0075-4617
DT Journal
LA German

L13 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1968:487389 CAPLUS
DN 69:87389
TI Extraction of alkaloids from Funtumia latifolia
IN Mainil, Jean L. P.
PA Omnium Chimique Societe Anon.
SO Brit., 7 pp.
CODEN: BRXXAA
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 1120825		19680724	GB	19651105

L13 ANSWER 24 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1966:404174 CAPLUS
DN 65:4174
OREF 65:768e-h,769a-h,770a-h,771a-d,772a-c
TI Synthesis of derivatives of androstane series. VII. Dihydrazones of hydroxymethylene derivatives of the androstane series
AU Volovel'skii, L. N.
CS Ukrain. Inst. Exptl. Endocrinol., Kharkov
SO Sintez Prirodn. Soedin., ikh Analogov i Fragmentov, Akad. Nauk SSSR, Otd. Obshch. i Tekhn. Khim. (1965) 117-28
DT Journal
LA Russian

L13 ANSWER 25 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1966:84775 CAPLUS
DN 64:84775
OREF 64:15945b-h,15946a-b
TI Synthesis of 17-hydroxyimino steroids and their (O-alkyl derivatives
AU Nagata, Wataru; Sugasawa, Tsutomu; Narisada, Masayuki; Okada, Toshihiko; Sasakura, Kazuyuki; Murakami, Masayuki; Hayase, Yoshio
CS Shionogi Co., Ltd., Osaka, Japan
SO Chemical & Pharmaceutical Bulletin (1966), 14(2), 174-86
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English

L13 ANSWER 26 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1964:477789 CAPLUS
DN 61:77789
OREF 61:13591b-c
TI Preparation and biological activity of some new lysine-vasopressin analogs
AU Zaoral, M.; Sorm, F.
CS Czech. Acad. Sci., Prague
SO Proc. Intern. Pharmacol. Meeting, 2nd, Prague 1963 (1964), 16, 167-71
DT Journal

LA Unavailable

L13 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1950:41152 CAPLUS
DN 44:41152
OREF 44:7934c-e
TI Effect of vitamins and hormones (particularly vitamin K) on the growth of **bacteria** and pathogenic fungi
AU Nekam, Louis; Polgar, Pierre
CS Univ., Budapest, Hung.
SO Acta Dermato-Venereologica (1950), 30, 200-5
CODEN: ADVEA4; ISSN: 0001-5555
DT Journal
LA French

=> d l13 6-9

L13 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:136991 CAPLUS
DN 134:198075
TI Triglyceride-free compositions and methods for enhanced absorption of hydrophilic therapeutic agents
IN Patel, Mahesh V.; Chen, Feng-Jing
PA Lipocine, Inc., USA
SO PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 8

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001012155	A1	20010222	WO 2000-US18807	20000710
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6309663	B1	20011030	US 1999-375636	19990817
	EP 1210063	A1	20020605	EP 2000-947184	20000710
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	JP 2003506476	T2	20030218	JP 2001-516502	20000710
	US 2001024658	A1	20010927	US 2000-751968	20001229
	US 6458383	B2	20021001		
PRAI	US 1999-375636	A	19990817		
	WO 2000-US18807	W	20000710		

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2000:608551 CAPLUS
DN 133:213151
TI Pharmaceutical compositions and methods for improved delivery of hydrophobic therapeutic agents
IN Patel, Manesh V.; Chen, Feng-Jing
PA Lipocine, Inc., USA
SO PCT Int. Appl., 98 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 8

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000050007	A1	20000831	WO 2000-US165	20000105
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6294192	B1	20010925	US 1999-258654	19990226
	NZ 513810	A	20010928	NZ 2000-513810	20000105
	EP 1158959	A1	20011205	EP 2000-901394	20000105
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002537317	T2	20021105	JP 2000-600619	20000105
PRAI	US 1999-258654	A	19990226		
	WO 2000-US165	W	20000105		

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1999:233928 CAPLUS
DN 130:264045
TI Nucleic acid sequences encoding human and murine 9-cis retinol dehydrogenases and the enzyme's substrate and inhibitor specificity
IN Blaner, William S.; Zott, Roseann Piantedosi; Gamble, Mary V.; Mertz, James R.
PA The Trustees of Columbia University In the City of New York, USA
SO PCT Int. Appl., 157 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9916783	A1	19990408	WO 1998-US20271	19980929
	W: AU, CA, JP, MX, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 6171837	B1	20010109	US 1997-940424	19970929
	AU 9897779	A1	19990423	AU 1998-97779	19980929
PRAI	US 1997-940424	A2	19970929		
	WO 1998-US20271	W	19980929		

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1998:766507 CAPLUS
DN 130:29221
TI Preparation of solid porous matrixes for pharmaceutical uses
IN Unger, Evan C.
PA ImaRx Pharmaceutical Corp., USA
SO PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DT Patent

LA English
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9851282	A1	19981119	WO 1998-US9570	19980512
	W: AU, BR, CA, CN, JP, KR, NZ				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 2002039594	A1	20020404	US 1998-75477	19980511
	AU 9873787	A1	19981208	AU 1998-73787	19980512
	EP 983060	A1	20000308	EP 1998-921109	19980512
	R: DE, FR, GB, IT, NL				
	US 2001018072	A1	20010830	US 2001-828762	20010409
PRAI	US 1997-46379P	P	19970513		
	US 1998-75477	A	19980511		
	WO 1998-US9570	W	19980512		

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L13 ANSWER 25 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1966:84775 CAPLUS
DN 64:84775
OREF 64:15945b-h,15946a-b
TI Synthesis of 17-hydroxyimino steroids and their (O-alkyl derivatives
AU Nagata, Wataru; Sugasawa, Tsutomu; Narisada, Masayuki; Okada, Toshihiko; Sasakura, Kazuyuki; Murakami, Masayuki; Hayase, Yoshio
CS Shionogi Co., Ltd., Osaka, Japan
SO Chemical & Pharmaceutical Bulletin (1966), 14(2), 174-86
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
CC 42 (Steroids)
GI For diagram(s), see printed CA Issue.
AB Derivs. of I and II were prepd. and biol. evaluated. The processes used were as follows: (A) prepn. of oximes by the reaction of a 17-oxo steroid with NH2OH.HCl and AcONa in 10:1 EtOH-H2O; (B) synthesis of hemisuccinates by heating a hydroxy 17-oxo steroid with 3 equivs. (CH2CO)2O in C5H5N 8 hrs. at 70-80.degree.. (C) 3-Ethoxy-3,4-dien-17-oxo steroids were obtained by refluxing 1 part .DELTA.4-3,17-dioxo steroids with 3 parts HC(OEt)3 and 0.05 part pyridine hydrochloride in 25 parts C6H6 and 2.5 parts EtOH 15 min. Oximes of these derivs. were prepd. as in A, and the ethoxy group underwent hydrolysis in 2% HClO4 in EtOH at 0.degree. for 15 min. (D) O-Me derivs. of 17-hydroxyimino steroids were produced by alkylation with 5 equivs. MeI in MeOH-dioxane contg. 10 equivs. MeONa at 40-50.degree. 3 hrs. O-Dialkylaminoalkyl derivs. were prepd. similarly using dialkylaminoalkyl halides as alkylating agents. (.EPSILON.) 17-Methoxyimino steroids were synthesized also by refluxing 17-oxo steroids with 1.5 equivs. MeONH2.HCl in H2O contg. 3 equivs. AcONa for 2 hrs. The following I were obtained [R1, R2, R3, R4, R5 [X = NO(CH2)2NMe2, Y = NO(CH2)3C5H10N, Z = (CH2)2NMe2], process (L = literature method), and m.p. given]: O, .DELTA.4 NOH, Me, H2 (III), L, --; O, .DELTA.4 NOH, Me, O (IV), L,--; .beta.-OH,H, .alpha.-H, O, Me, H2,L --; (MeO)2, .alpha.-H, O, Me, H2, L, 125-6.degree.; (MeO)2, .beta.-H, O, Me, H2, L, 104-6.degree.; O, .alpha.H, NOH, Me, H2, L, 248-51.degree.; O, .beta.-H, NOH, Me, H2, --, 243-5.degree.; .beta.-HO2CCH2CO2,H, .alpha.-H, O, Me, H2, B, 255-7.degree.; .beta.-HO2CCH2CO2,H, .beta.-H, O, Me, H2, B, 224.5-28.degree. .beta.-HO2CCH2CO2,H, .alpha.-H, NOH, Me, H2, B,A, 243-5.degree.; .beta.-HO2 CCH2CO2,H, .beta.-H, NOH, Me, H2, B,A, 212-14.degree.; .beta.-OH,H, .alpha.-H, NOH, Me, H2, L, --; .beta.-OH,H,

.beta.-H, NOH, Me, H2, A, 214-16.degree.; .beta.-OH,H, .alpha.-H, X, Me, H2 (V), D, 137.5-9.5.degree. [HCl salt m. 238-46.degree. (decompn.); MeI salt m. 265-70.degree. (decompn.)]; .beta.-OH,H, .beta.-H, X, Me, H2, D, 100-3.degree.; .beta.-OH,H, .alpha.-H, NOMe, Me, H2 (VI), D,.EPSILON., 216-17.degree.; .beta.-OH,H, .beta.-H, NOMe, Me, H2, D, 169-71.degree.; .beta.-OH,H, .alpha.-H, NOMe, Me, H2, D, 204-9.degree.; .beta.-OH,H, .beta.-H, NOMe, Me, H2, D, 173-8.degree.; .beta.-OH,H, .alpha.-H, Y, Me, H2, D, 124-6.degree. (HCl salt m. 239-48.degree.); O, .alpha.-H, X, Me, H2 (VII), 2, 217-22.degree. (m.p. of HCl salt); .alpha.-Cl,H, .alpha.-H, X, Me, H2 (VIII), 210-16.degree. (HClO4 salt m. 216-20.degree.); H (.DELTA.2), .alpha.-H, O, Me, H2, L, 107-9.degree.; H (.DELTA.2), .alpha.-H, NOH, Me, H2, A, 156-60.degree. H(.DELTA.2), .alpha.-H, X, Me, H2, D, 206-12.degree. (m.p. HCl salt); H,H, .alpha.-H, O, Me, H2, L, 124-5.degree.; H,H, .alpha.-H, NOH, Me, H2, A, 179-80.degree.; H,H, .alpha.-H, X, Me, H2, D, 225-8.degree. (m.p. HCl salt); .beta.-OH,H, .DELTA.5, NOH, Me, H2, L, 201-3.degree.; O, .DELTA.4, (CH2)2O2, Me, H2 (IX), L, 149-50.degree.; O,.beta.-H, (CH2)2O2, Me, H2 (X),--, 103-5.degree.; .alpha.-OH,H, .beta.-H, O, Me, H2 (XI), L, 153-5.degree.; .alpha.-HO2CCH2CO2,H, .beta.-H, O, Me, H2, B, 169-70.degree.; .alpha.-HO2CCH2CO2,H, .beta.-H, NOH, Me, H2, B,A, 123-6.degree.; .alpha.-OH,H, .beta.-H, NOH, Me, H2, A, 229-30.degree.; H(.DELTA.3), .DELTA.5, O, Me, H2, L, 94-5.degree.; H(.DELTA.3), .DELTA.5, NOH, Me, H2, A, 158-64.degree. and 166-71.degree.; OEt(.DELTA.3), .DELTA.5, NOH, Me, H2, C, --; O, .DELTA.4, NOH, H, H2, C, 208-13.degree.; OEt (.DELTA.3),.DELTA.5,X, H, H2, D, --; O, .DELTA.4, X, H, H2, D, 193-201.degree.; OEt (.DELTA.3),.DELTA.5, NOH, Me, H2, C, --; O, .DELTA.4, NOH, Me, H2, C, 202-4.degree. OEt (.DELTA.3), .DELTA.5, X, Me, H2, D, --; O, .DELTA.4, X, Me, H2, D, 192-4.degree.; O, .DELTA.4, NOMe, Me, H2, D, 169-70.degree.; OEt(.DELTA.3), .DELTA.5, NOH, Me, O, C, 187-90.degree. (decompn.); O,.DELTA.4, NOH, Me, O, C, 250-2.degree. (decompn.); OEt(.DELTA.3), .DELTA.5, X, Me, O, D, --; O, .DELTA.4, X, Me, O, D, 98-100.degree.; NOH, .DELTA.4, NOH, Me, O, A, 156-7.degree.; O, .DELTA.4, O, Me, .alpha.-OH,H, L, --; O, .DELTA.4, O, Me, .alpha.-HO2CCH2CO2,H, B, 194-5.degree. OEt(.DELTA.3), .DELTA.5, O, Me, .alpha.-HO2CCH2CO2H, C, --; OEt(.DELTA.3), .DELTA.5, NOH, Me, .alpha.-HO2CCH2CO2,H, C,A, --; O, .DELTA.4, NOH, Me, .alpha.-HO2CCH2CO2,H, C, 136-9.degree.. The following II were prepd. (R, R1, process, and m.p. given): Me, X, D, 193-9.degree.; Z, X (XII), D, 44-9.degree. (dioxalate m. 186-92.degree.); Z, NOH, D, 167-73.degree.. V (1.785 g.) oxidized with 1.42 g. CrO3 in 32 ml. AcOH and 1.42 ml. H2O at room temp. for 3.5 hrs. gave VII, isolated as the HCl salt. V p-toluenesulfonate (1.34 g.) and 1.2 g. LiCl refluxed in 84 ml. abs. dioxane for 15 hrs. produced VIII, isolated as the HCl salt. Hydrogenation of IX in pyridine in the presence of 5% Pd--CaCO3 gave X, and X reduced with LiAl(OBu)3H in tetrahydrofuran, followed by hydrolysis of the product in 70% AcOH, produced XI. III and IV produced long-acting anesthesia in mice at 3 mg. intraperitoneally per mouse. Most of the compds. with a 17-Me2N(CH2)2ON group showed potent hypocholesterolemic activity in rats at 1 mg. subcutaneously per rat for 10 days. The mode of action of these compds. was inhibition of cholesterol biosynthesis similar to MER-29. XII was orally active. Me2N(CH2)2ON derivs. showed also antifungal and **antibacterial** activity, with VI having an antifungal spectrum greater than griseofulvin and almost as potent.

IT Steroids
(17-alkoxyimino)

IT 5.alpha.-Androstan-17-one, 3,3-dimethoxy-
5.alpha.-Androstan-17-one, 3.alpha.-chloro-, O-[2-(dimethylamino)ethyl]oxime, perchlorate
5.alpha.-Androstan-17-one, 3.beta.-hydroxy-, O-[2-(dimethylamino)ethyl]oxime, hydrochloride
5.alpha.-Androstan-17-one, 3.beta.-hydroxy-, O-[2-(dimethylamino)ethyl]oxime, methiodide
Estr-4-ene-3,17-dione, 17-[O-[2-(dimethylamino)ethyl]oxime], hydrochloride

Pregna-5,15-dien-20-one, 3.beta.,17-dihydroxy-6,16-dimethyl-, acetate, mixt. with 3.beta.,17-dihydroxy-6-methyl-16-methylenepregn-5-en-20-one 3-acetate

IT Succinic acid, .alpha.-ester with .alpha.-(1-**amino**-2-hydroxyethyl)-p-nitrobenzyl glucosiduronic acid (with steroids)

IT 57-88-5, Cholesterol (in blood, 17-[[2-(dimethylamino)ethoxy]imino]androstane deriv. effect on)

IT **53-42-9**, 5.beta.-Androstan-17-one, 3.alpha.-hydroxy- **963-74-6**, 5.alpha.-Androstan-17-one 963-75-7, 5.alpha.-Androst-2-en-17-one 1035-62-7, 5.alpha.-Androstan-17-one, oxime 1044-89-9, Androst-4-ene-3,17-dione, cyclic 17-(ethylene acetal) 2428-57-1, Androst-4-en-17-one, 3.beta.-hydroxy-, cyclic ethylene acetal 2830-48-0, Androst-5-en-17-one, 3.beta.-hydroxy-, oxime **3591-19-3**, 5.alpha.-Androstane-3,17-dione, 3-(dimethyl acetal) 5615-20-3, 5.beta.-Androstan-17-one, 3.beta.-hydroxy-, O-[2-(dimethylamino)ethyl]oxime 5615-21-4, 5.alpha.-Androstan-17-one, 3.beta.-hydroxy-, O-methyl oxime 5615-22-5, 5.beta.-Androstan-17-one, 3.beta.-hydroxy-, O-methyloxime 5615-23-6, 5.alpha.-Androstan-3.beta.-ol, 17-(methylimino)-, N-oxide 5615-24-7, 5.beta.-Androstan-3.beta.-ol, 17-(methylimino)-, N-oxide 5615-25-8, 5.alpha.-Androstan-17-one, 3.beta.-hydroxy-, O-(3-piperidinopropyl)oxime **5615-32-7**, 5.beta.-Androstane-3,17-dione, cyclic 17-(ethylene acetal) 5615-33-8, 5.beta.-Androstan-17-one, 3.alpha.-hydroxy-, hydrogen succinate 5615-34-9, 5.beta.-Androstan-17-one, 3.alpha.-hydroxy-, oxime 5615-36-1, Estr-4-ene-3,17-dione, 17-oxime 5615-38-3, Androst-4-ene-3,17-dione, 17-oxime 5615-40-7, Androst-4-ene-3,17-dione, 17-(O-methyloxime) 5615-41-8, Androsta-3,5-diene-11,17-dione, 3-ethoxy-, 17-oxime 5615-42-9, Androst-4-ene-3,11,17-trione, 17-oxime 5615-43-0, Androst-4-ene-3,11,17-trione, 17-[O-[2-(dimethylamino)ethyl]oxime] 5615-44-1, Androst-4-ene-3,11,17-trione, 3,17-dioxime 5615-45-2, Androst-4-ene-3,17-dione, 11.alpha.-hydroxy-, hydrogen succinate 5615-46-3, Androst-4-ene-3,17-dione, 11.alpha.-hydroxy-, 17-oxime, H succinate 5615-47-4, Estra-1,3,5(10-trien-17-one, 3-[2-(dimethylamino)ethoxy]-, oxime 5648-55-5, Estra-1,3,5(10-trien-17-one, 3-methoxy-, O-[2-(dimethylamino)ethyl]oxime, hydrochloride **5717-56-6**, 5.beta.-Androstane-3,17-dione, 3-(dimethyl acetal) 5717-76-0, 5.alpha.-Androstan-17-one, 3.alpha.-chloro-, O-[2-(dimethylamino)ethyl]oxime **5717-79-3**, 5.alpha.-Androstane-3,17-dione, 17-oxime 5717-80-6, 5.alpha.-Androstan-17-one, 3.beta.-hydroxy-, hydrogen succinate 5717-81-7, 5.beta.-Androstan-17-one, 3.beta.-hydroxy-, hydrogen succinate 5717-82-8, 5.alpha.-Androstan-17-one, 3.beta.-hydroxy-, oxime, H succinate 5717-83-9, 5.beta.-Androstan-17-one, 3.beta.-hydroxy-, oxime, H succinate 5717-84-0, 5.beta.-Androstan-17-one, 3.beta.-hydroxy-, oxime 5717-85-1, 5.alpha.-Androstan-17-one, 3.beta.-hydroxy-, O-[2-(dimethylamino)ethyl]oxime 6020-90-2, 5.alpha.-Androst-2-en-17-one, oxime 6020-92-4, 5.beta.-Androstan-17-one, 3.alpha.-hydroxy-, oxime, H succinate 6020-93-5, Androsta-3,5-dien-17-one, oxime **6067-80-7**, 5.beta.-Androstane-3,17-dione, 17-oxime **6767-43-7**, Ammonium, [2-[[(3.beta.-hydroxy-5.alpha.-androstan-17-ylidene)**amino**]oxy]ethyl]trimethyl, iodide 7129-12-6, Estra-1,3,5(10-trien-17-one, 3-[2-(dimethylamino)ethoxy]-, O-[2-(dimethylamino)ethyl]oxime 7196-70-5, Estra-1,3,5(10-trien-17-one, 3-[2-(dimethylamino)ethoxy]-, O-[2-(dimethylamino)ethyl]oxime, oxalate (1:2) 14788-84-2, Androst-4-ene-3,17-dione, 17-[O-[2-(dimethylamino)ethyl]oxime], hydrochloride 15428-26-9, 5.alpha.-Androstan-17-one, O-[2-(dimethylamino)ethyl]oxime, hydrochloride 15428-27-0, 5.alpha.-Androst-2-en-17-one, O-[2-(dimethylamino)ethyl]oxime, hydrochloride 15428-28-1, 5.alpha.-Androstan-17-one, 3.beta.-hydroxy-, O-(3-piperidinopropyl)oxime, hydrochloride **15428-32-7**,

5.alpha.-Androstane-3,17-dione, 17-[O-[2-(dimethylamino)ethyl]oxime], hydrochloride 15428-33-8, 5.alpha.-Androstan-17-one, 3.alpha.-chloro-, O-[2-(dimethylamino)ethyl]oxime, hydrochloride 94440-41-2, Androsta-2,5-dien-17-one
(prepn. of)

IT 7256-61-3, 5H-[2,3,7,8]Benzotetraazacycloundecino[5'',4'':4',5']cyclopenta[1',2':7,8]phenanthro-[2,3-d][2,3,7,8]benzotetraazacycloundecine 7266-15-1, 2H-[1,2,6,7]Tetraazacyclotridecino[4'',3'':4',5']cyclopenta[1',2':7,8]phenanthro[2,3-c]-[1,2,6,7]tetraazacyclotridecine 7488-57-5, 2H-[1,2,6,7]Tetraazacycloheptadecino[4'',3'':4',5']cyclopenta[1',2':7,8]phenanthro[2,3-c][1,2,6,7]tetraazacycloheptadecine
(steroid derivs.)

=> d l13 27 all

L13 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1950:41152 CAPLUS
DN 44:41152
OREF 44:7934c-e
TI Effect of vitamins and hormones (particularly vitamin K) on the growth of **bacteria** and pathogenic fungi
AU Nekam, Louis; Polgar, Pierre
CS Univ., Budapest, Hung.
SO Acta Dermato-Venereologica (1950), 30, 200-5
CODEN: ADVEA4; ISSN: 0001-5555
DT Journal
LA French
CC 11C (Biological Chemistry: Microbiology)
AB Solns. or emulsions of vitamins A, E, F, B1, B6, rutin, and diiodotyrosine and glanduatin in concns. of 0.05-0.5% have no effect on the growth of Trichophyton crateriform (I) and Staphylococcus aureus (II). Vitamin D2, folic acid and pantothenic acid increase growth. Estrone, metrokrin, p-aminobenzoic acid, and nicotinamide retard while androsterone, testosterone, vitamin C, and especially vitamin K arrest growth. The effect is independent of pH for the hormones. The inhibitory effect of the vitamins decreases with increasing pH between 4.49 (nicotinic acid) and 6.46 (pantothenic acid), except for vitamins B1 and B6 which increase growth at relatively low pH.

IT **Bacteria**
Fungi
(effect of hormones and vitamins on)

IT Hormones
Vitamins
(effect on **bacteria** and pathogenic fungi)

IT Estrogenic hormones or principles
(metrokrin, effect on growth of **bacteria** and pathogenic fungi)

IT Vitamin, K (antihemorrhagic)
(effect of, on **bacteria** and pathogenic fungi)

IT Benzoic acid, p-**amino**-, 3-dimethylamino-1,2-dimethylpropyl ester
Vitamin, D2 (calciferol)
(effect on **bacteria** and pathogenic fungi)

IT 50-81-7, Vitamin, C 53-16-7, Estrone **53-41-8**, Androsterone 58-22-0, Testosterone 59-30-3, Folic acid 79-83-4, Pantothenic acid 98-92-0, Nicotinamide
(effect on **bacteria** and pathogenic fungi)

=> d l13 22 all

L13 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1969:502104 CAPLUS
DN 71:102104
TI Synthesis and **antibacterial** activity of acid and basic A-nor-androstane derivatives
AU Rufer, Clemens
CS Hauptlab., Schering A.-G., Berlin, Fed. Rep. Ger.
SO Justus Liebigs Annalen der Chemie (1969), 726, 145-51
CODEN: JLACBF; ISSN: 0075-4617
DT Journal
LA German
CC 32 (Steroids)
AB Four A-norandrostane derivs. with basic side chains of various length at C-10, 3-**amino**-3,5-seco-A-norandrostan-17.beta.-ol (HCl salt m. 269-71.degree.),2-**amino**-2,5-seco-A-dinorandrostan-17.beta.-ol (m. 144-5.degree.), 1-**amino**-1,5-seco-A-trinorandrostan-17.beta.-ol (I) (m. 125-7.degree.), and 17.beta.-hydroxy-2,5-seco-A-dinorandrostan-2-ylguanidinium acetate (m. 100-6.degree.), were prepd. by standard synthetic methods and examd. for **antibacterial** activity against Mycobacterium tuberculosis, Battey **bacillus**, M. avium. and M. kasasii in vitro. With the exception of I, these compds. exhibited moderate activity against mycobacteria, but were generally less active than isonicotinic acid hydrazide or streptomycin.
ST steroid derivs synthesis; synthesis steroid derivs; **antibacterial** seco nor androstanes; seco nor androstanes **antibacterial**; nor seco androstanes **antibacterial**; androstanes seco nor **antibacterial**
IT 1,5-Seco-A-trinorsteroids
2,5-Seco-A-dinorsteroids
3,5-Seco-A-norsteroids
IT A-Norsteroids
(**amino** or carboxy derivs., **antibacterial** activity of)
IT Bactericidal action
(of A-norandrostane derivs.)
IT 22711-98-4P 22711-99-5P 22712-00-1P 24124-78-5P 24124-82-1P
24124-83-2P 24124-84-3P 24124-85-4P 24124-86-5P 24124-87-6P
24124-88-7P 24124-89-8P 24124-90-1P 24124-91-2P
24160-07-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

=> d l13 20 all

L13 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1976:587179 CAPLUS
DN 85:187179
TI Structure-function activity of azasterols and nitrogen-containing steroids
AU Kabara, Jon J.; Holzschu, Donald L.; Catsoulacos, Demokritos P.
CS Dep. Biomech., Michigan State Univ., East Lansing, MI, USA
SO Lipids (1976), 11(10), 755-62
CODEN: LPDSAP; ISSN: 0024-4201
DT Journal
LA English
CC 3-2 (Biochemical Interactions)
AB Thirty-nine nitrogen-contg. steroids were tested against 2 gram-neg., 5 gram-pos., and 2 yeast organisms. Although low minimal inhibitory concn. (MIC) values were recorded for sterol producing yeast, growth of **bacteria** which contain no sterols was also inhibited. Structure-function studies provided no relation between biol. activity and hypocholesteremic effects of these azasteroids. **Amino** and azasteroids may be membrane effectors which, in the case of mitochondria,

lead to changes in adenosine triphosphate levels and(or) dehydrogenase activity. Their effects on sterol metab., therefore, may be of secondary consideration.

ST azasterol antimicrobial structure activity; nitrogen steroid antimicrobial; bactericide nitrogen steroid

IT Molecular structure-biological activity relationship
(antimicrobial, of nitrogen-contg. steroids)

IT Azasteroids
RL: BIOL (Biological study)
(hydroxy, antimicrobial activity of)

IT Bactericides, Disinfectants and Antiseptics
Fungicides and Fungistats
(nitrogen-contg. steroids as)

IT Steroids, biological studies
RL: BIOL (Biological study)
(nitrogen-contg., antimicrobial activity of)

IT 313-05-3 1035-62-7 1249-82-7 **1865-62-9** 1973-59-7
1973-61-1 3915-24-0 4350-66-7 5668-07-5 5953-71-9 5986-91-4
7590-98-9 28444-84-0 28767-60-4 29588-39-4 30093-16-4 35476-25-6
37106-88-0 39933-02-3 39933-05-6 57700-05-7 57700-06-8
57700-15-9 61148-03-6 61148-04-7 61148-05-8 61148-06-9
61148-07-0 61148-08-1 61148-09-2 61148-10-5 61148-11-6
61148-12-7 61148-14-9 61148-15-0 61148-16-1 61177-50-2
61255-55-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antimicrobial activity of)

=> d his

(FILE 'HOME' ENTERED AT 12:22:43 ON 24 SEP 2003)

FILE 'REGISTRY' ENTERED AT 12:22:50 ON 24 SEP 2003
E ANDROSTAANE
E ANDROSTANE
L1 16925 S E3
L2 0 S 17 AMINO ANDROSTANE
L3 4 S AMINO ANDROSTANE

FILE 'CAPLUS' ENTERED AT 12:26:28 ON 24 SEP 2003
L4 21559 S L1
L5 2 S L3

FILE 'REGISTRY' ENTERED AT 12:30:59 ON 24 SEP 2003
L6 1 S 130887-50-2/RN
SET NOTICE 1 DISPLAY
SET NOTICE LOGIN DISPLAY

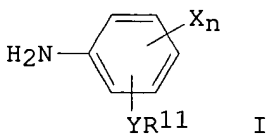
FILE 'CAPLUS' ENTERED AT 12:31:56 ON 24 SEP 2003
L7 488868 S BACTERIAL OR BACTERIA OR BACILLUS OR ANTIBACTERIAL
L8 264 S L7 AND L4
E AMINE
L9 238606 S E3
L10 4 S L8 AND L9
E AMINO
L11 949155 S E3
L12 30 S L8 AND L11
L13 27 S L12 NOT L10

=> d l13 18 all

L13 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1980:37301 CAPLUS
DN 92:37301
TI Quantitative evaluation of enteric microbial overgrowth
IN Wolgemuth, Richard L.; Hanson, Kenneth M.; Zassenhaus, Peter H.
PA Polysciences, Inc., USA
SO U.S., 5 pp.
CODEN: USXXAM
DT Patent
LA English
IC A61K029-00; G01N033-16
NCL 424009000
CC 9-6 (Biochemical Methods)
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4171352	A	19791016	US 1977-826539	19770822
PRAI US 1977-826539		19770822		

GI



I

AB An in vivo method for diagnosis of enteric microbial overgrowth is described that uses bile acid conjugate with an **amino** acid (I) (R = hydroxyl group, C1-4 alkoxy, C1-4 alkoxyalkoxy, C1-8 aminoalkylamino, C1-4 dialkylamino, -NHCH2COR, or a Na, K, or NH4 salt in which R = OH; Y = -CO- or -SO2-; X = OH, C1-4 alkyl, halogen, C1-4 alkoxy, etc.; n = 0, 1, or 2) such as p- aminobenzoic acid (PABA)-cholic acid conjugate. Intestinal microflora produce enzymes that deconjugate PABA-cholic acid, and the amt. of PABA excreted in the urine is compared with that in a normal subject. The PABA-cholic acid conjugate was synthesized by the procedure of Lack et al.(1973). The PABA-cholic acid conjugate (5g) is orally administered to an animal or person exhibiting symptoms of **bacterial** overgrowth, the urine is collected during the next 6 h, and, if .gtoreq. 0.04g PABA are excreted, enteric **bacterial** overgrowth is indicated. The procedure was tested in male Sprague-Dawley rats and gave a reliable indication of intestinal microbial overgrowth.

ST aminobenzoate cholate conjugate metab enteric microbiol; intestine microorganism overgrowth detn; **bacteria** overgrowth detn intestine

IT Bile acids
RL: ANST (Analytical study)
(arom.**amino** acid conjugates, intestinal microbial overgrowth detn. with)

IT **Amino** acids, compounds
RL: ANST (Analytical study)
(arom., bile acid conjugates, intestinal microbial overgrowth detn. with)

IT **Bacteria**
Microorganism
(intestinal, overgrowth of, detn. of, arom. **amino** acid-bile acid conjugates for)

IT 81-23-2D, arom. **amino** acid conjugates 81-25-4D, arom. **amino** acid conjugates 83-49-8D, arom. **amino** acid conjugates 150-13-0D, cholic acid conjugates 434-13-9D, arom.

amino acid conjugates 438-06-2D, arom. **amino** acid conjugates **438-08-4D**, arom. **amino** acid conjugates 468-98-4D, arom. **amino** acid conjugates 474-23-7D, arom. **amino** acid conjugates 474-25-9D, arom. **amino** acid conjugates 474-36-2D, arom. **amino** acid conjugates **511-18-2D**, arom. **amino** acid conjugates **546-18-9D**, arom. **amino** acid conjugates 566-17-6D, arom. **amino** acid conjugates 859-97-2D, arom. **amino** acid conjugates 911-40-0D, arom. **amino** acid conjugates 2458-08-4D, arom. **amino** acid conjugates 2958-05-6D, arom. **amino** acid conjugates 21059-35-8D, arom. **amino** acid conjugates 25312-65-6D, arom. **amino** acid conjugates 63042-31-9D, arom. **amino** acid conjugates 72264-47-2D, arom. **amino** acid conjugates 72265-77-1D, arom. **amino** acid conjugates
RL: ANST (Analytical study)
(intestinal microbial overgrowth detn. with)

=> d l13 13 all

L13 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1996:232902 CAPLUS
DN 124:279463
TI Activation of two mutant androgen receptors from human prostatic carcinoma by adrenal androgens and metabolic derivatives of testosterone
AU Culig, Zoran; Stober, Jutta; Gast, Andreas; Peterziel, Heike; Hobisch, Alfred; Radmayr, Christian; Hittmair, Anton; Bartsch, Georg; Cato, Andrew C. B.; Klocker, Helmut
CS Department of Urology, University of Innsbruck, Innsbruck, A-6020, Austria
SO Cancer Detection and Prevention (1996), 20(1), 68-75
CODEN: CDPRD4; ISSN: 0361-090X
PB Blackwell
DT Journal
LA English
CC 2-4 (Mammalian Hormones)
AB The androgen receptor (AR) plays a central regulatory role in prostatic carcinoma and is a target of androgen ablation therapy. Recent detection of mutant receptors in tumor specimens suggest a contribution of AR alterations to progression towards androgen independence. In a specimen derived from metastatic prostate cancer we have reported a point mutation in the AR gene that leads to a single **amino** acid exchange in the ligand binding domain of the receptor. Another **amino** acid exchange resulting from a point mutation was also identified 15 **amino** acids away from our mutation. This mutation was detected in the AR gene isolated from an organ-confined prostatic tumor. Here we report the functional characterization of the two mutant receptors in the presence of adrenal androgens and testosterone metabolites. These studies were performed by cotransfecting androgen-responsive reporter genes and either the wild-type or mutant AR expression vectors into receptor neg. DU-145 and CV-1 cells. The indicator genes used consisted of the promoter of the androgen-inducible prostate-specific antigen gene or the C' .DELTA.9 enhancer fragment from the promoter of the mouse sex-limited protein driving the expression of the **bacterial** chloramphenicol acetyl transferase gene. Cotransfection-transactivation assays revealed that the adrenal androgen androstenedione and two products of testosterone metab., androsterone and androstanediol, induced reporter gene activity more efficiently in the presence of the mutant receptors than in the presence of the wild-type receptor. No difference between wild-type and mutant receptors was obsd. in the presence of the metabolite androstenedione. The interaction of receptor-hormone complexes with target DNA was studied in vitro by electrophoretic mobility shift assays (EMSA). Dihydrotestosterone and the synthetic androgen mibolerone induced

a faster migrating complex with all receptors, whereas the androgen metabolite androstenedione induced this complex only with the two mutant receptors. Androsterone and androstanediol were inactive in the EMSA. These aberrant properties of the mutant receptors in the presence of adrenal androgens and products of androgen metab. may be of importance in the course of the prostate cancer, esp. during androgen ablation therapy.

ST androgen receptor mutant prostate carcinoma

IT Androgens
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(androgen receptor mutants from human prostate cancer and their interactions with androgens)

IT Deoxyribonucleic acids
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(interaction with mutant androgen receptor-hormone complexes from human prostate cancer)

IT Androgen receptors
RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence)
(mutant, from human prostate cancer and their interactions with androgens)

IT Receptors
RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence)
(androgen, mutant, from human prostate cancer and their interactions with androgens)

IT Prostate gland
(neoplasm, carcinoma, androgen receptor mutants from human prostate cancer and their interactions with androgens)

IT **53-41-8**, Androsterone 58-22-0, Testosterone 63-05-8, Androstenedione **521-18-6**, Dihydrotestosterone **1852-53-5** 3704-09-4, Mibolerone
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(androgen receptor mutants from human prostate cancer interactions with androgens)

=> d l13 26 all

L13 ANSWER 26 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1964:477789 CAPLUS
DN 61:77789
OREF 61:13591b-c
TI Preparation and biological activity of some new lysine-vasopressin analogs
AU Zaoral, M.; Sorm, F.
CS Czech. Acad. Sci., Prague
SO Proc. Intern. Pharmacol. Meeting, 2nd, Prague 1963 (1964), 16, 167-71
DT Journal
LA Unavailable
CC 58 (Hormones)
AB New analogs of vasopressin with increased or protracted antidiuretic or other activities were synthesized. The OH group of tyrosine in position 2 was alkylated or **amino** acids or simple peptides were added to the terminal **amino** acid. Compds. having either modification or a combination of both were prepared; the biol. activities were tested and are given in detail.

IT Vasopressins, 8-lysine
(and related compds., prepn. and biol. activity of)

IT **6706-61-2**, Androsterone, glucopyranuronoside
(in glucose metabolism by **bacteria**)

=> d his

(FILE 'HOME' ENTERED AT 12:22:43 ON 24 SEP 2003)

FILE 'REGISTRY' ENTERED AT 12:22:50 ON 24 SEP 2003
E ANDROSTAANE
E ANDROSTANE
L1 16925 S E3
L2 0 S 17 AMINO ANDROSTANE
L3 4 S AMINO ANDROSTANE

FILE 'CAPLUS' ENTERED AT 12:26:28 ON 24 SEP 2003
L4 21559 S L1
L5 2 S L3

FILE 'REGISTRY' ENTERED AT 12:30:59 ON 24 SEP 2003
L6 1 S 130887-50-2/RN
SET NOTICE 1 DISPLAY
SET NOTICE LOGIN DISPLAY

FILE 'CAPLUS' ENTERED AT 12:31:56 ON 24 SEP 2003
L7 488868 S BACTERIAL OR BACTERIA OR BACILLUS OR ANTIBACTERIAL
L8 264 S L7 AND L4
E AMINE
L9 238606 S E3
L10 4 S L8 AND L9
E AMINO
L11 949155 S E3
L12 30 S L8 AND L11
L13 27 S L12 NOT L10

=> s nitrogen
L14 524263 NITROGEN

=> s l8 and l14
L15 6 L8 AND L14

=> s l15 not l10
L16 5 L15 NOT L10

=> s l16 not l13
L17 3 L16 NOT L13

=> d l17 1-3

L17 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:356232 CAPLUS
DN 138:362635
TI Opioid inhibitors of ABC drug transporters in microbial cells, and use with antimicrobial compounds for the treatment of microbial infections
IN Schoenhard, Grant L.
PA Pain Therapeutics, Inc., USA
SO PCT Int. Appl., 131 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

PI	WO 2003037310	A2	20030508	WO 2002-US17153	20020531
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003130171	A1	20030710	US 2001-107	20011030
PRAI	US 2001-107	A	20011030		
OS	MARPAT 138:362635				

L17 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:521462 CAPLUS
DN 137:88442
TI Incensole and furanogermacrens and compounds in treatment for inhibiting neoplastic lesions and microorganisms
IN Shanahan-Pendergast, Elisabeth
PA Ire.
SO PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002053138	A2	20020711	WO 2002-IE1	20020102
	WO 2002053138	A3	20020919		
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, AT, BE, CH, CY, DE, ES, FI, ML, MR, NE, SN, TD, TG				
PRAI	IE 2001-2	A	20010102		
OS	MARPAT 137:88442				

L17 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:574406 CAPLUS
DN 127:187871
TI Functionalized hydrophilic acridinium esters
IN Law, Say-Jong; Sotiriou-Leventis, Chariklia; Natrajan, Anand; Jiang, Qingping; Connolly, Peter B.; Kilroy, John P.; McCudden, Constance R.; Tirrell, Stephen M.
PA Chiron Diagnostics Corp., USA
SO U.S., 28 pp., Cont.-in-part of U.S. 5,449,556.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5656426	A	19970812	US 1994-225165	19940408
	JP 09025422	A2	19970128	JP 1996-179488	19890731
	US 5227489	A	19930713	US 1992-826186	19920122
	US 5449556	A	19950912	US 1993-32231	19930317
	US 5595875	A	19970121	US 1994-325845	19941019
	CA 2186463	AA	19951019	CA 1995-2186463	19950406
	WO 9527702	A1	19951019	WO 1995-IB244	19950406
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI,				

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            GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD,
            MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ,
            TT, UA
        RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT,
            LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,
            SN, TD, TG
    AU 9520816        A1   19951030       AU 1995-20816    19950406
    AU 703436         B2   19990325
    EP 754178         A1   19970122       EP 1995-913298   19950406
    EP 754178         B1   20030115
        R:  AT, BE, CH, DE, DK, ES, FR, GB, IT, LI
    BR 9507307        A    19970902       BR 1995-7307     19950406
    JP 10503169       T2   19980324       JP 1995-526216   19950406
    EP 982298         A1   20000301       EP 1999-203889   19950406
        R:  AT, BE, CH, DE, DK, ES, FR, GB, IT, LI
    AT 231130         E    20030215       AT 1995-913298   19950406
    ES 2188654        T3   20030701       ES 1995-913298   19950406
    US 5656500        A    19970812       US 1995-440427   19950512
PRAI US 1988-226639   B1   19880801
    US 1992-826186    A3   19920122
    US 1993-32231     A2   19930317
    JP 1989-199178    A3   19890731
    US 1993-32321     A3   19930317
    US 1994-225165    A    19940408
    US 1994-325845    A1   19941019
    EP 1995-913298    A3   19950406
    WO 1995-IB244     W    19950406
OS  MARPAT 127:187871


=> d l17 3 all

L17  ANSWER 3 OF 3  CAPLUS  COPYRIGHT 2003 ACS on STN
AN   1997:574406  CAPLUS
DN   127:187871
TI   Functionalized hydrophilic acridinium esters
IN   Law, Say-Jong; Sotiriou-Leventis, Chariklia; Natrajan, Anand; Jiang,
     Qingping; Connolly, Peter B.; Kilroy, John P.; McCudden, Constance R.;
     Tirrell, Stephen M.
PA   Chiron Diagnostics Corp., USA
SO   U.S., 28 pp., Cont.-in-part of U.S. 5,449,556.
     CODEN: USXXAM
DT   Patent
LA   English
IC   ICM  C12Q001-68
NCL  435006000
CC   9-14 (Biochemical Methods)
     Section cross-reference(s): 2, 3, 14, 15, 27
FAN.CNT 3
     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
PI   US 5656426         A    19970812       US 1994-225165   19940408
     JP 09025422        A2   19970128       JP 1996-179488   19890731
     US 5227489         A    19930713       US 1992-826186   19920122
     US 5449556         A    19950912       US 1993-32231    19930317
     US 5595875         A    19970121       US 1994-325845   19941019
     CA 2186463         AA   19951019       CA 1995-2186463  19950406
     WO 9527702         A1   19951019       WO 1995-IB244    19950406
         W:  AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI,
             GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD,
             MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ,
             TT, UA
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RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

	AU 9520816	A1	19951030	AU 1995-20816	19950406
	AU 703436	B2	19990325		
	EP 754178	A1	19970122	EP 1995-913298	19950406
	EP 754178	B1	20030115		
	R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI				
	BR 9507307	A	19970902	BR 1995-7307	19950406
	JP 10503169	T2	19980324	JP 1995-526216	19950406
	EP 982298	A1	20000301	EP 1999-203889	19950406
	R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI				
	AT 231130	E	20030215	AT 1995-913298	19950406
	ES 2188654	T3	20030701	ES 1995-913298	19950406
	US 5656500	A	19970812	US 1995-440427	19950512
PRAI	US 1988-226639	B1	19880801		
	US 1992-826186	A3	19920122		
	US 1993-32231	A2	19930317		
	JP 1989-199178	A3	19890731		
	US 1993-32321	A3	19930317		
	US 1994-225165	A	19940408		
	US 1994-325845	A1	19941019		
	EP 1995-913298	A3	19950406		
	WO 1995-IB244	W	19950406		

OS MARPAT 127:187871

AB Novel acridinium esters are disclosed that are useful, either alone or when incorporated into liposomes, as chemiluminescent agents in binding assays (e.g., immunoassays and gene probe assays) with improved sensitivity. In addn., the synthesis of these esters and their use in assays for detecting an analyte are described. In particular, assays for testosterone and the Rubella virus are disclosed.

ST acridinium ester chemiluminescent label binding assay; immunoassay acridinium ester label prepn; gene probe assay acridinium ester prepn; serum testosterone detn chemiluminescence immunoassay; rubella virus IgG detn chemiluminescent label

IT Proteins, specific or class
RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(DNA-binding, acridinium ester conjugates; functionalized hydrophilic acridinium esters prepn. for binding assays)

IT Immunoglobulins
RL: ANT (Analyte); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(G, to Rubella virus; functionalized hydrophilic acridinium esters prepn. for binding assays)

IT Rubella virus
(IgG; functionalized hydrophilic acridinium esters prepn. for binding assays)

IT **Bacteria** (Eubacteria)
Virus
(acridinium ester conjugates; functionalized hydrophilic acridinium esters prepn. for binding assays)

IT Allergens
Antibodies
Antigens
Avidins
Cytokines
DNA
Haptens
Hormones, animal, preparation
Macromolecular compounds
Neurotransmitters

Oligonucleotides
Peptides, preparation
Proteins, general, preparation
RNA
Receptors
Toxins
RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(acridinium ester conjugates; functionalized hydrophilic acridinium esters prepn. for binding assays)

IT Onium compounds
RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(acridinium, esters; functionalized hydrophilic acridinium esters prepn. for binding assays)

IT Diagnosis
(agents; functionalized hydrophilic acridinium esters prepn. for binding assays)

IT Crosslinking agents
(bifunctional; functionalized hydrophilic acridinium esters prepn. for binding assays)

IT Oligonucleotides
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(chemiluminescent-labeled; functionalized hydrophilic acridinium esters prepn. for binding assays)

IT Immunoglobulins
RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(fragments, acridinium ester conjugates; functionalized hydrophilic acridinium esters prepn. for binding assays)

IT Blood analysis
Body fluid
Immunoassay
Liposomes
(functionalized hydrophilic acridinium esters prepn. for binding assays)

IT Polyoxyalkylenes, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(functionalized hydrophilic acridinium esters prepn. for binding assays)

IT Genetic methods
(gene probe assay; functionalized hydrophilic acridinium esters prepn. for binding assays)

IT Steroids, preparation
RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(hormones, acridinium ester conjugates; functionalized hydrophilic acridinium esters prepn. for binding assays)

IT Chemiluminescent substances
(labels; functionalized hydrophilic acridinium esters prepn. for binding assays)

IT Antibodies
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(monoclonal; functionalized hydrophilic acridinium esters prepn. for binding assays)

IT Albumins, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(serum; functionalized hydrophilic acridinium esters prepn. for binding assays)

IT Hormones, animal, preparation
RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST

(Analytical study); PREP (Preparation); USES (Uses)
(steroid, acridinium ester conjugates; functionalized hydrophilic acridinium esters prepn. for binding assays)

IT 50-28-2, Estradiol, analysis 58-22-0, Testosterone
RL: ANT (Analyte); ANST (Analytical study)
(functionalized hydrophilic acridinium esters prepn. for binding assays)

IT 7704-34-9DP, Sulfur, acridinium esters contg., preparation 7723-14-0DP, Phosphorus, acridinium esters contg., preparation 7727-37-9DP, **Nitrogen**, acridinium esters contg., preparation 7782-44-7DP, Oxygen, acridinium esters contg., preparation 9013-20-1DP, Streptavidin, acridinium ester conjugates 173406-73-0P 173406-74-1P 173406-75-2P 194357-81-8P
RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(functionalized hydrophilic acridinium esters prepn. for binding assays)

IT 9002-71-5, TSH
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(functionalized hydrophilic acridinium esters prepn. for binding assays)

IT 108-88-3, Toluene, uses
RL: NUU (Other use, unclassified); USES (Uses)
(functionalized hydrophilic acridinium esters prepn. for binding assays)

IT 107-15-3, 1,2-Ethanediamine, reactions 124-09-4, 1,6-Hexanediamine, reactions 1120-71-4, 1,3-Propanesultone 1122-58-3 1319-82-0, Aminocaproic acid 4039-32-1, Lithium bis(trimethylsilyl)amide 4855-96-3 4919-37-3, 3,5-Dimethyl-4-hydroxybenzoic acid 5336-90-3, 9-Acridinecarboxylic acid 6066-82-6, N-Hydroxysuccinimide 7719-09-7, Thionyl chloride 25322-68-3 **67992-78-3** 158788-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(functionalized hydrophilic acridinium esters prepn. for binding assays)

IT 66074-67-7P, 9-Acridinecarbonyl chloride 115853-72-0P 115853-74-2P 142645-74-7P 173406-81-0P 173406-82-1P 173406-83-2P 173406-84-3P 173406-85-4P 173406-86-5P 173406-87-6P 194357-64-7P 194357-76-1P 194357-83-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(functionalized hydrophilic acridinium esters prepn. for binding assays)

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(FILE 'HOME' ENTERED AT 12:22:43 ON 24 SEP 2003)

FILE 'REGISTRY' ENTERED AT 12:22:50 ON 24 SEP 2003
E ANDROSTAANE
E ANDROSTANE
L1 16925 S E3
L2 0 S 17 AMINO ANDROSTANE
L3 4 S AMINO ANDROSTANE

FILE 'CAPLUS' ENTERED AT 12:26:28 ON 24 SEP 2003
L4 21559 S L1
L5 2 S L3

FILE 'REGISTRY' ENTERED AT 12:30:59 ON 24 SEP 2003
L6 1 S 130887-50-2/RN
SET NOTICE 1 DISPLAY

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                SET NOTICE LOGIN DISPLAY

     FILE 'CAPLUS' ENTERED AT 12:31:56 ON 24 SEP 2003
L7        488868 S BACTERIAL OR BACTERIA OR BACILLUS OR ANTIBACTERIAL
L8           264 S L7 AND L4
                 E AMINE
L9        238606 S E3
L10            4 S L8 AND L9
                 E AMINO
L11       949155 S E3
L12           30 S L8 AND L11
L13           27 S L12 NOT L10
L14       524263 S NITROGEN
L15            6 S L8 AND L14
L16            5 S L15 NOT L10
L17            3 S L16 NOT L13

=> e gram
E1             1     GRALTON/BI
E2             1     GRALULATING/BI
E3         45997 --> GRAM/BI
E4           161     GRAMA/BI
E5             1     GRAMABUFOTALITOXINS/BI
E6             1     GRAMACATHO/BI
E7             1     GRAMACCIOLI/BI
E8             1     GRAMACCIONI/BI
E9             2     GRAMACHO/BI
E10           37     GRAMACIDIN/BI
E11            1     GRAMADA/BI
E12            6     GRAMADO/BI

=> s e3
L18       45997 GRAM/BI

=> s l18 and positive
          66216 POSITIVE
L19        3743 L18 AND POSITIVE

=> s l19 and 18
L20            3 L19 AND L8

=> d l20 1-3

L20  ANSWER 1 OF 3  CAPLUS  COPYRIGHT 2003 ACS on STN
AN   2002:521462  CAPLUS
DN   137:88442
TI   Incensole and furanogermacrens and compounds in treatment for inhibiting
     neoplastic lesions and microorganisms
IN   Shanahan-Pendergast, Elisabeth
PA   Ire.
SO   PCT Int. Appl., 68 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.         KIND  DATE          APPLICATION NO.  DATE
     ---------------    ----  --------      ---------------  --------
PI   WO 2002053138       A2   20020711      WO 2002-IE1      20020102
     WO 2002053138       A3   20020919
         W:  AE, AG, AT, AU, BB, BG, CA, CH, CN, CO, CU, CZ, LU, LV, MA, MD,
             UA, UG, US, VN, YU, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, AT, BE, CH, CY, DE, ES, FI,
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ML, MR, NE, SN, TD, TG
PRAI IE 2001-2 A 20010102
OS MARPAT 137:88442

L20 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1967:44440 CAPLUS
DN 66:44440
TI Effect of azasteroids on **gram-positive bacteria**
AU Varricchio, Frederick; Doorenbos, Norman J.; Stevens, Audrey
CS Sch. of Med., Univ. of Maryland, Baltimore, MD, USA
SO Journal of Bacteriology (1967), 93(2), 627-35
CODEN: JOBAAY; ISSN: 0021-9193
DT Journal
LA English

L20 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1962:18495 CAPLUS
DN 56:18495
OREF 56:3544e-i,3545a-i,3546a
TI 6.beta.,19-Oxidoandrostane derivatives
IN Ringold, Howard J.; Bowers, Albert
PA Syntex S.A.
DT Patent
LA Unavailable

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3001989		19600729	US	
	GB 966100			GB	
PRAI	MX		19600106		

=> s l20 2 all
MISSING OPERATOR L20 2 ALL
The search profile that was entered contains terms or
nested terms that are not separated by a logical operator.

=> d l20 2 all

L20 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1967:44440 CAPLUS
DN 66:44440
TI Effect of azasteroids on **gram-positive bacteria**
AU Varricchio, Frederick; Doorenbos, Norman J.; Stevens, Audrey
CS Sch. of Med., Univ. of Maryland, Baltimore, MD, USA
SO Journal of Bacteriology (1967), 93(2), 627-35
CODEN: JOBAAY; ISSN: 0021-9193
DT Journal
LA English
CC 8 (Microbial Biochemistry)
AB A group of N-contg. steroids of closely related structure was screened for **antibacterial** activity, by use of **Bacillus** subtilis and Sarcina lutea as the test organisms. The most active compds. were cholesterol derivs. contg. a tertiary or quaternary N in, or attached to, the A ring. Similar methyltestosterone or progesterone derivs. were inactive. All of the cholesterol derivs. that inhibited growth were surfactant, and, structurally, they would be classified as cationic detergents. Some of the inactive compds. were surfactant, but, structurally, they would be classified as nonionic detergents. Certain features of the **antibacterial** activity of one of the active steroids, i.e., ND 212 (4-dimethylaminoethyl-4-aza-5-cholesten-3-one

methiodide), were studied. Growth of a culture of B. subtilis contg. 5 .times. 107 cells/ml. was inhibited by 1 .mu.g./ml. (1.7 .times. 10-6M) of ND 212. The amt. of growth inhibition was directly related to both cell and steroid concn. Loss of viability was rapid and irreversible. With B. subtilis, cell lysis was observed. With S. lutea grown in glucose-14C, ND 212 caused release into the media of up to 25% of the cellular radioactivity. Extensive leakage occurred before loss of viability was observed. At bacteriostatic azasteroid concns., there was little leakage. ND 212 was readily bound in large amts. to B. subtilis cells. Inactive azasteroids were bound poorly. Cholestanone-14C was also bound, whereas methyltestosterone-14C and progesterone-14C were not bound in significant amts. At least 50% of the bound cholestanone-14C was assocd. with the membrane fraction. 25 references.

ST AZASTEROIDS **ANTIBACTERIAL; ANTIBACTERIAL** AZASTEROIDS; STEROIDS SURFACTANTS **ANTIBACTERIAL;** CHOLESTENONES **ANTIBACTERIAL**

IT Azasteroids
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal action of)

IT Bactericidal action
(of azasteroids)

IT **Bacillus**
(subtilis, azasteroid absorption by)

IT 2696-51-7 2931-63-7 3899-45-4 4321-99-7 5758-88-3 10121-88-7 10169-13-8 10236-65-4 14124-56-2 14124-57-3 14124-58-4 14124-60-8 14124-61-9 15262-51-8 15262-52-9 **15262-54-1** 15262-57-4 15262-65-4 15262-66-5 15904-68-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal action of)

=> d l20 3 all

L20 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1962:18495 CAPLUS
DN 56:18495
OREF 56:3544e-i,3545a-i,3546a
TI 6.beta.,19-Oxidoandrostane derivatives
IN Ringold, Howard J.; Bowers, Albert
PA Syntex S.A.
DT Patent
LA Unavailable
CC 36 (Steroids)

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3001989		19600729	US	
	GB 966100			GB	
PRAI	MX		19600106		

AB 6.beta.,19-Oxido androstanes having an oxo, OH, or acyloxy group at C-3 and at C-17 are anabolic agents with low androgenicity, lower blood cholesterol levels, are cardiac antifibrillatory agents, are analgesics, and are bacteriostatic against **gram positive bacteria**. A suspension of 10 g. diacetate of .DELTA.5-androstene-3.beta.,17.beta.-diol in 100 cc. dioxane is treated with 12 cc. 0.46N HClO4, then with 4 g. N-bromoacetamide in small portions with stirring over 1 hr. in the dark at 15.degree.. The mixt. stirred 1 hr. in the dark at room temp. after the addn. is complete, the soln. decolorized with 10% aq. NaH2O3, 1 l. H2O added, the mixt. extd. with CH2Cl2, and the exts. washed with H2O, dried, evapd. in vacuo at room temp. gave 3,17-diacetate of 5.alpha.-bromoandrostane-3.beta.,6.beta.,17.beta.-triol (I). A chromic

acid soln. (100 cc.) is prepd. from 26.7 g. CrO3, 23 cc. concd. H2SO4, and distd. H2O. A soln. of 10 g I in 100 cc. Me2CO is cooled to 0.degree. treated with the chromic acid soln. prepd. above under N at 0.degree. until the color of the acid persists, the mixt. stirred an addnl. 2 min. under N, poured into ice-H2O, and the ppt. filtered off, washed with H2O, and dried in vacuo to give the diacetate of 5.alpha.-bromoandrostane-3.beta.,17.beta.diol-6-one (II). A mixt. of II, 10 g. Zn dust, and 250 cc. glacial HOAc is heated at 90.degree. 2 hrs., filtered through Celite under N, concd. to a small vol. in vacuo, cooled, dild. with ice-H2O, the ppt. filtered off, washed with H2O, dried, dissolved in 80 cc. abs. EtOH and 120 cc. glacial HOAc, the mixt. hydrogenated at 50 atm. in the presence of 1.2 g. PtO2 with stirring at room temp. 24 hrs., filtered, the soln. evapd. to dryness in vacuo, and the residue purified by chromatography on neutral alumina to give 3,17-diacetate of androstane-3.beta.,6.beta.,17.alpha.-triol (III), m. 130-2.degree., [.alpha.]D -24.degree.. III (4 g.) is dissolved in 150 cc. anhyd. C6H6, 6 g. Pb tetraacetate added, the mixt. refluxed 18 hrs., filtered, dild. with H2O, the org. layer sepd., washed with H2O, evapd. in vacuo, and the residue chromatographed on neutral alumina to give the diacetate of 6.beta.,19-oxidoandrostane-3.beta.,17.beta.-diol, m. 1401.degree., [.alpha.]D 24.5.degree. (CHCl3). Reaction of the diol diacetate with KOH in MeOH gives 6.beta.,19-oxidoandrostaue-3.beta.,17.beta.-diol (IV), m. 184-6.degree., [.alpha.]D -2.degree.. IV in Me2CO is cooled to 0.degree., treated with 8N chromic acid under N with stirring at 0.degree. and the ppt. filtered off, washed with H2O, and dried in vacuo to give 6.beta.,19-oxidoandrostane-3,17-dione (V), m. 165-7.degree., [.alpha.]D 125.degree.- V (1 g.), 50 cc. dioxane, and 5 g. 2,3dichloro-5,6-dicyano-1,4-benzoquinone are refluxed 24 hrs., the mixt. cooled, filtered, the filtrate evapd. in vacuo, and the residue recrystd. from Me2CO-hexane to give 6.beta.,19oxido-.DELTA.1,4-androstadiene-3,17-dione (VI). V (2 g.) is dissolved in 100 cc. glacial HOAc, 2 molar equivs. Br in glacial HOAc contg. a trace of HBr added with stirring, after 4 hrs. at room temp. H2O is added, the ppt. collected, dissolved in 20 cc. HCONMe2, added to a boiling suspension of 1.5 g. CaCO3 in 30 cc. HCONMe2, the mixt. refluxed 30 min., cooled, filtered, the filtrate washed with dil. HCl, Na2CO3 soln., and H2O, dried, evapd., and the residue chromato-graphed on 50 parts neutral alumina to give 6.beta., 19-oxido-16bromo-.DELTA.1-androstene-3,17-dione (VII). A mixt. of 20 g. Zn dust, 1.6 g. HgCl2, 20 cc. H2O, and 1 cc. concd. HCl is stirred 5 min. under CO2, the supernatant decanted, then 40cc. H2O and 4 cc. concd. HCl added, and finally 10 g. CrCl3, added in portions with stirring under CO2. A soln. of VII in 100 cc. Me2CO is treated with 20 cc. of the chromous chloride soln. prepd. above in small portions under CO2, the mixt. held at 0.degree., stirred occasionally over 15 min., H2O added, and the ppt. filtered, washed with H2O, dried in vacuo, and recrystd. from Me2CO to give 6.eta.,19-oxido-.DELTA.1-androstene-3,17dione (VIII). To 1 g. VIII in 50 cc. dioxane is added 3 g. 2,3-dichloro-5,6-dicyano-1,4-benzoquinone and the mixt. refluxed 20 hrs. and worked up to give VI. A mixt. of 1 g. V, 8 cc. ethylene glycol, 0.15 g. p-MeC6H4SO3H, and 100 cc. C6H6, is refluxed 6 hrs. with azeotropic distn., the soln. cooled, washed with aq. K2CO3, evapd. to dryness, and the residue recrystd. from heptane to give 6.beta.,19-oxido-17ethylenedioxyandrostan-3-one (IX). To 2 g. IX in 50 cc. aq. tetrahydrofuran is slowly added 0.5 g. Na borohydride in 10 cc. H2O with stirring at room temp., stirring continued 3 hrs., the excess hydride decompd. with HOAc, the soln. concd. to a small vol., dild. with H2O, extd. with EtOAc, the exts. washed with H2O, dried, evapd., and the residue recrystd. from Me2CO-hexane to give 6.beta.,19-oxido-17-ethylenedioxyandrostane-3.beta.-ol (X). Treatment of X with p-MeC6H4SO3H in Me, CO yields 6.beta.,19-oxidoandrostan-3.beta.-ol-17one (XI). XI, 200 cc. thiophene-free anhyd. C6H6, and 45 cc. 3N MeMgBr are refluxed 6 hrs., the mixt. poured into 800 cc. H2O contg. 80 g. NH4Cl and 800 g. crushed ice with stirring, the org. layer sepd., washed with dil. HCl and H2O

until neutral, dried, evapd., and the residue recrystd. from Me2CO-hexane to give 17.alpha.-methyl-6.beta.,19-oxidoandrostane3.beta.,17.beta.-diol (XII). XII (2 g.), 10 cc. C5H5N, and 10 cc. Ac2O are allowed to stand overnight at room temp. and worked up as usual to give 3-monoacetate of 17.alpha.-methyl6.beta.,19-oxidoandrostane-3.beta.,177beta;-diol (XIII). XIII is treated with Ac2O in C6H6 in the presence of p-MeC6H4SO3H to give the 3,17-diacetate of 6.beta.,19-oxido-17.alpha.-methylandrostane-3.beta.,17.beta.-diol (XIV). To a soln. of 2 g. XI in 250 cc. abs. Et2O is added 10 molar equivs. EtLi in 50 cc. Et2O in small portions with stirring under N, the mixt. stirred another 48 hrs. at room temp. under N, poured into H2O, acidified with HCl, stirred 1 hr., the org. layer sepd., washed with H2O until neutral, dried, filtered, the Et2O evapd., and the residue recrystd. from Me2CO-hexane to give 17.alpha.-ethyl6.beta.,19-oxidoandrostane-3.beta.,17.beta.-diol (XV). Conventional esterification yields the 3-monoacetate and the 3,17-diacetate. A soln. of g. K in 50 cc. tert-BuOH is cooled to 0.degree. under N, treated with a cold soln. of 1 g. XI in small portions under N at 0.degree. with stirring, dry purifed acetylene substituted for the N for 40 hrs., the soln. poured into 200 cc. dil. HCl, stirred 1 hr. at room temp., steam distd., the residue cooled, and the ppt. filtered off and recrystd. from Me2CO-hexane to give 17.alpha.-ethynyl-6.beta.,19-oxidohndrostane-3.beta.,17.beta.-diol (XVI). XVI (500 mg.) in 10 cc. C5H5N contg. 100 mg. pre-reduced Pd-CaCO3 is hydrogenated at room temp. until 1 mole H is absorbed, the soln. filtered, the solvent evapd. in vacuo, the residue triturated with 20 cc. 1% HCl, extd. with EtOAc, the exts. washed with H2O, dried, evapd. to dryness, and the residue chromatographed over neutral alumina to give 17.alpha.-vinyl-6.beta.,19-oxidoandrostane-3.beta.,17.beta.-diol. To a soln. of 2.5 g. XIV in 50 cc. HOAc is added 2.5 g. CrO3 dissolved in 100 cc. 90% HOAc, the mixt. held at 90.degree. 1 hr., ice-H2O added, and the ppt. filtered off and recrystd. from Me2COhexane to give 6,19-lactone of 3.beta.,17.beta.-diacetoxy-17.alpha.-methylandrostan-6.beta.-ol-19-oic acid (XVII). XVII (2 g.) in 100 cc. 2% KOH in MeOH is held at room temp. overnight, the mixt. acidified with 2N HCl, heated 0.5 hr. on a steam bath, cooled, dild. with ice-H2O, extd. with Et2O, and the exts. washed with H2O, dried, and evapd. to give 6,19-lactone of 17.alpha.-methylandrostane-3.beta.,6.beta.,17.beta.-triol-19-oic acid. Prepd. by similar methods are: 6,19-lactone of 3.beta.,17.beta.diacetoxy-17.alpha.-vinylandrostan-6.beta.-ol-19-oic acid; 6,19-lactone of 17.alpha.-methylandrostane-6.beta.,17.beta.-diol-3-one-19-oic acid; 17.alpha.-methyl-6.beta.,19-oxidoandrostan-17.beta.-ol-3-one; and the acetate of 17.alpha.-methyl-6.beta.,19-oxidoandrostan-17.beta.-ol-3-one.

IT 5.alpha.-Androstane-3,17-dione, 6.beta.,19-epoxy-, cyclic 17-(ethylene acetal)
5.alpha.-Androstane-3.beta.,6.beta.,17.alpha.-triol, 3,17-diacetate

IT **2061-01-0**, 5.alpha.-Androstane 3.beta.,17.beta.-diol, 6.beta.,19-epoxy-, diacetate **4667-16-7**, 5.alpha.-Androstane 3.beta.,17.beta.-diol, 6.beta.,19-epoxy- 13522-13-9, Androsta-1,4-diene-3,17-dione, 6.beta.-19-epoxy- 88843-15-6, 5.alpha.-Androstan-17-one, 6.beta.,19-epoxy-3.beta.-hydroxy-, cyclic ethylene acetal 94865-72-2, 5.alpha.-Androstan-17-one, 6.beta.,19-epoxy-3.beta.-hydroxy- 95001-93-7, 5.alpha.,17.alpha.-Pregn-20-ene-3.beta.,17-diol, 6.beta.,19-epoxy- 95369-99-6, 5.alpha.-Androstan-19-oic acid, 3.beta.,6.beta.17.beta.-trihydroxy-17-methyl-, .gamma.-lactone 95960-35-3, 5.alpha.,17.alpha.-Pregn-20-en-19-oic acid, 3.beta.,6.beta.,17-trihydroxy-, .gamma.-lactone, diacetate **96458-50-3**, 5.alpha.-Androstane 3.beta.,17.beta.-diol, 6.beta.,19-epoxy-, 3-acetate 17-propionate 96464-91-4, 5.alpha.,17.alpha.-Pregnane-3.beta.,17-diol, 6.beta.,19-epoxy-, 3-acetate 96584-65-5, 5.alpha.-Androstan-3-one, 6.beta.,19-epoxy-17.beta.-hydroxy-17-methyl-, acetate 96766-74-4, 5.alpha.-Androst-1-ene-3,17-dione, 6.beta.,19-epoxy- 96769-31-2, 5.alpha.,17.alpha.-Pregn-20-yne-3.beta.,17-diol, 6.beta.,19-epoxy-, diacetate **96966-34-6**,

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     5.alpha.-Androstane 3.beta.,17.beta.-diol, 6.beta.,19-epoxy-17-methyl-
     100024-34-8, 5.alpha.-Androstane-3,17-dione, 6.beta.,19-epoxy-
     104490-52-0, 5.alpha.-Androstan-19-oic acid, 6.beta.,17.beta.-dihydroxy-17-
     methyl-3-oxo-, .gamma.-lactone
        (prepn. of)
IT   163-72-4, 6,10-(Epoxymethano)-10H-cyclopenta[a]phenanthrene
        (spiro deriv.)
IT   163-72-4, 6,10-(Epoxymethano)-10H-cyclopenta[a]phenanthrene   163-78-0,
     Spiro[1,3-dioxolane-2,17'(3'H)-[6,10](epoxymethano)[10H]cyclopenta[a]phena
     nthrene]
        (steroid derivs.)


=> d his

     (FILE 'HOME' ENTERED AT 12:22:43 ON 24 SEP 2003)

     FILE 'REGISTRY' ENTERED AT 12:22:50 ON 24 SEP 2003
                E ANDROSTAANE
                E ANDROSTANE
L1         16925 S E3
L2             0 S 17 AMINO ANDROSTANE
L3             4 S AMINO ANDROSTANE

     FILE 'CAPLUS' ENTERED AT 12:26:28 ON 24 SEP 2003
L4         21559 S L1
L5             2 S L3

     FILE 'REGISTRY' ENTERED AT 12:30:59 ON 24 SEP 2003
L6             1 S 130887-50-2/RN
                 SET NOTICE 1 DISPLAY
                 SET NOTICE LOGIN DISPLAY

     FILE 'CAPLUS' ENTERED AT 12:31:56 ON 24 SEP 2003
L7        488868 S BACTERIAL OR BACTERIA OR BACILLUS OR ANTIBACTERIAL
L8           264 S L7 AND L4
                 E AMINE
L9        238606 S E3
L10            4 S L8 AND L9
                 E AMINO
L11       949155 S E3
L12           30 S L8 AND L11
L13           27 S L12 NOT L10
L14       524263 S NITROGEN
L15            6 S L8 AND L14
L16            5 S L15 NOT L10
L17            3 S L16 NOT L13
                 E GRAM
L18        45997 S E3
L19         3743 S L18 AND POSITIVE
L20            3 S L19 AND L8

=> s l8 and bacillus
          77199 BACILLUS
L21           53 L8 AND BACILLUS

=> s l21 not l10
L22           53 L21 NOT L10

=> s l22 not l13
L23           47 L22 NOT L13
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=> d 123 20-47

L23 ANSWER 20 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1983:419393 CAPLUS
DN 99:19393
TI Replication of **Bacillus** small phage DNA
AU Hirokawa, Hideo; Matsumoto, Kouji; Ohashi, Mochihiko
CS Life Sci. Inst., Sophia Univ., Tokyo, 102, Japan
SO Microbiology (Washington, D. C.) (1982) 45-6
CODEN: MICRDG; ISSN: 0098-1540
DT Journal
LA English

L23 ANSWER 21 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1982:120888 CAPLUS
DN 96:120888
TI Microbial transformation of steroids
IN Knight, John C.; Wovcha, Merle G.
PA Upjohn Co. , USA
SO U.S., 8 pp. Cont.-in-part of U.S. Ser. No. 767,369, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4304860	A	19811208	US 1978-877230	19780213
	US 4042459	A	19770816	US 1975-632650	19751117
PRAI	US 1975-632650		19751117		
	US 1977-767369		19770210		

L23 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1980:443964 CAPLUS
DN 93:43964
TI Conversion of steroid compounds
IN Fukui, Saburo; Sada, Eizo; Tanaka, Atsuo; Yamane, Tsuneo; Komata, Tetsuo
PA Kansai Paint Co., Ltd., Japan; Ube Industries, Ltd.
SO Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 55015760	A2	19800204	JP 1978-89329	19780724
	JP 57041918	B4	19820906		
PRAI	JP 1978-89329		19780724		

L23 ANSWER 23 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1978:188025 CAPLUS
DN 88:188025
TI Microbial transformation of sterols. Part VI. Microbial production of 3-oxobisnorchola-1,4-dien-22-oic acid
AU Arima, Kei; Nakamatsu, Tsuyoshi; Beppu, Teruhiko
CS Dep. Agric. Chem., Univ. Tokyo, Tokyo, Japan
SO Agricultural and Biological Chemistry (1978), 42(2), 411-16
CODEN: ABCHA6; ISSN: 0002-1369
DT Journal
LA English

L23 ANSWER 24 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1972:137949 CAPLUS

DN 76:137949
TI Reduction of the 20-carbonyl group of C-21 steroids by spores of Fusarium solani and other microorganisms. I. Side-chain degradation, epoxide cleavage, and substrate specificity
AU Plourde, Rosaire; El-Tayeb, Ossama M.; Hafez-Zedan, Hamdalla
CS Fac. Pharm., Univ. Montreal, Montreal, QC, Can.
SO Applied Microbiology (1972), 23(3), 601-12
CODEN: APMBAY; ISSN: 0003-6919
DT Journal
LA English

L23 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1971:550338 CAPLUS
DN 75:150338
TI Microbial conversion of lithocholic acid to androsta-1,4-diene-3,17-dione
IN Arima, Kei; Tamura, Gakuzo; Nagasawa, Michitaro; Hashiba, Hironaga; Watanabe, Norihiko; Nishino, Yoko; Iguchi, Nobuyoshi
PA Noda Institute for Scientific Research
SO Jpn. Tokkyo Koho, 5 pp.
CODEN: JAXXAD
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 46029193	B4	19710824	JP	19670216

L23 ANSWER 26 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1970:77405 CAPLUS
DN 72:77405
TI Microbiological transformation of 3.beta.-hydroxy-5,6-epoxy steroids
AU Kieslich, Klaus
CS Hauptlab., Schering A.-G., Berlin, Fed. Rep. Ger.
SO Tetrahedron (1969), 25(24), 5863-8
CODEN: TETRAB; ISSN: 0040-4020
DT Journal
LA German

L23 ANSWER 27 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1970:39960 CAPLUS
DN 72:39960
TI Microbial transformation of sterols. II. Cleavage of sterol side chains by microorganisms
AU Nagasawa, Michitaro; Bae, Mu; Tamura, Gakuzo; Arima, Kei
CS Univ. Tokyo, Tokyo, Japan
SO Agricultural and Biological Chemistry (1969), 33(11), 1644-50
CODEN: ABCHA6; ISSN: 0002-1369
DT Journal
LA English

L23 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1968:401803 CAPLUS
DN 69:1803
TI Oxidation of steroids with microorganisms
IN Naito, Atsushi
PA Sankyo Co., Ltd.
SO Jpn. Tokkyo Koho, 3 pp.
CODEN: JAXXAD
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	JP 42025644	B4	19671207	JP	19640629

L23 ANSWER 29 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1967:489793 CAPLUS
DN 67:89793
TI Preparing 3-keto-.DELTA.1,4-unsaturated steroids
IN Capek, Alois; Hanc, Oldrich; Tadra, Milan; Kakac, Bohumil; Tuma, Jan
SO Czech., 2 pp.
CODEN: CZXXA9
DT Patent
LA Czech
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CS 120668		19661115	CS	19650127

L23 ANSWER 30 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1967:76220 CAPLUS
DN 66:76220
TI Preparation and enzymic C-1,2-dehydrogenation of estr-4-ene-3,17-dione-1-3H (83%-.beta.)
AU Brodie, Harry J.; Warg, P. A.
CS Worcester Found. for Exptl. Biol., Shrewsbury, MA, USA
SO Tetrahedron (1967), 23(2), 535-43
CODEN: TETRAB; ISSN: 0040-4020
DT Journal
LA English

L23 ANSWER 31 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1967:44440 CAPLUS
DN 66:44440
TI Effect of azasteroids on gram-positive **bacteria**
AU Varricchio, Frederick; Doorenbos, Norman J.; Stevens, Audrey
CS Sch. of Med., Univ. of Maryland, Baltimore, MD, USA
SO Journal of Bacteriology (1967), 93(2), 627-35
CODEN: JOBAAY; ISSN: 0021-9193
DT Journal
LA English

L23 ANSWER 32 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1967:44027 CAPLUS
DN 66:44027
TI Inhibition by azasteroids of reduced nicotinamide adenine dinucleotide oxidation with membrane fragments from **Bacillus** subtilis
AU Varricchio, Frederick
CS Sch. of Med., Univ. of Maryland, Baltimore, MD, USA
SO Applied Microbiology (1967), 15(1), 206-7
CODEN: APMBAY; ISSN: 0003-6919
DT Journal
LA English

L23 ANSWER 33 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1966:433575 CAPLUS
DN 65:33575
OREF 65:6262g-h
TI Androst-4-ene-3,17-dione and androsta-1,4-diene-3,17-dione
PA Noda Institute for Scientific Research
SO 18 pp.
DT Patent
LA Unavailable
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	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	NL 6502883		19651203	NL	
PRAI	JP		19640602		

L23 ANSWER 34 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1966:79456 CAPLUS
DN 64:79456
OREF 64:14927c-d
TI Saturated 3-keto steroids
IN Irmscher, Klaus; Metz, Harald
PA E. Merck A.-G.
SO 3 pp.
DT Patent
LA Unavailable
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 1205092		19651118	DE	19611026

L23 ANSWER 35 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1965:490602 CAPLUS
DN 63:90602
OREF 63:16688g-h,16689a
TI The mechanism of the **bacterial** C-1,2 dehydrogenation of steroids. III. Kinetics and isotope effects
AU Jerussi, Robert; Ringold, Howard J.
CS Worcester Found. for Exptl. Biol., Shrewsbury, MA
SO Biochemistry (Moscow, Russian Federation) (1965), 4(10), 2113-26
CODEN: BIORAK; ISSN: 0006-2979
DT Journal
LA English

L23 ANSWER 36 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1964:12969 CAPLUS
DN 60:12969
OREF 60:2301d-e
TI Oxidation of steroids
IN Tsuda, Kyosuke; Iizuka, Hiroshi; Sato, Yoshihiro; Nakamura, Roichi; Naito, Atsushi
PA Sankyo Co., Ltd.
SO 2 pp.
DT Patent
LA Unavailable

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 38022582		19631024	JP	19590302

L23 ANSWER 37 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1964:12912 CAPLUS
DN 60:12912
OREF 60:2293g-h,2294e-f
TI Steroids and microorganisms. IV. Oxidation of steroids by **Bacillus** pulvifaciens
AU Iizuka, Hiroshi; Naito, Atsushi; Sato, Yoshihiro
CS Univ. Tokyo
SO Nippon Nogei Kagaku Kaishi (1961), 35, 430-6
CODEN: NNKKAA; ISSN: 0002-1407
DT Journal
LA Unavailable

L23 ANSWER 38 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1963:471792 CAPLUS
DN 59:71792
OREF 59:13318g-h,13319a-b
TI Resolution of steroid racemates
IN Wettstein, Albert; Vischer, Ernst; Meystre, Charles
PA Ciba Ltd.
SO 3 pp.; Addn. to Swiss 344,055
DT Patent
LA Unavailable

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CH 364503		19621115	CH	19580720

L23 ANSWER 39 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1963:85429 CAPLUS
DN 58:85429
OREF 58:14664g-h,14665a
TI 1,4-Diene 3-oxo steroids
IN Raspe, Gerhard; Kieslich, Klaus; Olivar, Erich; Mueller, Rudolf; Wagner, Brigitte
PA Schering A.-G.
SO 5 pp.
DT Patent
LA Unavailable

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PI	DE 1135899		19620906	DE	19600520
	GB 948188			GB	
	US 3102080		1963	US	

L23 ANSWER 40 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1963:46944 CAPLUS
DN 58:46944
OREF 58:7995g-h,7996a
TI Steric course of steroid reduction and dehydrogenation
AU Ringold, Howard J.; Gut, Marcel; Hayano, Mika; Turner, Alan
CS Worcester Found. Exptl. Biology, Shrewsbury, MA
SO Tetrahedron Letters (1962) 835-7
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA Unavailable

L23 ANSWER 41 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1963:15030 CAPLUS
DN 58:15030
OREF 58:2483d-h,2484a-d
TI Microbiological hydroxylation of steroids. XIV. C-1 dehydrogenation of Reichstein's compound S, hydrocortisone, pregnenolone, and dehydroepiandrosterone by **Bacillus** pulvifaciens. 1.
AU Tsuda, Kyosuke; Iizuka, Hiroshi; Sato, Yoshihiro; Naito, Atsushi; Kato, Mitsugi
CS Univ. Tokyo
SO Chemical & Pharmaceutical Bulletin (1961), 9, 925-31
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA Unavailable

L23 ANSWER 42 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1962:469415 CAPLUS
DN 57:69415
OREF 57:13817c-i,13818c-i,13819a-g
TI Ozonolysis of conjugated systems. I. Cleavage of steroidal

.DELTA.1,4-dien-3-ones in the C19O3 and C21IO5 series
AU Caspi, E.; Schmid, W.; Khan, B. Taqui
CS Worcester Found. Exptl. Biol., Shrewsbury, MA
SO Tetrahedron (1962), 18, 767-75
CODEN: TETRAB; ISSN: 0040-4020
DT Journal
LA Unavailable

L23 ANSWER 43 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1962:412887 CAPLUS
DN 57:12887
OREF 57:2656c-e
TI The course of hydrogenation of 17-keto steroids by intestinal **bacteria** under anaerobic conditions
AU Schubert, Kurt; Schlegel, Josef; Hoerhold, Claere
CS Inst. Mikrobiol. Exptl. Therapie, Jena, Germany
SO Zeitschrift fuer Naturforschung (1962), 17b, 84-6
CODEN: ZNTFA2; ISSN: 0372-9516
DT Journal
LA Unavailable

L23 ANSWER 44 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1961:144989 CAPLUS
DN 55:144989
OREF 55:27540a-b
TI Microbiological hydroxylation of steroids. XIII. Oxidation of steroids by **Bacillus** pulvifaciens
AU Iizuka, Hiroshi; Naito, Atsushi; Sato, Yoshihiro
CS Univ. Tokyo
SO Journal of General and Applied Microbiology (1960), 7, 118-27
CODEN: JGAMA9; ISSN: 0022-1260
DT Journal
LA Unavailable

L23 ANSWER 45 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1961:28107 CAPLUS
DN 55:28107
OREF 55:5598d-f
TI Steroid compounds
PA Merck & Co., Inc.
SO Addn. to Brit. 830,921 (CA 54, 20077c)
DT Patent
LA Unavailable
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 845295		19600817	GB	

L23 ANSWER 46 OF 47 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1960:105394 CAPLUS
DN 54:105394
OREF 54:20077c-f
TI .DELTA.1-Steroids and their preparation by a microbiological process
PA Merck & Co., Inc.
DT Patent
LA Unavailable
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 830921		19600323	GB	
	DE 1153017			DE	

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L23  ANSWER 47 OF 47  CAPLUS  COPYRIGHT 2003 ACS on STN
AN   1958:88388  CAPLUS
DN   52:88388
OREF 52:15602g-h
TI   Triols of the estrane and androstane series
PA   Schering A.-G.
DT   Patent
LA   Unavailable
FAN.CNT 1
     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
PI   DE 869953               19530309       DE


=> d his

     (FILE 'HOME' ENTERED AT 12:22:43 ON 24 SEP 2003)

     FILE 'REGISTRY' ENTERED AT 12:22:50 ON 24 SEP 2003
                E ANDROSTAANE
                E ANDROSTANE
L1         16925 S E3
L2             0 S 17 AMINO ANDROSTANE
L3             4 S AMINO ANDROSTANE

     FILE 'CAPLUS' ENTERED AT 12:26:28 ON 24 SEP 2003
L4         21559 S L1
L5             2 S L3

     FILE 'REGISTRY' ENTERED AT 12:30:59 ON 24 SEP 2003
L6             1 S 130887-50-2/RN
                 SET NOTICE 1 DISPLAY
                 SET NOTICE LOGIN DISPLAY

     FILE 'CAPLUS' ENTERED AT 12:31:56 ON 24 SEP 2003
L7        488868 S BACTERIAL OR BACTERIA OR BACILLUS OR ANTIBACTERIAL
L8           264 S L7 AND L4
                 E AMINE
L9        238606 S E3
L10            4 S L8 AND L9
                 E AMINO
L11       949155 S E3
L12           30 S L8 AND L11
L13           27 S L12 NOT L10
L14       524263 S NITROGEN
L15            6 S L8 AND L14
L16            5 S L15 NOT L10
L17            3 S L16 NOT L13
                 E GRAM
L18        45997 S E3
L19         3743 S L18 AND POSITIVE
L20            3 S L19 AND L8
L21           53 S L8 AND BACILLUS
L22           53 S L21 NOT L10
L23           47 S L22 NOT L13

=> e antibacterial
E1             3     ANTIBACTERAL/BI
E2           362     ANTIBACTERIA/BI
E3         66960 --> ANTIBACTERIAL/BI
E4           127     ANTIBACTERIALLY/BI
E5          2974     ANTIBACTERIALS/BI
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E6            1     ANTIBACTERIALSPECTRUM/BI
E7            1     ANTIBACTERIAN/BI
E8            2     ANTIBACTERIANA/BI
E9            1     ANTIBACTERIASCLEROTIC/BI
E10           6     ANTIBACTERIC/BI
E11          22     ANTIBACTERICAL/BI
E12           1     ANTIBACTERICALS/BI

=> s e2-e5
           362 ANTIBACTERIA/BI
         66960 ANTIBACTERIAL/BI
           127 ANTIBACTERIALLY/BI
          2974 ANTIBACTERIALS/BI
L24      67997 (ANTIBACTERIA/BI OR ANTIBACTERIAL/BI OR ANTIBACTERIALLY/BI OR
               ANTIBACTERIALS/BI)

=> d his

     (FILE 'HOME' ENTERED AT 12:22:43 ON 24 SEP 2003)

     FILE 'REGISTRY' ENTERED AT 12:22:50 ON 24 SEP 2003
                E ANDROSTAANE
                E ANDROSTANE
L1         16925 S E3
L2             0 S 17 AMINO ANDROSTANE
L3             4 S AMINO ANDROSTANE

     FILE 'CAPLUS' ENTERED AT 12:26:28 ON 24 SEP 2003
L4         21559 S L1
L5             2 S L3

     FILE 'REGISTRY' ENTERED AT 12:30:59 ON 24 SEP 2003
L6             1 S 130887-50-2/RN
                 SET NOTICE 1 DISPLAY
                 SET NOTICE LOGIN DISPLAY

     FILE 'CAPLUS' ENTERED AT 12:31:56 ON 24 SEP 2003
L7        488868 S BACTERIAL OR BACTERIA OR BACILLUS OR ANTIBACTERIAL
L8           264 S L7 AND L4
                 E AMINE
L9        238606 S E3
L10            4 S L8 AND L9
                 E AMINO
L11       949155 S E3
L12           30 S L8 AND L11
L13           27 S L12 NOT L10
L14       524263 S NITROGEN
L15            6 S L8 AND L14
L16            5 S L15 NOT L10
L17            3 S L16 NOT L13
                 E GRAM
L18        45997 S E3
L19         3743 S L18 AND POSITIVE
L20            3 S L19 AND L8
L21           53 S L8 AND BACILLUS
L22           53 S L21 NOT L10
L23           47 S L22 NOT L13
                 E ANTIBACTERIAL
L24        67997 S E2-E5

=> s l24 and l4
L25           33 L24 AND L4
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=> s l25 not l10
L26         31 L25 NOT L10

=> s l26 not l131
L131 NOT FOUND
The L-number entered could not be found.  To see the definition
of L-numbers, enter DISPLAY HISTORY at an arrow prompt (=>).

=> s l26 not l13
L27         25 L26 NOT L13

=> d l27 10-25

L27  ANSWER 10 OF 25  CAPLUS  COPYRIGHT 2003 ACS on STN
AN   1999:736476  CAPLUS
DN   131:346535
TI   Use of neomycin for treating angiogenesis-related diseases
IN   Hu, Guo-Fu; Vallee, Bert L.
PA   The Endowment for Research In Human Biology, Inc., USA
SO   PCT Int. Appl., 74 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ---------------   ----  --------      ---------------  --------
PI   WO 9958126         A1   19991118      WO 1999-US10269  19990511
         W:  AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
             MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
             MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2331620         AA   19991118      CA 1999-2331620  19990511
     AU 9939804         A1   19991129      AU 1999-39804    19990511
     EP 1083896         A1   20010321      EP 1999-922915   19990511
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, FI
     US 6482802         B1   20021119      US 2000-700436   20001109
PRAI US 1998-84921P     P    19980511
     WO 1999-US10269    W    19990511
RE.CNT  1     THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27  ANSWER 11 OF 25  CAPLUS  COPYRIGHT 2003 ACS on STN
AN   1996:623123  CAPLUS
DN   125:238654
TI   Potentiators of antibacterial agents useful for overcoming the
     resistance of a bacterial strain for an antibacterial agent
     alone, and screening methods
IN   Boggs, Amy; Trias, Joaquim; Hecker, Scott
PA   Microcide Pharmaceuticals, Inc., USA
SO   PCT Int. Appl., 88 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
```

PI	WO 9624684	A1	19960815	WO 1996-US1757	19960207
	W: AU, CA, CN, JP, KR, MX, SG				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5883074	A	19990316	US 1995-388109	19950208
	CA 2212464	AA	19960815	CA 1996-2212464	19960207
	AU 9649194	A1	19960827	AU 1996-49194	19960207
	EP 809708	A1	19971203	EP 1996-905430	19960207
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	JP 10513361	T2	19981222	JP 1996-524440	19960207
PRAI	US 1995-388109		19950208		
	WO 1996-US1757		19960207		
OS	MARPAT 125:238654				

L27 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1996:431547 CAPLUS
DN 125:86983
TI Preparation of azacholestanones and azaandrostanones as 5.alpha.-reductase inhibitors
IN Waldstreicher, Joanne
PA Merck and Co., Inc., USA
SO PCT Int. Appl., 169 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9612817	A1	19960502	WO 1995-US13440	19951017
	W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5543417	A	19960806	US 1994-327078	19941021
	CA 2199980	AA	19960502	CA 1995-2199980	19951017
	AU 9538964	A1	19960515	AU 1995-38964	19951017
	AU 688994	B2	19980319		
	EP 792371	A1	19970903	EP 1995-938276	19951017
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 10507759	T2	19980728	JP 1995-514047	19951017
PRAI	US 1994-327078		19941021		
	WO 1995-US13440		19951017		
OS	MARPAT 125:86983				

L27 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1996:394088 CAPLUS
DN 125:58851
TI Combination method for acne treatment
IN Waldstreicher, Joanne
PA Merck and Co., Inc., USA
SO PCT Int. Appl., 170 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9612487	A1	19960502	WO 1995-US13305	19951017
	W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO,				

RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

	CA 2199979	AA	19960502	CA 1995-2199979	19951017
	AU 9538336	A1	19960515	AU 1995-38336	19951017
	AU 694576	B2	19980723		
	EP 786999	A1	19970806	EP 1995-936349	19951017
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 10508586	T2	19980815	JP 1996-514031	19951017
PRAI	US 1994-327171	A	19941021		
	WO 1995-US13305	W	19951017		

OS MARPAT 125:58851

L27 ANSWER 14 OF 25 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1989:534692 CAPLUS
DN 111:134692
TI Preparation of new nucleotide derivatives as **antibacterials** and nucleic acid hybridization probes
IN Segev, David
PA Tamir Biotechnology Ltd., Israel
SO Eur. Pat. Appl., 57 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 267996	A1	19880525	EP 1986-309090	19861120
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
PRAI	EP 1986-309090		19861120		

OS CASREACT 111:134692

L27 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1977:584779 CAPLUS
DN 87:184779
TI Antimicrobial compositions
IN Saltzman, William H.
PA Intellectual Property Development Corp., USA
SO U.S., 4 pp. Cont.-in-part of U.S. 3,931,403.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4029775	A	19770614	US 1975-644688	19751229
	US 3931403	A	19760106	US 1974-523627	19741114
PRAI	US 1973-363460		19730525		
	US 1974-523627		19741114		

L27 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1974:37391 CAPLUS
DN 80:37391
TI 3,5-Androstadieno-[3,4-d]-(2'-imino-3'-substituted)-thiazolines, isomers and intermediates
IN Popper, Thomas L.
PA Schering Corp.
SO U.S., 9 pp.
CODEN: USXXAM
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3772283	A	19731113	US 1973-328582	19730201
PRAI	US 1973-328582		19730201		

L27 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1974:12303 CAPLUS
DN 80:12303
TI Steroid I-dehydrogenation and side-chain degradation enzymes in the life cycle of Fusarium solani
AU Hafez-Zedan, Hamdallah; Plourde, Rosaire
CS Fac. Pharm., Univ. Montreal, Montreal, QC, Can.
SO Biochimica et Biophysica Acta (1973), 326(1), 103-15
CODEN: BBACAQ; ISSN: 0006-3002
DT Journal
LA English

L27 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1971:406192 CAPLUS
DN 75:6192
TI 8-Substituted androstanolones
IN Nagata, Wataru; Takegawa, Bunichi
PA Shionogi and Co., Ltd.
SO Jpn. Tokkyo Koho, 6 pp.
CODEN: JAXXAD
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 46002331	B4	19710121	JP	19660831

L27 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1969:58133 CAPLUS
DN 70:58133
TI Steroidal cyclic sulfones
IN Daum, Sol J.; Clarke, Robert L.
PA Sterling Drug Inc.
SO U.S., 3 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3422094	A	19690114	US 1966-585760	19661011
PRAI	US 1966-585760		19661011		

L27 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1968:419417 CAPLUS
DN 69:19417
TI (Optionally 17-alkylated)-3-oxa-5.alpha.-androstan-17.beta.-ols, corresponding and intermediates
IN Pappo, Raphael; Scaros, Mike G.
PA Searle, Gd. and Co.
SO U.S., 4 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	US 3359282		19671219	US	19650924

L27 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1968:410621 CAPLUS
DN 69:10621
TI 1.alpha.-Sulfonated steroids
IN Klimstra, Paul D.
PA Searle, G. D., + Co.
SO U.S., 2 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3338927		19670829	US	19651126

L27 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1967:44440 CAPLUS
DN 66:44440
TI Effect of azasteroids on gram-positive bacteria
AU Varricchio, Frederick; Doorenbos, Norman J.; Stevens, Audrey
CS Sch. of Med., Univ. of Maryland, Baltimore, MD, USA
SO Journal of Bacteriology (1967), 93(2), 627-35
CODEN: JOBAAY; ISSN: 0021-9193
DT Journal
LA English

L27 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1966:93744 CAPLUS
DN 64:93744
OREF 64:17680g-h,17681a-h,17682a-e
TI 6-Halomethylandrostanes
IN Bowers, Albert; Edwards, John A.
PA Syntex Corp.
SO 12 pp.
DT Patent
LA Unavailable
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3239541		19660308	US	19600927

L27 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1965:85301 CAPLUS
DN 62:85301
OREF 62:15243e-f
TI Structure-activity relations in the field of **antibacterial** steroid acids
AU Fried, Josef; Krakower, Gerald W.; Rosenthal, David; Basch, Harold
CS Squibb Inst. for Med. Res., New Brunswick, NJ
SO Journal of Medicinal Chemistry (1965), 8(3), 279-82
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English

L27 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1960:121303 CAPLUS
DN 54:121303
OREF 54:23198f-g
TI Analysis and **antibacterial** tests on the Chinese drugs from

animal excrements
AU Hsu, Hung-Yuan; Chen, Yu-Pan
CS Prov. Hyg. Lab. Formosa
SO Taiwan Yaoxue Zazhi (1959), 11, 23-7
CODEN: JTPHAO; ISSN: 0368-4520
DT Journal
LA English

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6 ANSWERS ARE AVAILABLE. SPECIFIED ANSWER NUMBER EXCEEDS ANSWER SET SIZE
The answer numbers requested are not in the answer set.
ENTER ANSWER NUMBER OR RANGE (1):1

L15 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:356232 CAPLUS
DN 138:362635
TI Opioid inhibitors of ABC drug transporters in microbial cells, and use with antimicrobial compounds for the treatment of microbial infections
IN Schoenhard, Grant L.
PA Pain Therapeutics, Inc., USA
SO PCT Int. Appl., 131 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K031-00
CC 1-5 (Pharmacology)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003037310	A2	20030508	WO 2002-US17153	20020531
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003130171	A1	20030710	US 2001-107	20011030
PRAI	US 2001-107	A	20011030		

OS MARPAT 138:362635
AB The invention relates to microbial infections, including those involving multidrug resistance and, in particular, to opioid compds. that are inhibitors of drug transporters of the ABC protein superfamily. The invention provides methods of treating microbial infections using antimicrobial agents and opioid inhibitors of such transporters. The invention also provides methods for selecting or identifying compds. for the ability to inhibit drug transporter proteins, as well as methods for inhibiting drug transporter proteins. The invention discloses the use of opioid receptor antagonists in the treatment of microbial infections, including multidrug-resistant microbial infections.
ST opioid ABC transporter inhibitor antimicrobial multidrug resistance; antimicrobial screening opioid ABC transporter inhibitor
IT Transport proteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(ABC (ATP-binding cassette) transporters; opioid inhibitors of ABC drug transporters in microbial cells, and use with antimicrobial compds. for treatment of microbial infections)
IT Proteins

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(PGP1a, homologs; opioid inhibitors of ABC drug transporters in microbial cells, and use with antimicrobial compds. for treatment of microbial infections)

IT Amines, biological studies
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(allyl; opioid inhibitors of ABC drug transporters in microbial cells, and use with antimicrobial compds. for treatment of microbial infections)

IT Antibiotics
(aminoglycoside; opioid inhibitors of ABC drug transporters in microbial cells, and use with antimicrobial compds. for treatment of microbial infections)

IT Polyenes
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antifungal; opioid inhibitors of ABC drug transporters in microbial cells, and use with antimicrobial compds. for treatment of microbial infections)

IT Toxicity
(drug, antimicrobial; opioid inhibitors of ABC drug transporters in microbial cells, and use with antimicrobial compds. for treatment of microbial infections)

IT Biological transport
(drug; opioid inhibitors of ABC drug transporters in microbial cells, and use with antimicrobial compds. for treatment of microbial infections)

IT P-glycoproteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(homologs; opioid inhibitors of ABC drug transporters in microbial cells, and use with antimicrobial compds. for treatment of microbial infections)

IT Heterocyclic compounds
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**nitrogen**, five-membered, azole antifungal agents; opioid inhibitors of ABC drug transporters in microbial cells, and use with antimicrobial compds. for treatment of microbial infections)

IT Absidia
Acidaminococcus
Acinetobacter
Aeromonas
Antibacterial agents
Antimalarials
Antimicrobial agents
Aspergillus
Bacillus (bacterium genus)
Basidiobolus
Blastomyces
Bordetella
Brucella
Calymmatobacterium
Campylobacter
Candida
Cardiobacterium
Chromobacterium
Citrobacter
Clostridium
Coccidioides
Conidiobolus
Corynebacterium

Cryptococcus (fungus)
Cunninghamella
Drug delivery systems
Drug interactions
Drug screening
Edwardsiella
Enterobacter
Enterococcus
Erysipelothrix
Escherichia
Eubacterium
Flavobacterium
Francisella
Fungicides
Fusobacterium
Haemophilus
Histoplasma
Human
Klebsiella
Lactobacillus
Legionella
Leishmania
Listeria
Micrococcus
Molecular modeling
Moraxella
Morganella (bacterium)
Morganella (fungus)
Mortierella
Mucor
Multidrug resistance
Neisseria
Paracoccidioides
Pasteurella
Peptococcus
Peptostreptococcus
Pharmacophores
Plasmodium (malarial genus)
Plesiomonas
Propionibacterium
Proteus (bacterium)
Providencia
Pseudomonas
QSAR (structure-activity relationship)
Rhizopus
Saksenaea
Salmonella
Serratia
Shigella
Staphylococcus
Streptococcus
Veillonella
Vibrio
Yersinia
(opioid inhibitors of ABC drug transporters in microbial cells, and use with antimicrobial compds. for treatment of microbial infections)

IT Opioids
Sulfonamides
Tetracyclines
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(opioid inhibitors of ABC drug transporters in microbial cells, and use

with antimicrobial compds. for treatment of microbial infections)

IT **Antibacterial** agents
(quinolone; opioid inhibitors of ABC drug transporters in microbial cells, and use with antimicrobial compds. for treatment of microbial infections)

IT 9000-83-3, ATPase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(PGP-assocd. ATPase activity; opioid inhibitors of ABC drug transporters in microbial cells, and use with antimicrobial compds. for treatment of microbial infections)

IT 20830-75-5, Digoxin 144817-91-4 144817-92-5 144817-95-8
144817-96-9 144818-06-4 169388-26-5 169388-27-6 169388-28-7
169388-30-1 169388-52-7 169388-53-8 169388-54-9 169388-55-0
193900-90-2 432491-35-5
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(opioid inhibitors of ABC drug transporters in microbial cells, and use with antimicrobial compds. for treatment of microbial infections)

IT 56-75-7, Chloramphenicol 60-54-8, Tetracycline 60-54-8D, Tetracycline, derivs. 68-41-7, Cycloserine 114-07-8, Erythromycin 738-70-5, Trimethoprim 1404-90-6, Vancomycin 1405-87-4, Bacitracin 1406-05-9, Penicillin 1406-05-9D, Penicillin, derivs. 6998-60-3, Rifamycin 6998-60-3D, Rifamycin, derivs. 11111-12-9, Cephalosporin 11111-12-9D, Cephalosporin, derivs. 16590-41-3, Naltrexone 18323-44-9, Clindamycin 19045-66-0D, Thiocarbamic acid, derivs. 20830-81-3, Daunomycin 23214-92-8, Doxorubicin 30516-87-1, Zidovudine 32986-56-4, Tobramycin 49625-89-0 59277-89-3, Acyclovir 82410-32-0, Ganciclovir 134678-17-4, Lamivudine 270076-60-3, Pristinamycin 270076-60-3D, Pristinamycin, derivs.
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(opioid inhibitors of ABC drug transporters in microbial cells, and use with antimicrobial compds. for treatment of microbial infections)

IT 76-41-5 77-09-8 465-65-6 466-99-9 639-47-4 847-86-9 2321-07-5
2784-73-8 3371-56-0 3811-48-1 4829-46-3 6310-69-6 6331-97-1
6635-15-0 6642-06-4 6955-22-2 10190-94-0 13647-35-3 14528-91-7
18137-27-4 18172-33-3 18500-85-1 21522-32-7 26121-57-3
36132-29-3 41093-72-5 55096-26-9 **57904-67-3**
57904-69-5 59222-16-1 62086-35-5 63732-71-8 63732-74-1
66641-10-9 66944-75-0 73349-44-7 74466-75-4 79060-69-8
85201-34-9 88015-42-3 96057-96-4 101733-83-9 102616-64-8
102616-66-0 102616-68-2 102616-79-5 111054-65-0 112535-24-7
116385-15-0 116385-21-8 116408-96-9 116408-97-0 134864-26-9
145761-23-5 148238-44-2 148238-45-3 152828-39-2 161287-56-5
170963-80-1 210984-34-2 241127-59-3 257295-45-7 260355-15-5
260368-11-4 260798-51-4 260798-56-9 263699-70-3 263699-84-9
282524-92-9 293766-18-4 294656-72-7 294877-20-6 299420-77-2
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326900-79-2 331452-24-5 331835-05-3 333442-74-3 333442-75-4
333442-81-2 333770-57-3 333770-66-4 333770-94-8 333771-02-1
333771-03-2 333771-06-5 335206-28-5 337353-98-7 337474-34-7
346633-91-8 352520-81-1 358355-24-5 358355-25-6 358355-46-1
358355-76-7 364340-96-5 364341-07-1 364616-25-1 376386-50-4
387829-00-7 397849-10-4 402612-66-2 414899-18-6 415944-49-9
423745-55-5 425390-41-6 432491-99-1 432492-00-7 432492-01-8
432492-02-9 432492-03-0 432492-04-1 432492-05-2 432492-06-3
432492-07-4 432492-08-5 432492-09-6 432492-10-9 432492-11-0
432492-12-1 432492-14-3 432492-15-4 432492-17-6 432492-18-7
432492-19-8 432492-20-1 432492-21-2 432492-22-3 432492-23-4
432492-24-5 432492-25-6 432492-26-7 432492-27-8 432492-28-9
432492-29-0 432492-30-3 432492-31-4 432492-32-5 432492-33-6
432492-38-1 432492-39-2 432492-40-5 432492-41-6 432492-42-7
432492-43-8 432492-44-9 432492-45-0 432492-46-1 432492-47-2

432492-49-4 432492-50-7 433232-14-5 487022-70-8 521282-34-8
521282-46-2 521282-59-7 521307-73-3 521307-74-4
RL: PRP (Properties)
(opioid inhibitors of ABC drug transporters in microbial cells, and use with antimicrobial compds. for treatment of microbial infections)

IT 174879-33-5
RL: PRP (Properties)
(unclaimed sequence; opioid inhibitors of ABC drug transporters in microbial cells, and use with antimicrobial compds. for the treatment of microbial infections)

=> d 125 25 all

L25 ANSWER 25 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1968:419417 CAPLUS
DN 69:19417
TI (Optionally 17-alkylated)-3-oxa-5.alpha.-androstan-17.beta.-ols, corresponding and intermediates
IN Pappo, Raphael; Scaros, Mike G.
PA Searle, Gd. and Co.
SO U.S., 4 pp.
CODEN: USXXAM
DT Patent
LA English
NCL 260345200
CC 32 (Steroids)
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3359282		19671219	US	19650924

GI For diagram(s), see printed CA Issue.
AB The title compds. (I, R = H or lower alkanoyl, X = H or lower alkyl) are useful as **antibacterial**, antiprotozoal, and antialgal agents. K metal (3.2 parts) was heated in 160 parts tert-BuOH until dissolved, 24 parts 17.alpha.-hydroxy-17.alpha.-methyl-5.alpha.-androstan-3-one added, the mixt. shaken under O at 10-30 psi. 5 days, the mixt. dild. with 240 parts MeOH and 150 parts H2O, 24 parts NaBH4 added, the mixt. held 16 hrs. at room temp., H2O 100 added, the soln. distd. in vacuo, the residue filtered, the filtrate extd. with CHCl3, the aq. layer sepd., made acidic with HCl, and extd. with CHCl3, and the exts. washed with cold 5% aq. NaOH, dried, and stripped of solvent in vacuo to give a mixt. of 17.beta.-hydroxy-17.alpha.-methyl-3-oxa-5.alpha.-androstan-2-one and 17.alpha.-hydroxy-17.alpha.-methyl-2-oxa-5.alpha.-androstan-3-one. The mixt. was dissolved in MeOH 80, NaOH 2 in H2O 2 parts added, held 5 min. at room temp., extd. with C6H6, the org. layer sepd., and worked up to give 17.beta.-hydroxy-17.alpha.-methyl-3-oxa-5.alpha.-androstan-2-one, m. 213-17.degree.. Similarly prepd. were 17.alpha.-ethyl-17.beta.-hydroxy-3-oxo-2,3-seco-A-nor-5.alpha.-androstan-2-oic acid; 17.alpha.-ethyl-17.beta.-hydroxy-3-oxa-5.alpha.-androstan-2-one; and 17.beta.-acetoxy-3-oxa-5.alpha.-androstan-2-one, m. 174-7.degree.. 17.beta.-Hydroxy-17.alpha.-methyl-3-oxa-5.alpha.-androstan-2-one (1.82 parts) in 162 parts tetrahydrofuran was mixed with 1.8 parts LiAlH4, then 54 parts tetrahydrofuran added, the mixt. stirred under N at room temp. 16 hrs., then refluxed 2 hrs., cooled, and worked up to give 17.alpha.-methyl-2,3-seco-A-nor-5.alpha.-androstane-2,3,17.beta.-triol (II), m. 207-9.degree.. II (1.8 parts) was dissolved in 30 parts C5H5N, cooled to room temp., 15 parts Ac2O added, held at room temp. 21 hrs., dild. carefully with ice, and worked up to give 17.alpha.-methyl-2,3-seco-A-nor-5.alpha.-androstane-2,3,17.beta.-triol 2,3-diacetate. Similarly were prepd. 17.alpha.-methyl-3-oxa-5.alpha.-androstan-17.beta.-ol, m. 180-3.degree.; 3-oxa-5.alpha.-androstan-17.beta.-ol, m. 125-7.degree.;

3-oxa-5.alpha.-androstan-17.beta.-ol 17-acetate, m. 115-16.5.degree.; 17.alpha.-ethyl-2,3-seco-A-nor-5.alpha.-androstan-2,3,17.beta.-triol; 17.alpha.-ethyl-2,3 - seco - A - nor - 5.alpha. - androstane-2,3,17.beta.-triol 2,3-dipropionate; 17.alpha.-ethyl-3-oxa-5.alpha.-androstan-17.beta.-ol; 3-oxa-5.alpha.-androstan-17.beta.-ol 17-propionate; and 2,3-seco-A-nor-5.alpha.-androstane-2,3,17.beta.-triol 2,3,17-triacetate.

ST oxa androstanols esters; esters oxa androstanols; androstanols esters oxa

IT 3-Oxasteroids
(17-alkyl 17-hydroxy)

IT Cyclopenta[5,6]naphtho[2,1-c]pyran, 3-oxaandrostane derivs.
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

IT 7419-90-1P 13263-04-2P 13409-01-3P **18898-03-8P**
18898-04-9P 18898-05-0P 18898-06-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

=> d 125 24 all

L25 ANSWER 24 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1969:58133 CAPLUS
DN 70:58133
TI Steroidal cyclic sulfones
IN Daum, Sol J.; Clarke, Robert L.
PA Sterling Drug Inc.
SO U.S., 3 pp.
CODEN: USXXAM
DT Patent
LA English
NCL 260239500
CC 32 (Steroids)
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 3422094	A	19690114	US 1966-585760	19661011
PRAI US 1966-585760		19661011		

AB 17.beta.-Acetoxy-5.alpha.-androstan-3-one (7.64 g.) in 75 ml. HOAc with 7 ml. HSCH2CH2SH and 5 ml. BF3.Et2O at room temp. 30 min. gave the ethanedithiol ketal (I), m. 183-5.degree.. Addn. of 400 ml. monoperphthalic acid in Et2O (100 mg./ml.) to 9.25 g. I in 250 ml. tetrahydrofuran and reaction at room temp. 3 days afforded 17.beta.-acetoxy-3,3-ethylenedisulfonyl-5.alpha.-androstane (II), m. 316-18.degree., [.alpha.]25D 12.2.degree. (c 1.0, CHCl3). II (2 g.), 2 g. NaOMe, and 150 ml. MeOH under reflux 2 hrs., concn. to half vol., addn. of H2O (400 ml.), ether extn., heating the aq. layer 30 min. on a steam bath, bubbling O through the soln. for 10 min., and keeping overnight at room temp. gave 5.alpha.-androstan-17.beta.-ol-3-one, m. 176-9.degree.. Similarly prepd. are 17.beta.-acetoxy-5.alpha.-androstan-2-one ethanedithiol ketal, m. 203.5-5.0.degree.; 17.beta.-acetoxy-2,2-ethylenedisulfonyl-5.alpha.-androstane, m. 258.4-60.4.degree., [.alpha.]25D 17.0.degree. (c 1.0, CHCl3); cholestan-3-one ethanedithiol ketal, m. 142-4.degree.; 3,3-ethylenedisulfonyl-cholestane, m. 293-4.degree. [MeOH-CH2Cl2), [.alpha.]25D 26.9.degree.. Title compds. have **antibacterial** and antifungal activity.

ST steroidal sulfones; sulfones steroidal; androstane sulfones; cholestane sulfones

IT Steroids, preparation
RL: PREP (Preparation)
(oxo, cyclic sulfones)

IT 2H-Cyclopenta[a]phenanthrene, spiro derivs.
Spiro[2H-cyclopenta[a]phenanthrene-2,2'-[1,3]dithiolane], androstane

derivs.
Spiro[3H-cyclopenta[a]phenanthrene-3,2'-[1,3]dithiolane], steroid derivs.
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
IT **521-18-6P** 14303-19-6P 14735-31-0P 21362-74-3P 21362-77-6P
21362-78-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

=> d l25 22 all

L25 ANSWER 22 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1971:406192 CAPLUS
DN 75:6192
TI 8-Substituted androstanolones
IN Nagata, Wataru; Takegawa, Bunichi
PA Shionogi and Co., Ltd.
SO Jpn. Tokkyo Koho, 6 pp.
CODEN: JAXXAD
DT Patent
LA Japanese
IC C07C; A61K
CC 32 (Steroids)
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 46002331	B4	19710121	JP	19660831

AB 8.beta.-Substituted 17.beta.-hydroxy-5.alpha.-androstan-3-ones, useful as antiandrogenic, **antibacterial** drugs, etc., are prepd. Thus, 8.beta.-cyano-5.alpha.-androstane-3,17-dione in MeOH is refluxed 45 min with p-toluenesulfonic acid to give 8.beta.-cyano-3,3-dimethoxy-5.alpha.-androstan-17-one (I). I in MeOH is kept 1 hr with NaBH4, and the resulting 8.beta.-cyano-3,3-dimethoxy-5.alpha.-androstan-17.beta.-ol kept 30 min with 10% HClO4 in dioxane to give 8.beta.-cyano-17.beta.-hydroxy-5.alpha.-androstan-3-one (I). Similarly prepd. are 5 other I analogs.
ST antiandrogenic androstanolones; **antibacterial** androstanolones
IT Steroids, preparation
RL: PREP (Preparation)
(8-substituted)
IT **30002-32-5P 32012-29-6P 32012-30-9P**
32012-31-0P **32012-32-1P** 32012-33-2P 32012-34-3P
32012-35-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

=> d l25 20 all

L25 ANSWER 20 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1974:37391 CAPLUS
DN 80:37391
TI 3,5-Androstadieno-[3,4-d]-(2'-imino-3'-substituted)-thiazolines, isomers and intermediates
IN Popper, Thomas L.
PA Schering Corp.
SO U.S., 9 pp.
CODEN: USXXAM
DT Patent
LA English
IC C07C
NCL 260239500

CC 32-4 (Steroids)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3772283	A	19731113	US 1973-328582	19730201
PRAI	US 1973-328582		19730201		

GI For diagram(s), see printed CA Issue.

AB Androstadienothiazolines I and II and their quaternary salts III (R, R1 = H, Me, Et, Pr; R = OHC; R2 = H, Me; R3 = OH; R4 = Me, C.tplbond.CH; R3R4 = O) (15 compds.) were prepd. by treating 4,5-epoxyandrostan-3-ones with RNHCSNHR1. Thus, 380 mg 4.alpha.,5-epoxy-5.alpha.-androstane-3,17-dione was refluxed with 570 mg MeNHCSNHMe to give 248 mg I (R-R2 = Me, R3R4 = O) which was treated with MeI to give III (R5 = me). Androstadienothiazolines I possessed contraceptive and antilipogenic activity, and their quaternary salts III possessed **antibacterial** activity.

ST androstadienothiazoline contraceptive antilipogenic; quaternary androstadienothiazoline **antibacterial**

IT Steroids, preparation
RL: PREP (Preparation)
([3,4-d]thiazoline)

IT Contraceptives
(androstadienothiazolines as)

IT Lipids
RL: FORM (Formation, nonpreparative)
(formation of, androstadienothiazolines as lowering agents for)

IT Bactericides, disinfectants and antiseptics
(quaternary androstadienothiazolines)

IT **7430-11-7 17503-11-6** 51086-64-7 51154-09-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with thioureas)

IT 51086-51-2P 51086-52-3P 51086-53-4P 51086-54-5P 51086-55-6P
51086-56-7P 51086-57-8P 51086-58-9P 51086-59-0P 51086-60-3P
51086-61-4P 51086-62-5P 51086-63-6P 51154-10-0P 51168-34-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

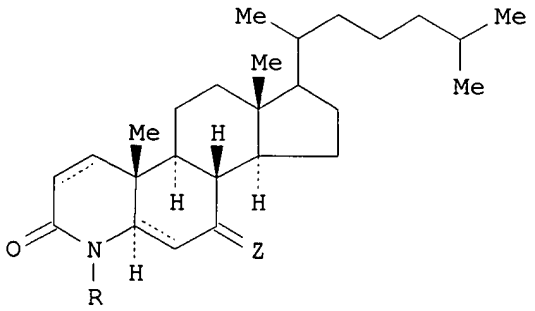
IT 105-55-5 534-13-4 26536-60-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with epoxyandrostanones)

IT 62-56-6, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(with epoxyandrostanones)

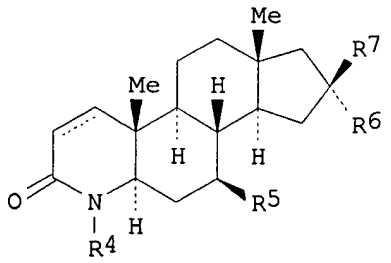
=> d l25 15 all

L25 ANSWER 15 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1996:431547 CAPLUS
DN 125:86983
TI Preparation of azacholestanones and azaandrostanones as 5.alpha.-reductase inhibitors
IN Waldstreicher, Joanne
PA Merck and Co., Inc., USA
SO PCT Int. Appl., 169 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C12P033-20
ICS C12P033-10; C12N001-10
CC 32-7 (Steroids)
Section cross-reference(s): 1, 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9612817	A1	19960502	WO 1995-US13440	19951017
	W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5543417	A	19960806	US 1994-327078	19941021
	CA 2199980	AA	19960502	CA 1995-2199980	19951017
	AU 9538964	A1	19960515	AU 1995-38964	19951017
	AU 688994	B2	19980319		
	EP 792371	A1	19970903	EP 1995-938276	19951017
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 10507759	T2	19980728	JP 1995-514047	19951017
PRAI	US 1994-327078		19941021		
	WO 1995-US13440		19951017		
OS	MARPAT 125:86983				
GI					



I



II

AB The title compds. [I; II; the dotted lines = null, bond; R = H, Me, Et, OH, NH2, SMe; Z = O, .alpha.-H and .beta.-substituent from alkyl, alkenyl, CH2COOH, OH, COOH, COO-alkyl, OC(O)NR1R2, etc.; R1R2 = O, or one of them is .alpha.-H and the other is C1-4 alkyl, CH2-COOH, etc.; R4, R5 = C1-10 alkyl; R6 and R7 = H, Me, amino, cyano, etc.], which, in combination with **antibacterials**, keratolytics, and/or antiinflammatories, are useful for treatment of acne. Thus, 7.beta.-ethylcholest-4-en-3-one, prepd. in 5 steps from cholesterol 3-acetate (via 7-oxidn. using Cr(CO)6-BuOOH, Grignard reaction with EtMgCl, treatment with Al(OiPr)3, redn. with Li-NH3, and isomerization in the presence of DBU), was cleaved with KMnO4/NaIO4/t-BuOH, and the resulting 7.beta.-ethyl-17.beta.-(6-methyl-2-heptyl)-5-oxo-A-nor-3,5-secoandrostan-3-oic acid reacted with methylamine HCl to give the title compd. 7.beta.-ethyl-4-methyl-4-

azacholest-5-en-3-one. In an inhibition study using human prostatic and scalp 5.alpha.-reductases, the IC50 values of I and II were under 600 nM.

ST azacholestanone prepn reductase inhibitor; azaandrostanone prepn reductase inhibitor; cholestanone aza prepn reductase inhibitor; androstanone aza prepn reductase inhibitor

IT Keratins
RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)
(keratolytics; use in pharmaceuticals contg. steroidal 5.alpha.-reductase inhibitors)

IT Bactericides, Disinfectants, and Antiseptics
(prepn. of azacholestanones and azaandrostanones as 5.alpha.-reductase inhibitors)

IT Inflammation inhibitors
(use in pharmaceuticals contg. steroidal 5.alpha.-reductase inhibitors)

IT Acne
(vulgaris, prepn. of azacholestanones and azaandrostanones as 5.alpha.-reductase inhibitors)

IT 9081-34-9, 5.alpha.-Reductase
RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)
(inhibitors; prepn. of azacholestanones and azaandrostanones as 5.alpha.-reductase inhibitors)

IT 1080-32-6, Diethyl benzylphosphonate 2682-86-2, Diethyl 3-pyridylmethylphosphonate 3762-25-2, Diethyl 4-methylbenzylphosphonate 16666-78-7, Propylidenetriphenylphosphorane 39225-17-7, Diethyl 4-chlorobenzylphosphonate
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of)

IT 151192-95-9P 158493-04-0P 158493-05-1P 158493-10-8P 158493-12-0P
158493-13-1P 158493-14-2P 158493-15-3P 158493-16-4P 158493-18-6P
158493-19-7P 158493-20-0P 158493-22-2P 158493-34-6P 158493-35-7P
158493-38-0P **166174-28-3P** 166174-29-4P 166174-30-7P
166174-31-8P 166174-38-5P **166174-42-1P** 166174-43-2P
166174-44-3P 166174-45-4P 166174-46-5P 166174-47-6P 166174-48-7P
166174-49-8P 166174-57-8P 166174-59-0P 166174-60-3P 166174-61-4P
166174-65-8P 166174-66-9P 166174-67-0P 166174-84-1P 166174-89-6P
166174-91-0P 166174-92-1P 166174-93-2P 166174-96-5P 166175-16-2P
166175-17-3P 166175-18-4P 166175-19-5P 166175-21-9P 166895-38-1P
166895-39-2P 166895-40-5P 166895-41-6P 166895-42-7P 178358-44-6P
178358-49-1P 178358-50-4P 178693-76-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of azacholestanones and azaandrostanones as 5.alpha.-reductase inhibitors)

IT 151192-96-0P 158493-06-2P 158493-07-3P 158493-09-5P 158493-11-9P
158493-17-5P 158493-21-1P 158493-23-3P 158493-24-4P 158493-25-5P
158493-26-6P 158493-32-4P 158493-37-9P 166174-32-9P 166174-34-1P
166174-35-2P 166174-36-3P 166174-39-6P 166174-50-1P 166174-51-2P
166174-52-3P 166174-53-4P 166174-54-5P 166174-55-6P 166174-58-9P
166174-62-5P 166174-68-1P 166174-69-2P 166174-70-5P 166174-71-6P
166174-72-7P 166174-73-8P 166174-74-9P 166174-75-0P 166174-76-1P
166174-77-2P 166174-78-3P 166174-79-4P 166174-80-7P 166174-81-8P
166174-82-9P 166174-85-2P 166174-86-3P 166174-90-9P 166174-94-3P
166174-95-4P 166174-97-6P 166174-98-7P 166174-99-8P 166175-00-4P
166175-02-6P 166175-20-8P 166175-22-0P 166175-23-1P 166175-24-2P
166175-26-4P 166175-27-5P 166175-28-6P 166175-29-7P 166895-43-8P
178249-54-2P 178358-45-7P 178358-46-8P 178693-74-8P
178693-78-2P 178898-90-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of azacholestanones and azaandrostanones as 5.alpha.-reductase inhibitors)

IT 62-23-7, 4-Nitrobenzoic acid 74-88-4, Iodomethane, reactions 75-03-6, Iodoethane 75-11-6, Diiodomethane 75-16-1, Methylmagnesium bromide 75-36-5, Acetyl chloride 98-59-9, Tosyl chloride 98-88-4, Benzoyl chloride 100-39-0, Benzyl bromide 106-95-6, Allyl bromide, reactions 107-08-4, 1-Iodopropane 352-33-0, 1-Fluoro-4-chlorobenzene 402-44-8, 1-Fluoro-4-(trifluoromethyl)benzene 452-73-3 540-36-3, 1,4-DiFluorobenzene 593-51-1, Methylamine hydrochloride 604-35-3, Cholesteryl acetate 809-51-8 870-63-3, 3,3-Dimethylallyl bromide 930-69-8 1194-02-1, p-Fluorobenzonitrile 1730-25-2, Allylmagnesium bromide 2386-64-3, Ethylmagnesium chloride 3173-56-6, Benzyl isocyanate 3887-61-4 5758-88-3 7143-01-3, Methanesulfonic acid anhydride 10486-08-5 18803-44-6 19488-09-6 **86284-03-9** 98946-18-0 166174-83-0 166174-88-5 178693-75-9 178693-77-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of azacholestanones and azaandrostanones as 5.alpha.-reductase inhibitors)

IT 149280-70-6P 149280-76-2P 158493-08-4P 158493-39-1P 158493-40-4P 158493-41-5P 158493-42-6P 158493-43-7P 158493-44-8P 158493-45-9P 158493-46-0P 158493-47-1P 158493-49-3P 158493-50-6P 158493-51-7P 158493-52-8P 158569-27-8P **166174-26-1P 166174-27-2P** 166174-33-0P 166174-37-4P **166174-41-0P** 166174-56-7P 166174-63-6P 166174-64-7P 166895-37-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of azacholestanones and azaandrostanones as 5.alpha.-reductase inhibitors)

IT **158938-58-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of azacholestanones and azaandrostanones as 5.alpha.-reductase inhibitors)

=> d l25 11 all

L25 ANSWER 11 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2000:383940 CAPLUS
DN 133:13157
TI Use of 17-ketosteroid compounds and derivatives, metabolites and precursors thereof in the treatment of malaria and the treatment of African and American trypanosomiasis
IN Ahlem, Clarence Nathaniel; Frincke, James Martin; Prendergast, Patrick T.
PA Hollis-Eden Pharmaceuticals, Inc., USA; Colthurst Ltd
SO PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K031-56
ICS A61P033-02; A61P033-06
CC 2-4 (Mammalian Hormones)
Section cross-reference(s): 63
FAN.CNT 9

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000032201	A2	20000608	WO 1999-US28079	19991124
WO 2000032201	A3	20001221		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,

MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA	2356539	AA	20000608	CA 1999-2356539	19991124
BR	9915623	A	20010814	BR 1999-15623	19991124
EP	1135138	A2	20010926	EP 1999-960591	19991124
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP	2002531407	T2	20020924	JP 2000-584896	19991124
NZ	511720	A	20021220	NZ 1999-511720	19991124
ZA	2001003852	A	20020611	ZA 2001-3852	20010511
PRAI US	1998-109923P	P	19981124		
US	1999-124087P	P	19990311		
US	1999-126056P	P	19990323		
WO	1999-US28079	W	19991124		

OS MARPAT 133:13157

AB The invention provides the use of 17-ketosteroid compds., as well as derivs., metabolites and precursors of such compds., and pharmaceutically acceptable salts of any of these compds., collectively defined herein as the "compds. of the present invention", in the treatment of malaria, African Trypanosomiasis and American Trypanosomiasis, or to ameliorate or reduce one or more symptoms assocd. with a Plasmodium or Trypanosoma infection. The present invention is further directed to the use of such compds. in the treatment or prevention of one or more kind of parasites and/or one or more diseases caused by such parasites, against one or more kind of Mycoplasma and/or one or more diseases caused by such Mycoplasmas and/or against one or more of the following indications or infections: (a) hairy Leukoplakia, (b) oral candidosis, (c) mouth ulcerations-aphthous/herpetic/bacterial, (d) fungal candida, (e) human papilloma virus, (f) molluscum contagiosum, (g) squamous oral carcinoma, (h) Kaposi's sarcoma oral lesions, (i) periodontitis, (j) necrotizing gingivitis, (k) orafacial herpes zoster, and (1) rotaviruses, as well as all other indications and infections. The compds. of the present invention may also be used to ameliorate or reduce one or more symptoms assocd. with any infection or condition disclosed herein. Formulations for compds. of the invention are also claimed and exemplified.

ST ketosteroid deriv formulation malaria trypanosomiasis treatment

IT Trypanosoma cruzi
(Chagas' disease from; use of 17-ketosteroid compds. and derivs., metabolites and precursors thereof in treatment of malaria and treatment of African and American trypanosomiasis)

IT Sarcoma
(Kaposi's, oral lesions; use of 17-ketosteroid compds. and derivs., metabolites and precursors in the treatment of various indications or infections)

IT Gingiva
(gingivitis, necrotizing; use of 17-ketosteroid compds. and derivs., metabolites and precursors in the treatment of various indications or infections)

IT Mouth
(hairy leukoplakia; use of 17-ketosteroid compds. and derivs., metabolites and precursors in the treatment of various indications or infections)

IT Human herpesvirus 3
(herpes zoster from, orafacial; use of 17-ketosteroid compds. and derivs., metabolites and precursors in the treatment of various indications or infections)

IT Periodontium
(periodontitis; use of 17-ketosteroid compds. and derivs., metabolites

and precursors in the treatment of various indications or infections)

IT Infection
(sleeping sickness; use of 17-ketosteroid compds. and derivs., metabolites and precursors thereof in treatment of malaria and treatment of African and American trypanosomiasis)

IT Mouth
(squamous cell carcinoma; use of 17-ketosteroid compds. and derivs., metabolites and precursors in the treatment of various indications or infections)

IT Macrophage
(stimulating factor; use of 17-ketosteroid compds. in combination with other therapeutic agents in treatment of malaria and African and American trypanosomiasis)

IT Mouth
(ulceration; use of 17-ketosteroid compds. and derivs., metabolites and precursors in the treatment of various indications or infections)

IT **Antibacterial** agents
Antitumor agents
Antiviral agents
Candida
Fungicides
Human immunodeficiency virus 1
Human immunodeficiency virus 2
Human papillomavirus
Molluscum contagiosum virus
Mycoplasma
Rotavirus
(use of 17-ketosteroid compds. and derivs., metabolites and precursors in the treatment of various indications or infections)

IT Antimalarials
Parasiticides
Plasmodium berghei
Plasmodium falciparum
Plasmodium malariae
Plasmodium ovale
Plasmodium vivax
Trypanosoma brucei
Trypanosoma cruzi
Trypanosoma gambiense
Trypanosoma rhodesiense
Trypanosomicides
(use of 17-ketosteroid compds. and derivs., metabolites and precursors thereof in treatment of malaria and treatment of African and American trypanosomiasis)

IT Drug delivery systems
(use of drug formulations contg. 17-ketosteroid compds. in treatment of malaria and treatment of African and American trypanosomiasis)

IT Interferons
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(.alpha.; use of 17-ketosteroid compds. in combination with other therapeutic agents in treatment of malaria and African and American trypanosomiasis)

IT 480-41-1, Naringenin 577-38-8, Flavanomarein 1692-45-1, Flavanone azine 1692-46-2, Flavanone hydrazone 4924-22-5 10236-47-2, Naringin 14259-47-3, Didymin 19879-30-2, Bavachinin 22888-70-6, Silybin 33889-69-9, Silychristin 70815-32-6, Silandrin 72581-71-6, Isosilybin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(plasma concn.-enhancing compd.; use of 17-ketosteroid compds. in

combination with a plasma concn.-enhancing compd. in treatment of malaria and African and American trypanosomiasis)

IT 53-43-0, Dehydroepiandrosterone **481-29-8**, Epiandrosterone **571-31-3** 651-48-9, Dehydroepiandrosterone-3-sulfate 1093-91-0, 16.alpha.-Bromodehydroepiandrosterone 28507-02-0
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of 17-ketosteroid compds. and derivs., metabolites and precursors thereof in treatment of malaria and treatment of African and American trypanosomiasis)

IT 36791-04-5, Ribavirin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of 17-ketosteroid compds. in combination with other therapeutic agents in treatment of malaria and African and American trypanosomiasis)

=> d his

(FILE 'HOME' ENTERED AT 12:22:43 ON 24 SEP 2003)

FILE 'REGISTRY' ENTERED AT 12:22:50 ON 24 SEP 2003
E ANDROSTAANE
E ANDROSTANE
L1 16925 S E3
L2 0 S 17 AMINO ANDROSTANE
L3 4 S AMINO ANDROSTANE

FILE 'CAPLUS' ENTERED AT 12:26:28 ON 24 SEP 2003
L4 21559 S L1
L5 2 S L3

FILE 'REGISTRY' ENTERED AT 12:30:59 ON 24 SEP 2003
L6 1 S 130887-50-2/RN
SET NOTICE 1 DISPLAY
SET NOTICE LOGIN DISPLAY

FILE 'CAPLUS' ENTERED AT 12:31:56 ON 24 SEP 2003
L7 488868 S BACTERIAL OR BACTERIA OR BACILLUS OR ANTIBACTERIAL
L8 264 S L7 AND L4
E AMINE
L9 238606 S E3
L10 4 S L8 AND L9
E AMINO
L11 949155 S E3
L12 30 S L8 AND L11
L13 27 S L12 NOT L10
L14 524263 S NITROGEN
L15 6 S L8 AND L14
L16 5 S L15 NOT L10
L17 3 S L16 NOT L13
E GRAM
L18 45997 S E3
L19 3743 S L18 AND POSITIVE
L20 3 S L19 AND L8
L21 53 S L8 AND BACILLUS
L22 53 S L21 NOT L10
L23 47 S L22 NOT L13
E ANTIBACTERIAL

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L24        67997 S E2-E5
L25           33 S L24 AND L4
L26           31 S L25 NOT L10
L27           25 S L26 NOT L13

=> s l24 and l21
L28            5 L24 AND L21

=> d l28 1-5

L28  ANSWER 1 OF 5  CAPLUS  COPYRIGHT 2003 ACS on STN
AN   2003:356232  CAPLUS
DN   138:362635
TI   Opioid inhibitors of ABC drug transporters in microbial cells, and use
     with antimicrobial compounds for the treatment of microbial infections
IN   Schoenhard, Grant L.
PA   Pain Therapeutics, Inc., USA
SO   PCT Int. Appl., 131 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.         KIND  DATE           APPLICATION NO.   DATE
     ---------------    ----  --------       ---------------   --------
PI   WO 2003037310      A2    20030508       WO 2002-US17153   20020531
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2003130171      A1    20030710       US 2001-107       20011030
PRAI US 2001-107        A     20011030
OS   MARPAT 138:362635

L28  ANSWER 2 OF 5  CAPLUS  COPYRIGHT 2003 ACS on STN
AN   2003:116002  CAPLUS
DN   138:317513
TI   Antibacterial compounds from the leaves of Acanthopanax
     senticosus
AU   Lee, Sanghyun; Shin, Dong-Sun; Oh, Ki-Bong; Shin, Kuk Hyun
CS   Natural Products Research Institute and College of Pharmacy, Seoul
     National University, Seoul, 110-460, S. Korea
SO   Archives of Pharmacal Research (2003), 26(1), 40-42
     CODEN: APHRDQ; ISSN: 0253-6269
PB   Pharmaceutical Society of Korea
DT   Journal
LA   English
RE.CNT  11    THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28  ANSWER 3 OF 5  CAPLUS  COPYRIGHT 2003 ACS on STN
AN   1976:487915  CAPLUS
DN   85:87915
TI   Protective effect of drugs against cytotoxic activity of aflatoxin B1 on
     bacterial cells
AU   Boutibonnes, P.; Auffray, Y.
CS   Dep. Biol. Ecol., Univ. Caen, Caen, Fr.
```

SO IRCS Medical Science: Library Compendium (1976), 4(7), 306
CODEN: IRLCDZ; ISSN: 0305-6651
DT Journal
LA English

L28 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1969:502104 CAPLUS
DN 71:102104
TI Synthesis and **antibacterial** activity of acid and basic A-nor-androstane derivatives
AU Rufer, Clemens
CS Hauptlab., Schering A.-G., Berlin, Fed. Rep. Ger.
SO Justus Liebigs Annalen der Chemie (1969), 726, 145-51
CODEN: JLACBF; ISSN: 0075-4617
DT Journal
LA German

L28 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1967:44440 CAPLUS
DN 66:44440
TI Effect of azasteroids on gram-positive **bacteria**
AU Varricchio, Frederick; Doorenbos, Norman J.; Stevens, Audrey
CS Sch. of Med., Univ. of Maryland, Baltimore, MD, USA
SO Journal of Bacteriology (1967), 93(2), 627-35
CODEN: JOBAAY; ISSN: 0021-9193
DT Journal
LA English

=> d l28 5 all

L28 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1967:44440 CAPLUS
DN 66:44440
TI Effect of azasteroids on gram-positive **bacteria**
AU Varricchio, Frederick; Doorenbos, Norman J.; Stevens, Audrey
CS Sch. of Med., Univ. of Maryland, Baltimore, MD, USA
SO Journal of Bacteriology (1967), 93(2), 627-35
CODEN: JOBAAY; ISSN: 0021-9193
DT Journal
LA English
CC 8 (Microbial Biochemistry)
AB A group of N-contg. steroids of closely related structure was screened for **antibacterial** activity, by use of **Bacillus** subtilis and Sarcina lutea as the test organisms. The most active compds. were cholesterol derivs. contg. a tertiary or quaternary N in, or attached to, the A ring. Similar methyltestosterone or progesterone derivs. were inactive. All of the cholesterol derivs. that inhibited growth were surfactant, and, structurally, they would be classified as cationic detergents. Some of the inactive compds. were surfactant, but, structurally, they would be classified as nonionic detergents. Certain features of the **antibacterial** activity of one of the active steroids, i.e., ND 212 (4-dimethylaminoethyl-4-aza-5-cholesten-3-one methiodide), were studied. Growth of a culture of B. subtilis contg. 5 .times. 107 cells/ml. was inhibited by 1 .mu.g./ml. (1.7 .times. 10-6M) of ND 212. The amt. of growth inhibition was directly related to both cell and steroid concn. Loss of viability was rapid and irreversible. With B. subtilis, cell lysis was observed. With S. lutea grown in glucose-14C, ND 212 caused release into the media of up to 25% of the cellular radioactivity. Extensive leakage occurred before loss of viability was observed. At bacteriostatic azasteroid concns., there was little leakage. ND 212 was readily bound in large amts. to B. subtilis cells. Inactive

azasteroids were bound poorly. Cholestanone-14C was also bound, whereas methyltestosterone-14C and progesterone-14C were not bound in significant amts. At least 50% of the bound cholestanone-14C was assocd. with the membrane fraction. 25 references.

ST AZASTEROIDS **ANTIBACTERIAL**; **ANTIBACTERIAL** AZASTEROIDS; STEROIDS SURFACTANTS **ANTIBACTERIAL**; CHOLESTENONES **ANTIBACTERIAL**

IT Azasteroids
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal action of)

IT Bactericidal action
(of azasteroids)

IT **Bacillus**
(subtilis, azasteroid absorption by)

IT 2696-51-7 2931-63-7 3899-45-4 4321-99-7 5758-88-3 10121-88-7 10169-13-8 10236-65-4 14124-56-2 14124-57-3 14124-58-4 14124-60-8 14124-61-9 15262-51-8 15262-52-9 **15262-54-1** 15262-57-4 15262-65-4 15262-66-5 15904-68-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal action of)

=> d l28 4 all

L28 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1969:502104 CAPLUS
DN 71:102104
TI Synthesis and **antibacterial** activity of acid and basic A-nor-androstane derivatives
AU Rufer, Clemens
CS Hauptlab., Schering A.-G., Berlin, Fed. Rep. Ger.
SO Justus Liebigs Annalen der Chemie (1969), 726, 145-51
CODEN: JLACBF; ISSN: 0075-4617
DT Journal
LA German
CC 32 (Steroids)
AB Four A-norandrostane derivs. with basic side chains of various length at C-10, 3-amino-3,5-seco-A-norandrostan-17.beta.-ol (HCl salt m. 269-71.degree.),2-amino-2,5-seco-A-dinorandrostan-17.beta.-ol (m. 144-5.degree.), 1-amino-1,5-seco-A-trinorandrostan-17.beta.-ol (I) (m. 125-7.degree.), and 17.beta.-hydroxy-2,5-seco-A-dinorandrostan-2-ylguanidinium acetate (m. 100-6.degree.), were prepd. by standard synthetic methods and examd. for **antibacterial** activity against Mycobacterium tuberculosis, Battey **bacillus**, M. avium. and M. kasasii in vitro. With the exception of I, these compds. exhibited moderate activity against mycobacteria, but were generally less active than isonicotinic acid hydrazide or streptomycin.

ST steroid derivs synthesis; synthesis steroid derivs; **antibacterial** seco nor androstanes; seco nor androstanes **antibacterial**; nor seco androstanes **antibacterial**; androstanes seco nor **antibacterial**

IT 1,5-Seco-A-trinorsteroids
2,5-Seco-A-dinorsteroids
3,5-Seco-A-norsteroids

IT A-Norsteroids
(amino or carboxy derivs., **antibacterial** activity of)

IT Bactericidal action
(of A-norandrostane derivs.)

IT 22711-98-4P 22711-99-5P 22712-00-1P 24124-78-5P 24124-82-1P 24124-83-2P 24124-84-3P 24124-85-4P 24124-86-5P 24124-87-6P

24124-88-7P 24124-89-8P 24124-90-1P 24124-91-2P
24160-07-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

=> d l28 2 all

L28 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:116002 CAPLUS
DN 138:317513
TI **Antibacterial** compounds from the leaves of Acanthopanax senticosus
AU Lee, Sanghyun; Shin, Dong-Sun; Oh, Ki-Bong; Shin, Kuk Hyun
CS Natural Products Research Institute and College of Pharmacy, Seoul National University, Seoul, 110-460, S. Korea
SO Archives of Pharmacal Research (2003), 26(1), 40-42
CODEN: APHRDQ; ISSN: 0253-6269
PB Pharmaceutical Society of Korea
DT Journal
LA English
CC 11-1 (Plant Biochemistry)
Section cross-reference(s): 10
AB Chiisanogenin (1), hyperin (2) and chiisanoside (3) were isolated from the leaves of Acanthopanax senticosus, and were tested for their inhibitory activities against 6 strains of **bacteria**. Among them, chiisanogenin (1) revealed broad but moderate **antibacterial** activities against G (+) and G (-) **bacteria**, the min. inhibitory concn. (MIC) being in the range of 50-100 .mu.g/mL.
ST Acanthopanax leaf **antibacterial**
IT Acanthopanax senticosus
Antibacterial agents
Bacillus subtilis
Escherichia coli
Leaf
Proteus vulgaris
Salmonella typhimurium
Staphylococcus aureus
Staphylococcus epidermidis
(**antibacterial** compds. from leaves of Acanthopanax senticosus)
IT 482-36-0, Hyperin **89353-99-1**, Chiisanogenin **89354-01-8**, Chiisanoside
RL: BSU (Biological study, unclassified); NPO (Natural product occurrence); PRP (Properties); BIOL (Biological study); OCCU (Occurrence)
(**antibacterial** compds. from leaves of Acanthopanax senticosus)
RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Chen, Z; Acta Pharmac Sin 1999, V20, P27 CAPLUS
(2) Davydov, M; J Ethnopharmacol 2000, V72, P345 MEDLINE
(3) Hahn, D; Chem Pharm Bull 1984, V32, P1244 CAPLUS
(4) Kasai, R; Chem Pharm Bull 1986, V34, P3284 CAPLUS
(5) Li, X; Planta Med 2001, V67, P776 CAPLUS
(6) Nishibe, S; Chem Pharm Bull 1990, V38, P1763 CAPLUS
(7) Perry, L; Medicinal plants of East and Southeast Asia 1980, P41
(8) Wald, B; Phytochemistry 1986, V25, P2904 CAPLUS
(9) Wu, M; J Biol Chem 1999, V274, P29 CAPLUS
(10) Yook, C; Coloured medicinal plants of Korea 1990, P377
(11) Yook, C; Yakhak Hoeji 1996, V40, P251 CAPLUS

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	210.44	255.64

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-16.28	-16.93

FILE 'REGISTRY' ENTERED AT 13:24:53 ON 24 SEP 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 23 SEP 2003 HIGHEST RN 591719-82-3
DICTIONARY FILE UPDATES: 23 SEP 2003 HIGHEST RN 591719-82-3

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Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP
PROPERTIES for more information. See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> S 89353-99-1/RN

L29 1 89353-99-1/RN

=> SET NOTICE 1 DISPLAY

NOTICE SET TO 1 U.S. DOLLAR FOR DISPLAY COMMAND
SET COMMAND COMPLETED

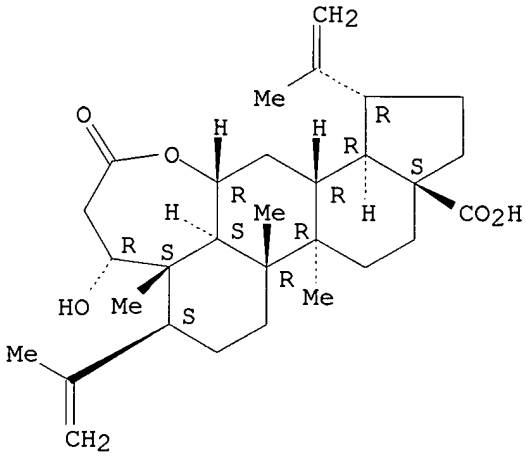
=> D L29 SQIDE 1-

YOU HAVE REQUESTED DATA FROM 1 ANSWERS - CONTINUE? Y/(N):y
THE ESTIMATED COST FOR THIS REQUEST IS 5.63 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L29 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2003 ACS on STN
RN **89353-99-1** REGISTRY
CN 18-Norandrostane-4-propanoic acid, 13-carboxy-.beta.,6-dihydroxy-4,9-dimethyl-3,15-bis(1-methylethenyl)-, 4,6-lactone, (.beta.R,3.alpha.,4.beta.,5.beta.,6.beta.,8.alpha.,9.beta.,10.alpha.,13.alpha.,14.beta.,15.beta.)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 3,4-Secolupa-4(23),20(29)-diene-3,28-dioic acid, 3,11-dihydroxy-, .epsilon.-lactone, (1.beta.,11.alpha.)-
OTHER NAMES:
CN (+)-Chiisanogenin
CN Chiisanogenin
CN Ciisanogenin
FS STEREOSEARCH

MF C30 H44 O5
LC STN Files: BEILSTEIN*, BIOBUSINESS, BIOSIS, CA, CAPLUS, IPA, NAPRALERT, TOXCENTER
(*File contains numerically searchable property data)

Absolute stereochemistry. Rotation (+).



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

8 REFERENCES IN FILE CA (1907 TO DATE)
8 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> SET NOTICE LOGIN DISPLAY

NOTICE SET TO OFF FOR DISPLAY COMMAND
SET COMMAND COMPLETED

=>

=> flie caplus
FLIE IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	2.08	257.72

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-16.93

FILE 'CAPLUS' ENTERED AT 13:25:26 ON 24 SEP 2003

FILE COVERS 1907 - 24 Sep 2003 VOL 139 ISS 13
FILE LAST UPDATED: 23 Sep 2003 (20030923/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> f his
L30      49818 HIS

=> d his

     (FILE 'HOME' ENTERED AT 12:22:43 ON 24 SEP 2003)

     FILE 'REGISTRY' ENTERED AT 12:22:50 ON 24 SEP 2003
                E ANDROSTAANE
                E ANDROSTANE
L1         16925 S E3
L2             0 S 17 AMINO ANDROSTANE
L3             4 S AMINO ANDROSTANE

     FILE 'CAPLUS' ENTERED AT 12:26:28 ON 24 SEP 2003
L4         21559 S L1
L5             2 S L3

     FILE 'REGISTRY' ENTERED AT 12:30:59 ON 24 SEP 2003
L6             1 S 130887-50-2/RN
                 SET NOTICE 1 DISPLAY
                 SET NOTICE LOGIN DISPLAY

     FILE 'CAPLUS' ENTERED AT 12:31:56 ON 24 SEP 2003
L7        488868 S BACTERIAL OR BACTERIA OR BACILLUS OR ANTIBACTERIAL
L8           264 S L7 AND L4
                E AMINE
L9        238606 S E3
L10            4 S L8 AND L9
                E AMINO
L11       949155 S E3
L12           30 S L8 AND L11
L13           27 S L12 NOT L10
L14       524263 S NITROGEN
L15            6 S L8 AND L14
L16            5 S L15 NOT L10
L17            3 S L16 NOT L13
                E GRAM
L18        45997 S E3
L19         3743 S L18 AND POSITIVE
L20            3 S L19 AND L8
L21           53 S L8 AND BACILLUS
L22           53 S L21 NOT L10
L23           47 S L22 NOT L13
                E ANTIBACTERIAL
```

```
L24       67997 S E2-E5
L25          33 S L24 AND L4
L26          31 S L25 NOT L10
L27          25 S L26 NOT L13
L28           5 S L24 AND L21

     FILE 'REGISTRY' ENTERED AT 13:24:53 ON 24 SEP 2003
L29           1 S 89353-99-1/RN
                SET NOTICE 1 DISPLAY
                SET NOTICE LOGIN DISPLAY

     FILE 'CAPLUS' ENTERED AT 13:25:26 ON 24 SEP 2003
L30       49818 F HIS

=> s l18 and l8
L31          10 L18 AND L8

=> d l31 1-10

L31  ANSWER 1 OF 10  CAPLUS  COPYRIGHT 2003 ACS on STN
AN   2002:877364  CAPLUS
DN   138:85573
TI   Structure of bacterial 3.beta./17.beta.-hydroxysteroid
     dehydrogenase at 1.2 .ANG. resolution: A model for multiple steroid
     recognition
AU   Benach, Jordi; Filling, Charlotta; Oppermann, Udo C. T.; Roversi, Pietro;
     Bricogne, Gerard; Berndt, Kurt D.; Joernvall, Hans; Ladenstein, Rudolf
CS   Center for Structural Biochemistry, Karolinska Institutet NOVUM, Huddinge,
     S-14157, Swed.
SO   Biochemistry (2002), 41(50), 14659-14668
     CODEN: BICHAW; ISSN: 0006-2960
PB   American Chemical Society
DT   Journal
LA   English
RE.CNT  53    THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31  ANSWER 2 OF 10  CAPLUS  COPYRIGHT 2003 ACS on STN
AN   2002:521462  CAPLUS
DN   137:88442
TI   Incensole and furanogermacrens and compounds in treatment for inhibiting
     neoplastic lesions and microorganisms
IN   Shanahan-Pendergast, Elisabeth
PA   Ire.
SO   PCT Int. Appl., 68 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.         KIND  DATE          APPLICATION NO.  DATE
     ---------------    ----  --------      ---------------  --------
PI   WO 2002053138      A2    20020711      WO 2002-IE1      20020102
     WO 2002053138      A3    20020919
          W:  AE, AG, AT, AU, BB, BG, CA, CH, CN, CO, CU, CZ, LU, LV, MA, MD,
              UA, UG, US, VN, YU, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, AT, BE, CH, CY, DE, ES, FI,
              ML, MR, NE, SN, TD, TG
PRAI IE 2001-2          A     20010102
OS   MARPAT 137:88442

L31  ANSWER 3 OF 10  CAPLUS  COPYRIGHT 2003 ACS on STN
AN   1992:444282  CAPLUS
```

DN 117:44282
TI Outer membranes of **Gram**-negative **bacteria** are permeable to steroid probes
AU Plesiat, Patrick; Nikaido, Hiroshi
CS Dep. Mol. Cell Biol., Univ. California, Berkeley, CA, 94720, USA
SO Molecular Microbiology (1992), 6(10), 1323-33
CODEN: MOMIEE; ISSN: 0950-382X
DT Journal
LA English

L31 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1991:98105 CAPLUS
DN 114:98105
TI Antimicrobial activity and terpenoids of the essential oil of Hyptis suaveolens
AU Iwu, M. M.; Ezeugwu, C. O.; Okunji, C. O.; Sanson, Dale R.; Tempesta, M. S.
CS Fac. Pharm. Sci., Univ. Nigeria, Nsukka, Nigeria
SO International Journal of Crude Drug Research (1990), 28(1), 73-6
CODEN: IJCREE; ISSN: 0167-7314
DT Journal
LA English

L31 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1985:539217 CAPLUS
DN 103:139217
TI Metabolism of unsaturated bile acids and androstanes by human fecal **bacteria**
AU Owen, R. W.; Bilton, R. F.
CS Dep. Chem. Biochem., Liverpool Polytech., Liverpool, L3 3AF, UK
SO Journal of Steroid Biochemistry (1985), 22(6), 817-22
CODEN: JSTBBK; ISSN: 0022-4731
DT Journal
LA English

L31 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1982:545126 CAPLUS
DN 97:145126
TI Synthesis and study of the anticholesteremic and antimicrobial activity of hydrogenated A,B-indole steroid analogs
AU Chupina, L. N.; Rulin, V. A.; Shner, V. F.; Suvorov, N. N.; Kotelevtseva, N. V.; Masenko, V. P.; Titov, V. N.; Polukhina, L. M.; Pershin, G. N.
CS Vses. Nauchno-Issled. Khim.-Farm. Inst., Moscow, USSR
SO Khimiko-Farmatsevticheskii Zhurnal (1982), 16(5), 563-7
CODEN: KHFZAN; ISSN: 0023-1134
DT Journal
LA Russian

L31 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1976:587179 CAPLUS
DN 85:187179
TI Structure-function activity of azasterols and nitrogen-containing steroids
AU Kabara, Jon J.; Holzschu, Donald L.; Catsoulacos, Demokritos P.
CS Dep. Biomech., Michigan State Univ., East Lansing, MI, USA
SO Lipids (1976), 11(10), 755-62
CODEN: LPDSAP; ISSN: 0024-4201
DT Journal
LA English

L31 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1967:44440 CAPLUS
DN 66:44440

TI Effect of azasteroids on **gram**-positive **bacteria**
AU Varricchio, Frederick; Doorenbos, Norman J.; Stevens, Audrey
CS Sch. of Med., Univ. of Maryland, Baltimore, MD, USA
SO Journal of Bacteriology (1967), 93(2), 627-35
CODEN: JOBAAY; ISSN: 0021-9193
DT Journal
LA English

L31 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1963:410815 CAPLUS
DN 59:10815
OREF 59:1994c-d
TI Antimicrobial action of nitrogen-containing steroids
AU Smith, Rodney F.; Shay, Donald E.; Doorenbos, Norman J.
CS Univ. of Maryland, Baltimore
SO Journal of Bacteriology (1963), 85, 1295-9
CODEN: JOBAAY; ISSN: 0021-9193
DT Journal
LA Unavailable

L31 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1962:18495 CAPLUS
DN 56:18495
OREF 56:3544e-i,3545a-i,3546a
TI 6.beta.,19-Oxidoandrostane derivatives
IN Ringold, Howard J.; Bowers, Albert
PA Syntex S.A.
DT Patent
LA Unavailable

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3001989		19600729	US	
	GB 966100			GB	
PRAI	MX		19600106		

=> d l31 7 all

L31 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1976:587179 CAPLUS
DN 85:187179
TI Structure-function activity of azasterols and nitrogen-containing steroids
AU Kabara, Jon J.; Holzschu, Donald L.; Catsoulacos, Demokritos P.
CS Dep. Biomech., Michigan State Univ., East Lansing, MI, USA
SO Lipids (1976), 11(10), 755-62
CODEN: LPDSAP; ISSN: 0024-4201
DT Journal
LA English
CC 3-2 (Biochemical Interactions)
AB Thirty-nine nitrogen-contg. steroids were tested against 2 **gram**-neg., 5 **gram**-pos., and 2 yeast organisms. Although low minimal inhibitory concn. (MIC) values were recorded for sterol producing yeast, growth of **bacteria** which contain no sterols was also inhibited. Structure-function studies provided no relation between biol. activity and hypocholesteremic effects of these azasteroids. Amino and azasteroids may be membrane effectors which, in the case of mitochondria, lead to changes in adenosine triphosphate levels and(or) dehydrogenase activity. Their effects on sterol metab., therefore, may be of secondary consideration.
ST azasterol antimicrobial structure activity; nitrogen steroid antimicrobial; bactericide nitrogen steroid
IT Molecular structure-biological activity relationship
(antimicrobial, of nitrogen-contg. steroids)

IT Azasteroids
RL: BIOL (Biological study)
(hydroxy, antimicrobial activity of)
IT Bactericides, Disinfectants and Antiseptics
Fungicides and Fungistats
(nitrogen-contg. steroids as)
IT Steroids, biological studies
RL: BIOL (Biological study)
(nitrogen-contg., antimicrobial activity of)
IT 313-05-3 1035-62-7 1249-82-7 **1865-62-9** 1973-59-7
1973-61-1 3915-24-0 4350-66-7 5668-07-5 5953-71-9 5986-91-4
7590-98-9 28444-84-0 28767-60-4 29588-39-4 30093-16-4 35476-25-6
37106-88-0 39933-02-3 39933-05-6 57700-05-7 57700-06-8
57700-15-9 61148-03-6 61148-04-7 61148-05-8 61148-06-9
61148-07-0 61148-08-1 61148-09-2 61148-10-5 61148-11-6
61148-12-7 61148-14-9 61148-15-0 61148-16-1 61177-50-2
61255-55-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antimicrobial activity of)

=> d l31 8 all

L31 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1967:44440 CAPLUS
DN 66:44440
TI Effect of azasteroids on **gram**-positive **bacteria**
AU Varricchio, Frederick; Doorenbos, Norman J.; Stevens, Audrey
CS Sch. of Med., Univ. of Maryland, Baltimore, MD, USA
SO Journal of Bacteriology (1967), 93(2), 627-35
CODEN: JOBAAY; ISSN: 0021-9193
DT Journal
LA English
CC 8 (Microbial Biochemistry)
AB A group of N-contg. steroids of closely related structure was screened for **antibacterial** activity, by use of **Bacillus** subtilis and Sarcina lutea as the test organisms. The most active compds. were cholesterol derivs. contg. a tertiary or quaternary N in, or attached to, the A ring. Similar methyltestosterone or progesterone derivs. were inactive. All of the cholesterol derivs. that inhibited growth were surfactant, and, structurally, they would be classified as cationic detergents. Some of the inactive compds. were surfactant, but, structurally, they would be classified as nonionic detergents. Certain features of the **antibacterial** activity of one of the active steroids, i.e., ND 212 (4-dimethylaminoethyl-4-aza-5-cholesten-3-one methiodide), were studied. Growth of a culture of B. subtilis contg. 5 .times. 107 cells/ml. was inhibited by 1 .mu.g./ml. (1.7 .times. 10-6M) of ND 212. The amt. of growth inhibition was directly related to both cell and steroid concn. Loss of viability was rapid and irreversible. With B. subtilis, cell lysis was observed. With S. lutea grown in glucose-14C, ND 212 caused release into the media of up to 25% of the cellular radioactivity. Extensive leakage occurred before loss of viability was observed. At bacteriostatic azasteroid concns., there was little leakage. ND 212 was readily bound in large amts. to B. subtilis cells. Inactive azasteroids were bound poorly. Cholestanone-14C was also bound, whereas methyltestosterone-14C and progesterone-14C were not bound in significant amts. At least 50% of the bound cholestanone-14C was assocd. with the membrane fraction. 25 references.
ST AZASTEROIDS **ANTIBACTERIAL**; **ANTIBACTERIAL** AZASTEROIDS; STEROIDS SURFACTANTS **ANTIBACTERIAL**; CHOLESTENONES **ANTIBACTERIAL**

IT Azasteroids
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal action of)
IT Bactericidal action
(of azasteroids)
IT **Bacillus**
(subtilis, azasteroid absorption by)
IT 2696-51-7 2931-63-7 3899-45-4 4321-99-7 5758-88-3 10121-88-7
10169-13-8 10236-65-4 14124-56-2 14124-57-3 14124-58-4
14124-60-8 14124-61-9 15262-51-8 15262-52-9 **15262-54-1**
15262-57-4 15262-65-4 15262-66-5 15904-68-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal action of)

=> d l31 9 all

L31 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1963:410815 CAPLUS
DN 59:10815
OREF 59:1994c-d
TI Antimicrobial action of nitrogen-containing steroids
AU Smith, Rodney F.; Shay, Donald E.; Doorenbos, Norman J.
CS Univ. of Maryland, Baltimore
SO Journal of Bacteriology (1963), 85, 1295-9
CODEN: JOBAAY; ISSN: 0021-9193
DT Journal
LA Unavailable
CC 62 (Microbial Biochemistry)
AB A new group of 16 synthetic N-contg. steroids have been tested against a variety of microorganisms for antimicrobial properties. The gradient plate screening method, serial diln., and dry wt. techniques were used in the studies. The organisms tested consisted of 14 **gram**-neg. **bacteria**, 10 **gram**-pos. **bacteria**, 2 actinomycetes, 7 yeasts, and 8 molds. Inhibitory properties were found to be specific and potent in 4 compds., with inhibitory concns. as low as 0.37 .gamma./ml. Three of the active steroids are 4-azacholestanes and one is a 4-nor-3,5-secocholestane amide. Sensitivity to the compds. was greatest in the **gram**-pos. **bacteria**, followed by the yeasts and molds. The **gram**-neg. **bacteria** were not inhibited. All 16 steroids interfered to some extent with pigmentation in Serratia marcescens but not with pigment production in Pseudomonas aeruginosa. In a few instances, some of the molds were stimulated by the steroids at a concn. of 250 .gamma./ml.
IT Steroids
(nitrogen-contg., bactericidal action of)
IT Bactericidal action or Bacteriostatic action
(of steroids (N-contg.))
IT Bactericides, Disinfectants and Antiseptics
(steroids (N-contg.) as)
IT 1H-Benz[e]indene-6-propionamide, 3-(1,5-dimethylhexyl)dodecahydro-N-(2-hydroxyethyl)-3a,5b-dimethyl-7-oxo-
3-Aza-A-homo-5.alpha.-androstan-4-one, 17.beta.-acetamido-
4-Azonia-5.alpha.-cholestane compounds, 3.beta.-benzyl-4,4-dimethyl-
5.alpha.-Androst-2-eno[3,2-b]thiazol-17.beta.-ol, 2'-amino-17-methyl-
Spiro[benzothiazoline-2,2'(1'H)-dicyclopenta[a,f]naphthalene],
6'-(1,5-dimethylhexyl)-3',3'a,3'b,4',5',5'a,6',7',8',8'a,8'b,9',10',10'a-tetradecahydro-3'a,5'a-dimethyl-
(bactericidal action of)
IT **1865-62-9**, Androst-4-en-3-one, 17.beta.-acetamido- 2102-24-1,

4-Azapregn-5-en-3-one, 20.beta.-hydroxy- 4379-76-4, 4-Azapregn-5-ene-3,20-dione, 4-(2-hydroxyethyl)- 5089-86-1, 4-Aza-5.alpha.-cholestane, 3.beta.,4-dimethyl- 5457-79-4, 5.alpha.-Cholestan-3.alpha.-amine, hydrochloride 5758-90-7, 4-Aza-5.alpha.-cholestane, 3.beta.-benzyl-4-methyl- 10062-39-2, 3-Aza-A-homocholest-4a-en-4-one 15262-52-9, Ammonium, diethyl[2-(17.beta.-hydroxy-17-methyl-3-oxo-4-azaandrost-5-en-4-yl)ethyl]methyl, iodide 95044-25-0, Pregn-4-en-3-one, 20.beta.-hydroxy-, oxime 96290-48-1, 5.alpha.-Cholestan-3.beta.-amine, hydrochloride 100271-49-6, 1H-Cyclopenta[7,8]phenanthro[2,3-d]thiazol-1-ol, 8-amino-2,3,3a,3b,4,5,5a,6,10,10a,10b,11,12,12a-tetradecahydro-1,10a,12a-trimethyl- 100576-74-7, Cyclopenta[5,6]naphth[1,2-d]azepin-2(1H)-one, 8-acetamido-3,4,5,5a,5b,6,7,7a,8,9,10,10a,10b,11,12,12a-hexadecahydro-5a,7a-dimethyl- 103713-41-3, 3,5-Seco-A-norcholestan-3-amide, N-(2-hydroxyethyl)-5-oxo-
(bactericidal action of)

IT 217-04-9, Dicyclopenta[a,f]naphthalene
(spiro derivs., bactericidal action of)

IT 219-14-7, 2H-Indeno[5,4-f]quinoline
(steroid derivs., bactericidal action of)

=> d l31 4 all

L31 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1991:98105 CAPLUS
DN 114:98105
TI Antimicrobial activity and terpenoids of the essential oil of Hyptis suaveolens
AU Iwu, M. M.; Ezeugwu, C. O.; Okunji, C. O.; Sanson, Dale R.; Tempesta, M. S.
CS Fac. Pharm. Sci., Univ. Nigeria, Nsukka, Nigeria
SO International Journal of Crude Drug Research (1990), 28(1), 73-6
CODEN: IJCREE; ISSN: 0167-7314
DT Journal
LA English
CC 11-1 (Plant Biochemistry)
Section cross-reference(s): 10, 30
AB Steam distd. essential oil of H. suaveolens yielded 32 terpenoid compds. The compds. were identified from their retention times, mass spectral fragmentation patterns and correlation with database mass spectroscopy data. Limonene; thujane; .alpha.-pinene; .alpha.-phellandrine; 3-cyclohexen-1-ol; 4-methyl-1-(1-Me ethyl)-3-cyclohexen-1-ol; 3-cyclohexen-1-carboxyaldehyde; elemene; 4,11,11-trimethyl-8-methylene bicyclo[7.2.0]undec-4-ene; octahydro-1,4-dimethylazulene; 5.alpha.,8.beta.,H-9.beta.,H-10.alpha.-labd-14-ene; 5.alpha.-androst-9(11)-en-12-one, and 5.alpha.-androstan-2,11-dione were the major components identified. The essential oil inhibited the growth of both **gram** -pos. and **gram**-neg. **bacteria** as well as having mild antifungal activity.
ST antimicrobial terpenoid Hyptis oil
IT Terpenes and Terpenoids, biological studies
RL: BIOL (Biological study)
(in Hyptis suaveolens essential oil)
IT **Bacteria**
Fungi
(Hyptis suaveolens essential oil inhibition of growth of)
IT Oils, essential
RL: BIOL (Biological study)
(Hyptis suaveolens, chem. compn. and antimicrobial activity of)
IT 78-70-6, Linalool 80-56-8, .alpha.-Pinene 98-55-5, .alpha.-Terpineol 99-83-2 99-85-4 99-86-5, .alpha.-Terpinene 99-87-6 100-50-5, 3-Cyclohexene-1-carboxaldehyde 138-86-3, Limonene 470-82-6,

1,8-Cineole 471-12-5, Thujane 481-34-5, .alpha.-Cadinol 562-74-3
1449-57-6 1632-73-1 4354-37-4, 5.alpha.-Androst-9(11)-en-12-
one 4586-22-5, .alpha.-Caryophyllene alcohol 6753-98-6,
.alpha.-Caryophyllene 11029-06-4, Elemene 13877-93-5 132160-39-5
132160-40-8 132203-72-6 132203-73-7
RL: BIOL (Biological study)
(in Hyptis suaveolens essential oil)

=> d his

(FILE 'HOME' ENTERED AT 12:22:43 ON 24 SEP 2003)

FILE 'REGISTRY' ENTERED AT 12:22:50 ON 24 SEP 2003
E ANDROSTAANE
E ANDROSTANE
L1 16925 S E3
L2 0 S 17 AMINO ANDROSTANE
L3 4 S AMINO ANDROSTANE

FILE 'CAPLUS' ENTERED AT 12:26:28 ON 24 SEP 2003
L4 21559 S L1
L5 2 S L3

FILE 'REGISTRY' ENTERED AT 12:30:59 ON 24 SEP 2003
L6 1 S 130887-50-2/RN
SET NOTICE 1 DISPLAY
SET NOTICE LOGIN DISPLAY

FILE 'CAPLUS' ENTERED AT 12:31:56 ON 24 SEP 2003
L7 488868 S BACTERIAL OR BACTERIA OR BACILLUS OR ANTIBACTERIAL
L8 264 S L7 AND L4
E AMINE
L9 238606 S E3
L10 4 S L8 AND L9
E AMINO
L11 949155 S E3
L12 30 S L8 AND L11
L13 27 S L12 NOT L10
L14 524263 S NITROGEN
L15 6 S L8 AND L14
L16 5 S L15 NOT L10
L17 3 S L16 NOT L13
E GRAM
L18 45997 S E3
L19 3743 S L18 AND POSITIVE
L20 3 S L19 AND L8
L21 53 S L8 AND BACILLUS
L22 53 S L21 NOT L10
L23 47 S L22 NOT L13
E ANTIBACTERIAL
L24 67997 S E2-E5
L25 33 S L24 AND L4
L26 31 S L25 NOT L10
L27 25 S L26 NOT L13
L28 5 S L24 AND L21

FILE 'REGISTRY' ENTERED AT 13:24:53 ON 24 SEP 2003
L29 1 S 89353-99-1/RN
SET NOTICE 1 DISPLAY
SET NOTICE LOGIN DISPLAY

```
     FILE 'CAPLUS' ENTERED AT 13:25:26 ON 24 SEP 2003
L30         49818 F HIS
L31            10 S L18 AND L8

=>

---Logging off of STN---


=>
Executing the logoff script...


=> LOG Y

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                      28.10     285.82

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                      -2.60     -19.53

STN INTERNATIONAL LOGOFF AT 13:33:28 ON 24 SEP 2003
```

AN 1963:410815 CAPLUS
DN 59:10815
OREF 59:1994c-d
TI Antimicrobial action of nitrogen-containing steroids
AU Smith, Rodney F.; Shay, Donald E.; Doorenbos, Norman J.
CS Univ. of Maryland, Baltimore
SO Journal of Bacteriology (1963), 85, 1295-9
CODEN: JOBAAY; ISSN: 0021-9193
DT Journal
LA Unavailable
CC 62 (Microbial Biochemistry)
AB A new group of 16 synthetic N-contg. steroids have been tested against a variety of microorganisms for antimicrobial properties. The gradient plate screening method, serial diln., and dry wt. techniques were used in the studies. The organisms tested consisted of 14 gram-neg. **bacteria**, 10 gram-pos. **bacteria**, 2 actinomycetes, 7 yeasts, and 8 molds. Inhibitory properties were found to be specific and potent in 4 compds., with inhibitory concns. as low as 0.37 .gamma./ml. Three of the active steroids are 4-azacholestanes and one is a 4-nor-3,5-secocholestane amide. Sensitivity to the compds. was greatest in the gram-pos. **bacteria**, followed by the yeasts and molds. The gram-neg. **bacteria** were not inhibited. All 16 steroids interfered to some extent with pigmentation in Serratia marcescens but not with pigment production in Pseudomonas aeruginosa. In a few instances, some of the molds were stimulated by the steroids at a concn. of 250 .gamma./ml.
IT Steroids
(nitrogen-contg., bactericidal action of)
IT Bactericidal action or Bacteriostatic action
(of steroids (N-contg.))
IT Bactericides, Disinfectants and Antiseptics
(steroids (N-contg.) as)
IT 1H-Benz[e]indene-6-propionamide, 3-(1,5-dimethylhexyl)dodecahydro-N-(2-hydroxyethyl)-3a,5b-dimethyl-7-oxo-
3-Aza-A-homo-5.alpha.-androstan-4-one, 17.beta.-acetamido-
4-Azonia-5.alpha.-cholestane compounds, 3.beta.-benzyl-4,4-dimethyl-
5.alpha.-Androst-2-eno[3,2-b]thiazol-17.beta.-ol, 2'-amino-17-methyl-
Spiro[benzothiazoline-2,2'(1'H)-dicyclopenta[a,f]naphthalene],
6'-(1,5-dimethylhexyl)-3',3'a,3'b,4',5',5'a,6',7',8',8'a,8'b,9',10',10'a-tetradecahydro-3'a,5'a-dimethyl-
(bactericidal action of)
IT **1865-62-9**, Androst-4-en-3-one, 17.beta.-acetamido- 2102-24-1,
4-Azapregn-5-en-3-one, 20.beta.-hydroxy- 4379-76-4, 4-Azapregn-5-ene-3,20-dione, 4-(2-hydroxyethyl)- 5089-86-1, 4-Aza-5.alpha.-cholestane,
3.beta.,4-dimethyl- 5457-79-4, 5.alpha.-Cholestan-3.alpha.-**amine**
, hydrochloride 5758-90-7, 4-Aza-5.alpha.-cholestane,
3.beta.-benzyl-4-methyl- 10062-39-2, 3-Aza-A-homocholest-4a-en-4-one
15262-52-9, Ammonium, diethyl[2-(17.beta.-hydroxy-17-methyl-3-oxo-4-azaandrost-5-en-4-yl)ethyl]methyl, iodide 95044-25-0, Pregn-4-en-3-one,
20.beta.-hydroxy-, oxime 96290-48-1, 5.alpha.-Cholestan-3.beta.-**amine**, hydrochloride 100271-49-6, 1H-
Cyclopenta[7,8]phenanthro[2,3-d]thiazol-1-ol, 8-amino-
2,3,3a,3b,4,5,5a,6,10,10a,10b,11,12,12a-tetradecahydro-1,10a,12a-trimethyl-
100576-74-7, Cyclopenta[5,6]naphth[1,2-d]azepin-2(1H)-one,
8-acetamido-3,4,5,5a,5b,6,7,7a,8,9,10,10a,10b,11,12,12a-hexadecahydro-5a,7a-dimethyl- 103713-41-3, 3,5-Seco-A-norcholestan-3-amide,
N-(2-hydroxyethyl)-5-oxo-
(bactericidal action of)
IT 217-04-9, Dicyclopenta[a,f]naphthalene
(spiro derivs., bactericidal action of)
IT 219-14-7, 2H-Indeno[5,4-f]quinoline
(steroid derivs., bactericidal action of)

Examiner copy

AN 1964:17107 CAPLUS
DN 60:17107
OREF 60:3049d-h,3050a-b
TI 17.beta.-Dialkylamino-17-cyano steroids and their 17.alpha.-alkyl, alkylene, and alkyne derivatives
IN Lednicer, Daniel
PA Upjohn Co.
SO 8 pp.
DT Patent
LA Unavailable
NCL 260397300
CC 42 (Steroids)

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 3107254		19631015	US	19601005

GI For diagram(s), see printed CA Issue.

AB The title compds. are prepd. for use as antifungal, **antibacterial**, antiinflammatory, cholesterol lowering, central nervous system regulating, and diuretic agents. A stream of methylamine was bubbled through 10 g. androst-5-en-3.beta.-ol-17-one acetate at 195-200.degree. 6 hrs., the melt allowed to cool under N, dissolved in CH2Cl2, the soln. washed with H2O, and the CH2Cl2 evapd. to yield 17-methyliminoandrost-5-en-3.beta.-ol acetate (I). I was dissolved in 50 ml. CH2Cl2, treated with 60 ml. MeI, allowed to stand 3.5 hrs., the mixt. poured into Et2O, the solid dissolved in 100 ml. MeCN, the soln. poured into 6 g. KCN in 60 ml. H2O with stirring, dild. after 40 min. with 800 ml. H2O, and the ppt. filtered off and recrystd. from hexane (cooled to -20.degree.) to yield 5.36 g. 17.beta.-dimethylamino-17-cyanoandrost-5-en-3.beta.-ol acetate (II), m. 145-50.degree.. Prepd. similarly were: 17.beta.-dimethylamino-17-cyanoandrost-5-en-3.beta.,11.beta.-diol 3-acetate; 17.beta.-dimethylamino-17-cyano-5.alpha.-androstan-11.beta.-ol, m. 197-203.degree.; 17.beta.-dimethylamino-17-cyano-5.alpha.-androstane; 17.beta.-dimethylamino-17-cyano-3-methoxyestra-1,3,5-triene, m. 148-50.degree.; and 17.beta.-dimethylamino-17-cyano-3-methoxyestra-1,3,5-trien-11.beta.-ol. II (1 g.) in 30 ml. tetrahydrofuran was mixed with 10 ml. 3M MeMgBr in Et2O, the mixt. refluxed 2 hrs., the excess Grignard destroyed by careful addn. of H2O, addnl. H2O, Et2O, and CH2Cl2 added, the org. layer washed with brine, dried, evapd. in vacuo, and the residue recrystd. from aq. MeOH to yield 0.55 g 17.beta.-dimethylamino-17-methylandrost-5-en-3.beta.-ol (III), m. 149-51.5.degree.. Prepd. similarly was 17.beta.-dimethylamino-17-methylandrost-5-ene-3.beta.,11.beta.-diol 3-acetate. III (1 g.) was dissolved in 8.5 ml. cyclohexanone and 50 ml. toluene, 4 ml. solvent distd., 0.55 g. Al(OPr-iso)3 in 10 ml. toluene added, the mixt. refluxed 2 hrs., a small amt. H2O added, the soln. concd. in vacuo, the residue extd. with Et2O and CH2Cl2, the exts. washed with brine, the org. layer extd. with 100 ml. 2.5N HCl, the exts. made alk., and the residue recrystd. from aq. MeOH to yield 0.71 g. 17.beta.-dimethylamino-17-methylandrost-4-en-3-one, m. 140.5-44.degree.. Prepd. similarly were: 17.beta.-dimethylamino-17-methylandrost-4-en-11.beta.-ol-3-one; 17.beta.-dimethylamino-17-ethynylandrost-5-en-3.beta.-ol, m. 206-8.degree.; 17.beta.-dimethylamino-17-ethynylandrost-5-ene-3.beta.,11.beta.-diol; 17.beta.-dimethylamino-17-ethynylandrost-4-en-3-one, m. 158-61.degree., and 17.beta.-dimethylamino-17-ethynylandrost-4-en-11.beta.-ol-3-one. Pd-C (5%) (0.3 g.) in 200 ml. C5H5N was shaken under H 45 min., then 1.5 g. 17.beta.-dimethylamino-17-ethynylandrost-4-en-3-one added, shaking continued 4 hrs., the Pd-C filtered off, the soln. concd. in vacuo to 5-10 ml., the residue dild. with H2O, and the ppt. recrystd. from aq. MeOH to give 0.77 g. 17.beta.-dimethylamino-17-vinylandrost-4-en-3-one, m. 154-6.degree.. Prepd. similarly were: 17.beta.-dimethylamino-17-vinylandrost-4-en-11.beta.-ol-3-one; 17.beta.-dimethylamino-17-methyl-5.alpha.-androstan-11.beta.-ol, m. 164-5.degree.; 17.beta.-dimethylamino-17-methyl-5.alpha.-androstane; 17.beta.-dimethylamino-17-ethynyl-5.alpha.-

androstan-11.beta.-ol, m. 160-1.degree.; 17.beta.-dimethylamino-17-ethynyl-5.alpha.-androstane; 17.beta.-dimethylamino-17-methyl-3-methoxyestra-1,3,5-triene, m. 110.5-11.5.degree.; 17.beta.-dimethylamino-17-methyl-3-methoxyestra-1,3,5-trien-11.beta.-ol; 17.beta.-dimethylamino-17-methyl-3-methoxyestra-1,3,5-triene, m. 199.5-201.degree.; 17.beta.-dimethylamino-17-propynyl-3-methoxyestra-1,3,5-triene; and 17.beta.-dimethylamino-17-ethynyl-3-methoxyestra-1,3,5-trien-11.beta.-ol.

IT Steroids
(17.alpha.-cyano 17-(dialkylamino), and derivs.)

IT Spectra, infrared
(of 17.alpha.-cyano 17-(dialkylamino) steroids and their derivs.)

IT 17.alpha.-Pregn-5-en-20-yn-3.beta.-ol, 17-(dimethylamino)-, quartihydrate
19-Nor-17.alpha.-pregna-1,3,5(10)-trien-20-yn-17-**amine**,
3-methoxy-N,N-dimethyl-
Androst-5-en-3.beta.-ol, 17.beta.-(dimethylamino)-17-methyl-,
quartihydrate

IT 50304-30-8, Estra-1,3,5(10)-trien-17.beta.-**amine**,
3-methoxy-N,N,17-trimethyl- 95222-26-7, 5.alpha.-Androstan-11.beta.-ol,
17.beta.-(dimethylamino)-17-methyl- 95227-79-5, Androst-5-ene-17.alpha.-carbonitrile, 17-(dimethylamino)-3.beta.-hydroxy- 95228-26-5,
Estra-1,3,5(10)-triene-17.alpha.-carbonitrile, 17-(dimethylamino)-3-methoxy- 95287-88-0, Androst-4-en-3-one, 17.beta.-(dimethylamino)-17-methyl- 95557-49-6, 17.alpha.-Pregn-4-en-20-yn-3-one,
17-(dimethylamino)- 95807-96-8, Androst-5-en-3.beta.-ol,
17.beta.-(dimethylamino)-17-methyl- 96478-54-5, 17.alpha.-Pregn-5-en-20-yn-3.beta.-ol, 17-(dimethylamino)- 97353-41-8, 5.alpha.,17.alpha.-Pregn-20-yn-11.beta.-ol, 17.beta.-(dimethylamino)- 101298-44-6,
Androst-5-ene-17.alpha.-carbonitrile, 17-(dimethylamino)-3.beta.-hydroxy-, acetate 101500-88-3, 17.alpha.-Pregna-4,20-dien-3-one,
17-(dimethylamino)- **106972-61-6**, 5.alpha.-Androstane-17.alpha.-carbonitrile, 17-(dimethylamino)-11.beta.-hydroxy-
(prepn. of)

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AN 1966:93718 CAPLUS
DN 64:93718
OREF 64:17669b-h,17670a-h,17671a-c
TI Steroids. XXIII. Steroid heterocyclics. 6'-Amino, 2',6'-diamino-, and 2'-hydroxy-6'-amino [3,2-d], [17,16-d]dipyrimidines of androstane and estrane
AU De Ruggieri, Pietro; Gandolfi, Carmelo; Guzzi, Umberto
CS Ormonoterapia Richter S.p.A., Milan
SO Gazzetta Chimica Italiana (1966), 96(1-2), 152-78
CODEN: GCITA9; ISSN: 0016-5603
DT Journal
LA Italian
CC 42 (Steroids)
GI For diagram(s), see printed CA Issue.
AB cf. CA 64, 11269g. The androstane and estrane derivs. contg. either one fused pyrimidine ring in 3,2-position on the steroid system, or two fused pyrimidine rings in the 3,2- and 17,16-positions on steroid skeleton were prepd. for testing as potential **antibacterial** agents. 2-Cyano-5.alpha.-androstan-17.beta.-ol-3-one (I) (0.5 g.) was refluxed with 0.5 g. S-methylthiourea sulfate and 510 mg. Na2CO3 in 50 ml. EtOH 18 hours to give 0.34 g. 3-S-methylthioureido-2-cyano-5.alpha.-androst-2-en-17.beta.-ol (II), m. 224-6.degree. (MeOH), [.alpha.]D 69.degree. (all [.alpha.]D in CHCl3). I (0.24 g.) in 20 ml. EtOH was refluxed 20 hrs. with 0.38 g. guanidine-HCl and 0.34 g. NaHCO3 and the formed precipitate filtered off to give 0.23 g. 3-guanidino-2-cyano-5.alpha.-androst-2-en-17.beta.-ol (III), m. 314-16.degree. (EtOAc), [.alpha.]D 10.degree. (C5H5N). Attempts to cyclize II and III to pyrimidine derivs. were unsuccessful. Therefore the enamine intermediates were prepd., which could later be cyclized to the desired compds. 2-Cyano-3-oxo steroid (0.01 mole) in 40 ml. abs. EtOH was refluxed with 0.02 mole HCO2NH4 20-48 hrs. and products were crystd. from MeOH. Thus were prepd. 2-cyano-3-amino-5.alpha.-androst-2-en-17.beta.-ol (IV), m. 258-60.degree., [.alpha.]D 77.degree. (C5H5N), and its 17-acetate (V), m. 222-4.degree., [.alpha.]D 59.degree.; -5.alpha.-estr-2-en-17.beta.-ol (VI), m. 252.degree., [.alpha.]D 150.degree., and its 17-acetate (VII), m. 240-1.degree., [.alpha.]D 128.degree.; -17.alpha.-methyl-5.alpha.-androst-2-en-17.beta.-ol (VIII), m. 265-7.degree., [.alpha.]D 60.degree. (C5H5N); androsta-2,4-dien-17.beta.-ol (IX), m. 226-8.degree., [.alpha.]D 90.degree.; estra-2, 4-dien-17.beta.-ol (X), m. 185-90.degree., [.alpha.]D 72.degree. and its 17-acetate (XI), m. 199-201.degree., [.alpha.]D 37.degree.. The 17-acetates of 2-cyano-3-oxo steroids used for prepg. V, VII, and XI were synthesized in the following way: when 1 g. 2-cyano-3-oxo-5.alpha.-androst-2-en-17.beta.-ol (XII), 2-cyano-3-oxo-5.alpha.-estr-2-en-17.beta.-ol, and 2-cyano-3-oxoestra-2,4-dien-17.beta.-ol, resp., were treated with 4 ml. Ac2O in 8 ml. C5H5N overnight at room temp., the 3,17-diacetates of 2-cyano-5.alpha.-androst-2-ene-3,17-diol, m. 203-5.degree., [.alpha.]D 51.degree., 2-cyano-5.alpha.-estr-2-ene-3,17-diol, m. 189-91.degree., [.alpha.]D 100.degree., and 2-cyanoestra-2,4-diene-3,17-diol, m. 180-2.degree. [.alpha.]D -68.degree., were formed. These compds. (1 g.) were suspended in 20-30 ml. MeOH at 20.degree., 14 ml. 1% KOMe was added and stirred 8 min., then acidified with 2 ml. 15% AcOH, and ppts. were crystd. from MeOH. Thus 2-cyano-5.alpha.-androstan-3-on-17.beta.-ol 17-acetate, m. 184-6.degree. [.alpha.]D 59.degree.; 2-cyano-5.alpha.-estran-3-on-17.beta.-ol 17-acetate, m. 160-2.degree. [.alpha.]D 78.degree.; and 2-cyanoestr-4-en-3-on-17.beta.-ol 17-acetate, m. 159-61.degree. [.alpha.]D 65.degree., were prepd. 2-Cyano-3-oxosteroids gave on treatment with excess CH2N2 in Et2O for 1 hr. the corresponding 2-cyano-3-methoxy-2-ene derivs. (method a); the same 2-cyano-3-oxo steroids (0.02 mole) when refluxed with 18-25 ml. aliphatic alcohols in 120-180 ml. C6H6 or PhMe under catalysis of p-MeC6H4SO3H 4-8 hrs. gave enol ethers (method b); to a soln. of 2-cyano-3-oxo steroids (0.016 mole) in 84 ml. MeOH and 84 ml. 40%

aq. KOH was added under stirring at 30-5.degree. a soln. 0.15 mole R2SO4 or 0.24 mole an alkyl halide and 84 ml. 40% aq. KOH, the mixt. stirred an addnl. 4 hrs. at 35.degree., then dild. with H2O, aq. layer extd. with C6H6, the C6H6 layer washed with 12% aq. KOH, H2O, evapd. to dryness and the product crystd. from MeOH (method c). 2-Cyano-4-en-3-oxo derivs. gave reasonable yields of enol ethers only with method a. 2-Cyano-3-ethoxycholest-2-ene (XIII) (2.62 g.), m. 192-4.degree., [.alpha.]D 77.degree., could also be prepd. on stirring a suspension of 5.28 g. cholestan[2,3-d]isoxazole and 9.7 ml. Et2SO4 in 150 ml. EtOH with 15 ml. 20% KOH added dropwise within 4 hrs. under external cooling <5.degree., followed by addnl. stirring 2 hrs. and working up as above. The following 2-cyano-3-enol ethers were prepd. (2-cyano steroid, alkoxy group, m.p., [.alpha.]D, and method given): 5.alpha.-androst-2-en-17.beta.-ol, 3-methoxy (XIV), 208-10.degree., 66.degree., (a,c); 17.alpha.-methyl-5.alpha.-androst-2-en-17.beta.-ol, 3-methoxy (XV), 207-9.degree., 48.degree., (a,c); androsta-2,4-dien-17.beta.-ol, 3-methoxy (XVI), 169-72.degree., 49.degree., (a); 5.alpha.-androst-2-en-17.beta.-ol, 3-butoxy (XVII), 93-6.degree., 55.degree., (b); androsta-2,4-dien-17.beta.-ol, 3-butoxy (XVIII), 112-14.degree., 66.degree., (b); 5.alpha.-estr-2-en-17.beta.-ol, 3-butoxy (XIX), 79-81.degree., 112.degree., (b); 5.alpha.-androst-2-en-17.beta.-ol, 3-ethoxy (XX), 177-9.degree., 63.degree., (b,c); androsta-2,4-dien-17.beta.-ol, 3-ethoxy (XXI), 98-100.degree.,--,(b); 5.alpha.-estr-2-en-17.beta.-ol, 3-ethoxy (XXII), 159-61.degree., 128.degree. (b,c); 17.alpha.-methyl-5.alpha.-androst-2-en-17.beta.-ol, 3-ethoxy (XXIII), 180-4.degree., 46.degree., (c); 5.alpha.-estr-2-en-17.beta.-ol, 3-methoxy (XXIV), 203-4.degree., 139.degree., (c); and androsta-2,4-dien-17.beta.-ol 17-acetate, 3-butoxy (XXV), 134-6.degree., 70.degree., --. XIV-XXV served as starting materials for synthesis of heterocycles, e.g. XXVI: To a soln. of 1 g. I, IV, IX, XIV, XV, XVII, XIX, XX, XXII-XXIV in 30 ml. HCONH2 at 160.degree. were added 4 g. tris-(formylamino)methane (as donor of formamidine) and 50 mg. p-MeC6H4SO3H, the mixt. was kept 7 hrs. at 160.degree., poured into 120 ml. N NaOH, extd. with CHCl3, and the CHCl3 layer washed with aq. NaOH, H2O, dried, and evapd. to give XXVI in 50-75% yields (recrystn. from Me2CO). The yields for .DELTA.4-compds. were low; therefore an alternate method via 3-EtOCH:N derivs. had to be chosen, the latter being prepd. as follows: To a soln. of 200 mg. VIII in 20 ml. dioxane was added 0.8 ml. HC(OEt)3 and 0.54 ml. of the soln. prepd. from 2.7 ml. dioxane, 244 mg. p-Me-C6H4SO3H, and 0.55 ml. EtOH, the mixt. kept 20 hrs. at room temp., then 1 ml. C5H5N added, then H2O, and the mixt. extd. with CH2Cl2to give 180 mg. 2-cyano-3-(N-ethoxymethylidene)-amino-17.alpha.-methyl-5.alpha.-androst-2-en-17.beta.-ol (XXVH), m. 158-60.degree., [.alpha.]D 54.degree. (C5H5N). Similarly 2-cyano-3-(N-ethoxymethylidene)-aminocholest-2-ene (XXVIII), m. 170-2.degree., [.alpha.]D 70.degree., was prepd., while 2-cyano-3-(N-ethoxymethylidene)amino-5.alpha.-androst-2-en-17.beta.-ol 17-orthodiethoxyformate (XXIX), m. 119-21.degree., [.alpha.]D 53.degree., o rXXIX contg. .DELTA.4, (XXX) m. 118-20.degree., [.alpha.]D94.degree., or 2-cyano-3-(N-ethoxymethylidene)amino-5.alpha.-androst-2-en-17.beta.-ol 17-acetate (XXXI), m. 177-8.degree., [.alpha.]D 55.degree., were synthesized from the corresponding amines on refluxing with excess HC(OEt)3 and crystd. from MeOH. XXVI derivs. were prepd. on heating 0.5 g. XXVII-XXXI in 20 ml. EtOH (satd. with NH3) 4-6 hrs. at 120-30.degree. in an autoclave, the solvent was evapd., the residue dild. with H2O, and the ppt. crystd. from Me2CO (yields 85%). In XXIX and XXX the 17-orthoester underwent ammonolysis as well. In this way were prepd. the following 6'-amino[3,2-d]pyrimidines: 5.alpha.-androstan-17.beta.-ol, m. 256.degree., [.alpha.]D 50.degree.; 17.alpha.-methyl-5.alpha.-androstan-17.beta.-ol, m. 287-9.degree., [.alpha.]D 34.degree.; 5.alpha.-estran-17.beta.-ol, m. > 310.degree., [.alpha.]D 138.degree.; androst-4-en-17.beta.-ol, m. 152.degree. (decompn.), [.alpha.]D 171.degree., cholestane, m. 218-21.degree., [.alpha.]D 53.degree.; androst-4-en-17.beta.-ol 17-acetate, m. 255-7.degree.,

[.alpha.]D90.degree.; and 5.alpha.androstan-17.beta.-ol 17-acetate, m. 210.degree., [.alpha.]D 36.degree.. 2-Cyano-3-amino-2-ene derivs. (e.g. V, VIII) gave on reflux with EtOCOCl and K2CO3 in C6H6 or PhMe the corresponding 2-cyano-2-ene-3-aminourethans which in turn gave cytosine derivs. (XXXII) when heated 6 hrs. at 130.degree. in an autoclave. Thus were prepd. 17.alpha.-methyl-5.alpha.-androstan-17.beta.-ol[3,2-d]-2-hydroxy-6'-aminopyrimidine, m. >350.degree., cholestane[3,2-d]-2'-hydroxy-6'-aminopyrimidine, m. >350.degree., and 5.alpha.-androstan-17.beta.-ol [3,2-d]-2'-hydroxy-6'-aminopyrimidine 17-acetate, m. >360.degree., [.alpha.]D 40.degree. (PhCH2OH). When 1 g. I was refluxed 6 hrs. with 0.4 g. PhNH2 in 50 ml. PhMe with simultaneous azeotropic removal of H2O, 0.98 g. 3-phenylamino-2-ene deriv. (XXXIV) was obtained, m. 98-100.degree., [.alpha.]D-40.degree.. The latter compd. (0.4 g.) on heating with 0.25 g. CO(NH2)2 to 205-10.degree. yielded 85 mg. 5.alpha.-androstan-17.beta.-ol[3,2-d]-2'-hydroxy-6'-amino-pyrimidine (XXXIV), m. >300.degree., [.alpha.]D 62.degree. (PhCH2OH); when 0.34 g. XXXIV was heated in a sealed tube with 0.17 g. SC(NH2)2 to 200-3.degree., 5.alpha.-androstan-17.beta.-ol[3,2-d]-2'-mercapto-6'-amino-pyrimidine (XXXV), m. >280.degree., was formed. Derivs. of XXXVI were prepd. when a soln. 3.3 g. XVII, XIX, or XXIII, 1.1 g. guanidine-HCl, 50 ml. 3% NaOEt in EtOH, and 50 ml. EtOH was heated 20 hrs. at 150.degree. in an autoclave; then the solvent was evapd. and products were crystd. from MeOH. Thus were prepd.: 5.alpha.-androstan-17.beta.-ol[3,2-d]-2',6'-diaminopyrimidine (XX-XVII) (the pure product was obtained by chromatography on Al2O3 by elution with 94:6 C6H6-EtOH, m. 319-22.degree., [.alpha.]D 46.degree. (PhCH2OH); 17.alpha.-methyl-5.alpha.-androstan-17.beta.-ol[3,2-d]-2',6'-di-aminopyrimidine, m. 265.degree., [.alpha.]D 11.degree. (PhCH2OH); and 5.alpha.-estran-17.beta.-ol[3,2-d]-2',6'-diaminopyrimidine, m. 348-,50.degree., [.alpha.]D 94.degree. (PhCH2OH). The purer the XXXVII, the lower the **antibacterial** activity shown. The dipyrimidines were obtained as follows: 2.5 g. 2,16-bis(hydroxymethylene)-5.alpha.-androstan-3,17-dione, 3.5 g. tris(formylamino)methane, and 0.15 g. p-MeC6H4SO3H in 50 ml. HCONH2 was heated 8 hrs. to 160.degree., then the mixt. was poured into 300 ml. N NaOH and extd. with CHCl3, CHCl3 layer was washed with H2O, aq. NaOH, H2O, evapd. to give XXXVIII, m. 217-19.degree. (Me2CO), [.alpha.]D 90.degree.. Similarly XXXIX, m. 212-15.degree., [.alpha.]D 122.degree. (C5H5N), was prepd. from 2,16-bis(hydroxymethylene)-5.alpha.-estran-3,17-dione. XL (1.2 g.), m. >350.degree., was obtained when 2 g. 2-hydroxymethylene-5.alpha.-androstan-3-one[17,16-d]pyrimidine was refluxed with 1 g. guanidine acetate in 19 ml. EtOH 6 hrs. I gave on Jones oxidn. at 0.degree. 2.2 g. 2-cyano-5.alpha.-androstan-3,17-dione, m. 224-6.degree., [.alpha.]D 135.degree., which was kept with 3 ml. Ac2O in 6 ml. C5H5N overnight to give 2.12 g. 3-acetoxy-2-cyano-5.alpha.-androst-2-en-17-one, m. 230-2.degree.. The latter (1.6 g.) was stirred 4 hrs. with 1.6 g. NaOMe and 3.2 ml. HCO2Et in 10 ml. tetrahydrofuran, then 3 ml. H2O and 5 ml. EtOH were added, and the mixt. heated 20 min. to 70.degree., acidified, and dild. with H2O to ppt. 1.25 g. 2-cyano-16-hydroxymethylene-5.alpha.-androstane-3,17-dione, m. 243.degree. (MeOH), [.alpha.]D 84.degree. (C5H5N). The latter compd. was heated with 3 g. tris(formylamino)methane and 0.13 g. p-MeC6H4SO3H in 60 ml. HCONH2 8 hrs. at 160.degree., the mixt. then poured into 250 ml. N NaOH and extd. with EtOAc, the org. layer washed with H2O and evapd., and the residue chromatographed on Al2O3 to give in EtOAc eluate 200 mg. 2-cyano-5.alpha.-androstan-3-one[17,16-d]pyrimidine, m. >330.degree., and in 3:2 EtOAc-Me2CO eluate XLI, m. 352-4.degree., [.alpha.]D 93.degree. (PhCH2OH).

IT Pyrimidine, nucleosides

IT Steroids
([3,2-d]pyrimidine and [3,2-d][17,16-d]dipyrimidine)

IT Steroids
(heterocyclic)

IT Spectra, visible and ultraviolet

(of 18,19-dinor-5.beta.,8.alpha.,9.beta.,10.alpha.,14.beta.-cholest-13-(17)-ene derivs.)

IT Spectra, visible and ultraviolet
(of 2',6'-diamino-5.alpha.-androstano[3,2-d]pyrimidin-17.beta.-ol and related compds.)

IT Nuclear magnetic resonance
(of 5,14-dimethyl-18,19-dinor-5.beta.,8.alpha.,9.beta.,10.alpha.,14.beta.-cholest-13(17)-ene-3,6-dione and related compds.)

IT 1H-Cyclopenta[5,6]naphtho[1,2-g]quinazolin-1-ol, 10-amino-2,3,3a,3b,4,5,11,11a,11b,12,13,13a-dodecahydro-11a,13a-dimethyl-, acetate (ester)
1H-Cyclopenta[5,6]naphtho[1,2-g]quinazolin-1-ol, 10-amino-2,3,3a,3b,4,5,5a,6,11,11a,11b,12,13,13a-tetradecahydro-13a-dimethyl-
1H-Cyclopenta[5,6]naphtho[1,2-g]quinazolin-1-ol, 10-amino-2,3,3a,3b,4,5,5a,6,11,11a,11b,12,13,13a-tetradecahydro-13a-dimethyl-, acetate (ester)
2(1H)-Phenanthrone, 1.beta.-(4,8-dimethyl-3-oxononyl)-3,4,4a.alpha.,4b.beta.,5,6,7,8,8a,9,10,10a.beta.-dodecahydro-7.alpha.,9.beta.-dihydroxy-1,8.alpha.-dimethyl-
5.alpha.-Androst-2-ene-2-carbonitrile, 3-[(ethoxymethylene)amino]-17.beta.-hydroxy-17.beta.-methyl-
5.alpha.-Androstano[17,16-d]pyrimidine-2-carhonitrile, 3-oxo-
5.alpha.-Androstano[3,2-d]pyrimidin-17.beta.-ol, 2',6'-diamino-
5.alpha.-Androstano[3,2-d]pyrimidin-17.beta.-ol, 2',6'-diamino-17-methyl-
5.alpha.-Androstano[3,2-d]pyrimidin-17.beta.-ol, 6'-amino-
5.alpha.-Androstano[3,2-d]pyrimidin-17.beta.-ol, 6'-amino-, acetate (ester)
5.alpha.-Androstano[3,2-d]pyrimidin-17.beta.-ol, 6'-amino-17-methyl-
5.alpha.-Androstano[3,2-d]pyrimidin-2'-one, 6'-amino-17.beta.-hydroxy-
5.alpha.-Androstano[3,2-d]pyrimidin-2'-one, 6'-amino-17.beta.-hydroxy-, acetate (ester)
5.alpha.-Androstano[3,2-d]pyrimidin-2'-one, 6'-amino-17.beta.-hydroxy-17-methyl-
5.alpha.-Androstano[3,2-d]pyrimidine-2'-thione, 6'-amino-17.beta.-hydroxy-
5.alpha.-Androstano[3,2:4',5'][17,16:4'',5'']dipyrimidine, 6'-amino-
5.alpha.-Cholestano[3,2-d]pyrimidin-2'-one, 6'-amino-
5.alpha.-Estrano[3,2-d][17,16-d]dipyrimidine
5.alpha.-Estrano[3,2-d]pyrimidin-17.beta.-ol, 2',6'-diamino-
5.alpha.-Estrano[3,2-d]pyrimidin-17.beta.-ol, 6'-amino-
5H-Pyrimido[4'',5'':3',4']cyclopenta[1',2':5,6]naphtho[1,2-g]quinazoline, 2-amino-5a,5b,6,7,7a,12,12a,12b,13,14,14a,15-dodecahydro-5a,7a-dimethyl-
8H-Cyclopenta[5,6]naphtho[1,2-g]quinazolin-8-one, 10-amino-1,2,3,3a,3b,4,5,5a,6,7,11,11a,11b,12,13,13a-hexadecahydro-1-hydroxy-11a,13a-dimethyl-, acetate (ester)
8H-Cyclopenta[5,6]naphtho[1,2-g]quinazoline-8-thione, 10-amino-1,2,3,3a,3b,4,5,5a,6,7,11,11a,11b,12,13,13a-hexadechydro-1-hydroxy-11a,13a-dimethyl-
Androst-4-eno[3,2-d]pyrimidin-17.beta.-ol, 6'-amino-
Androst-4-eno[3,2-d]pyrimidin-17.beta.-ol, 6'-amino-, acetate (ester)
Androsta-2,4-diene-2-carbonltrile, 17.beta.-hydroxy-3-methoxy-
Androsta-2,4-diene-2-carbonltrile, 3-[(ethoxymethylene)amino]-17.beta.-hydroxy-, diethyl orthoformate (ester)
Androsta-2,4-diene-2-carbonltrile, 3-amino-17.beta.-hydroxy-
Androsta-2,4-diene-2-carbonltrile, 3-butoxy-17.beta.-hydroxy-
Androsta-2,4-diene-2-carbonltrile, 3-butoxy-17.beta.-hydroxy-, acetate
Androsta-2,4-diene-2-carbonltrile, 3-ethoxy-17.beta.-hydroxy-
Cholest-4-en-3-one, 6.beta.-[(3.beta.-hydroxy-5,14-dimethyl-18,19-dinor-5.beta.,8.alpha.,9,10.alpha.,14.beta.-cholest-13(17)-en-6.alpha.-yl)oxy]-, acetate
Estra-2,4-diene-2-carbonitrile, 3-**amine**-17.beta.-hydroxy-
Estra-2,4-diene-2-carbonitrile, 3-**amine**-17.beta.-hydroxy-, acetate (ester)

IT 4060-53-1, 5H-Pyrimido[4'',5'':3',4']cyclopenta[1',2':5,6]naphtho[1,2-g]quinazoline, 5a,5b,6,7,7a,12,12a,12b,13,14,14a,15-dodecahydro-7a-methyl- 4060-54-2, 5.alpha.-Androstano[3,2:4',5'][17,16:4'',5'']dipyrimidine, 2'-amino- 4060-59-7, 5.alpha.-Androst-2-ene-2-carbonitrile, 3-hydroxy-17-oxo-, acetate 4060-61-1, 5H-Pyrimido[4'',5'':3',4']cyclopenta[1',2':5,6]naphtho[1,2-g]quinazoline, 4-amino-5a,5b,6,7,7a,12,12a,12b,13,14,14a,15-dodecahydro-5a,7a-dimethyl- 4208-94-0, 5.alpha.-Androstano[3,2:4',5'][17,16:4'',5'']dipyrimidine 5740-67-0, 18,19-Dinor-5.beta.,8.alpha.,9.beta.,10.alpha.,14.beta.-cholest-13(17)ene-3.beta.,6.alpha.-diol, 5,14-dimethyl-, diacetate 5740-68-1, 18,19-Dinor-5.beta.,8.alpha.,9.beta.,10.alpha.,14.beta.-cholest-13(17)ene-3.beta.,6.alpha.-diol, 5,14-dimethyl- **5742-47-2**, 5.alpha.-Androstane-2.alpha.-carbonitrile, 17.beta.-hydroxy-3-oxo-, acetate 5742-48-3, 5.alpha.-Estrane-2.alpha.-carbonitrile, 17.beta.-hydroxy-3-oxo-, acetate 5742-49-4, Estr-4-ene-2.alpha.-carbonitrile, 17.beta.-hydroxy-3-oxo-, acetate 5742-50-7, 5.alpha.-Androst-2-ene-2-carbonitrile, 17.beta.-hydroxy-3-methoxy- 5742-51-8, 5.alpha.-Androst-2-ene-2-carbonitrile, 17.beta.-hydroxy-3-methoxy-17-methyl- 5742-54-1, 5.alpha.-Androst-2-ene-2-carbonitrile, 3-ethoxy-17.beta.-hydroxy- 5742-56-3, 5.alpha.-Androst-2-ene-2-carbonitrile, 3-ethoxy-17.beta.-hydroxy-17-methyl- 5742-57-4, 5.alpha.-Estr-2-ene-2-carbonitrile, 17.beta.-hydroxy-3-methoxy- 5742-59-6, Formimidic acid, N-(2-cyano-17.beta.-hydroxy-17-methyl-5.alpha.-androst-2-en-3-yl)-, ethyl ester 5742-60-9, 5.alpha.-Cholest-2-ene-2-carbonitrile, 3-[(ethoxymethylene)amino]- 5742-61-0, Formimidic acid, N-(2-cyano-17.beta.-hydroxy-5.alpha.-androst-2-en-3-yl)-, ethyl ester, di-Et orthoformate 5742-62-1, Formimidic acid, N-(2-cyano-17.beta.-hydroxyandrosta-2,4-dien-3-yl)-, ethyl ester, di-Et orthoformate 5742-63-2, 5.alpha.-Androst-2-ene-2-carbonitrile, 3-[(ethoxymethylene)amino]-17.beta.-hydroxy-, acetate (ester) 5742-64-3, 1H-Cyclopenta[5,6]naphtho[1,2-g]quinazolin-1-ol, 10-amino-2,3,3a,3b,4,5,5a,6,11,11a,11b,12,13,13a-tetradecahydro-13a-methyl- 5742-66-5, 5.alpha.-Cholestano[3,2-d]pyrimidine, 6'-amino- 5742-69-8, 8H-Cyclopenta[5,6]naphtho[1,2-g]quinazolin-8-one, 10-amino-1,2,3,3a,3b,4,5,5a,6,7,11,11a,11b,12,13,13a-hexadecahydro-1-hydroxy-1,11a,13a-trimethyl- 5742-71-2, 5.alpha.-Androst-2-ene-2-carbonitrile, 3-anilino-17.beta.-hydroxy- 5742-72-3, 1H-Cyclopenta[5,6]naphtho[1,2-g]quinazolin-1-ol, 8,10-diamino-2,3,3a,3b,4,5,5a,6,11,11a,11b,12,13,13a-tetradecahydro-11a,13a-dimethyl- 5742-73-4, 1H-Cyclopenta[5,6]naphtho[1,2-g]quinazolin-1-ol, 8,10-diamino-2,3,3a,3b,4,5,5a,6,11,11a,11b,12,13,13a-tetradecahydro-1,11a,13a-trimethyl- 5742-74-5, 1H-Cyclopenta[5,6]naphtho[1,2-g]quinazolin-1-ol, 8,10-diamino-2,3,3a,3b,4,5,5a,6,11,11a,11b,12,13,13a-tetradecahydro-13a-methyl- **5742-78-9**, 5.alpha.-Androstane-2-carbonitrile, 3,17-dioxo- **5742-80-3**, 5.alpha.-Androstane-2-carbonitrile, 16-(hydroxymethylene)-3,17-dioxo- 5742-81-4, 1H-Naphth[2',1':4,5]indeno[1,2-d]pyrimidine-3-carbonitrile, 2,3,4,4a,4b,5,6,6a,11,11a,11b,12,13,13a-tetradecahydro-4a,6a-dimethyl-2-oxo- 5742-90-5, 5.alpha.-Androst-2-ene-3-carbamic acid, 2-cyano-17.beta.-hydroxy-17-methyl-, ethyl ester 5742-98-3, 5.alpha.-Cholest-2-ene-3-carbamic acid, 2-cyano-, ethyl ester 5742-99-4, 5.alpha.-Androst-2-ene-3-carbamic acid, 2-cyano-17.beta.-hydroxy-, ethyl ester, acetate 5767-97-5, Guanidine, (2-cyano-17.beta.-hydroxy-5.alpha.-androst-2-en-3-yl)- 5767-98-6, 5.alpha.-Androst-2-ene-2-carbonitrile, 3-amino-17.beta.-hydroxy- 5767-99-7, 5.alpha.-Androst-2-ene-2-carbonitrile, 3-amino-17.beta.-hydroxy-, acetate (ester) 5768-00-3, 5.alpha.-Estr-2-ene-2-carbonitrile, 3-amino-17.beta.-hydroxy- 5768-01-4, 5.alpha.-Estr-2-ene-2-carbonitrile, 3-amino-17.beta.-hydroxy-, acetate (ester) 5768-04-7, 5.alpha.-Estr-2-ene-2-carbonitrile, 3,17.beta.-dihydroxy-, diacetate 5768-05-8, Estra-2,4-diene-2-carbonitrile, 3,17.beta.-dihydroxy-, diacetate 5768-07-0, 18,19-Dinor-5.beta.,8.alpha.,9.beta.,10.alpha.,14.beta.-cholest-13(17)-ene-

3,6-dione, 5,14-dimethyl- 5785-38-6, 5.alpha.-Estr-2-ene-2-carbonitrile, 3-butoxy-17.beta.-hydroxy- 5785-39-7, 8H-Cyclopenta[5,6]naphtho[1,2-g]quinazolin-8-one, 10-amino-1,2,3,3a,3b,4,5,5a,6,7,11,11a,11b,12,13,13a-hexadecahydro-1-hydroxy-11a,13a-dimethyl- 6079-01-2, Pseudourea, 1-(2-cyano-17.beta.-hydroxy-5.alpha.-androst-2-en-3-yl)-2-methyl-2-thio- 6079-02-3, 5.alpha.-Androst-2-ene-2-carbonitrile, 3-butoxy-17.beta.-hydroxy- 6079-03-4, 5.alpha.-Estr-2-ene-2-carbonitrile, 3-ethoxy-17.beta.-hydroxy- 6079-05-6, 1H-Cyclopenta[5,6]naphtho[1,2-g]quinazolin-1-ol, 10-amino-2,3,3a,3b,4,5,5a,6,11,11a,11b,12,13,13a-tetradecahydro-1,11a,13a-trimethyl- 6107-04-6, 5.alpha.-Androst-2-ene-2-carbonitrile, 3,17.beta.-dihydroxy-, diacetate 6599-78-6, 8H-Cyclopenta[5,6]naphtho[1,2-g]quinazolin-8-one, 10-amino-1-(1,5-dimethylhexyl)-1,2,3,3a,3b,4,5,5a,6,7,11,11a,11b,12,13,13a-hexadecahydro-11a,13a-dimethyl- 7412-29-5, 5.alpha.-Androst-2-ene-2-carbonitrile, 3-amino-17.beta.-hydroxy-17-methyl- 7412-35-3, 5.alpha.-Cholest-2-ene-2-carbonitrile, 3-ethoxy- 101611-31-8, Formimidic acid, N-(2-cyano-17.beta.-hydroxy-5.alpha.-androst-2-en-3-yl)-, ethyl ester, acetate
(prepn. of)

IT 463-78-5, Orthoformic acid
(with steroids)

=>

AN 1966:84775 CAPLUS
DN 64:84775
OREF 64:15945b-h,15946a-b
TI Synthesis of 17-hydroxyimino steroids and their (O-alkyl derivatives
AU Nagata, Wataru; Sugasawa, Tsutomu; Narisada, Masayuki; Okada, Toshihiko; Sasakura, Kazuyuki; Murakami, Masayuki; Hayase, Yoshio
CS Shionogi Co., Ltd., Osaka, Japan
SO Chemical & Pharmaceutical Bulletin (1966), 14(2), 174-86
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
CC 42 (Steroids)
GI For diagram(s), see printed CA Issue.
AB Derivs. of I and II were prepd. and biol. evaluated. The processes used were as follows: (A) prepn. of oximes by the reaction of a 17-oxo steroid with NH2OH.HCl and AcONa in 10:1 EtOH-H2O; (B) synthesis of hemisuccinates by heating a hydroxy 17-oxo steroid with 3 equivs. (CH2CO)2O in C5H5N 8 hrs. at 70-80.degree.. (C) 3-Ethoxy-3,4-dien-17-oxo steroids were obtained by refluxing 1 part .DELTA.4-3,17-dioxo steroids with 3 parts HC(OEt)3 and 0.05 part pyridine hydrochloride in 25 parts C6H6 and 2.5 parts EtOH 15 min. Oximes of these derivs. were prepd. as in A, and the ethoxy group underwent hydrolysis in 2% HClO4 in EtOH at 0.degree. for 15 min. (D) O-Me derivs. of 17-hydroxyimino steroids were produced by alkylation with 5 equivs. MeI in MeOH-dioxane contg. 10 equivs. MeONa at 40-50.degree. 3 hrs. O-Dialkylaminoalkyl derivs. were prepd. similarly using dialkylaminoalkyl halides as alkylating agents. (.EPSILON.) 17-Methoxyimino steroids were synthesized also by refluxing 17-oxo steroids with 1.5 equivs. MeONH2.HCl in H2O contg. 3 equivs. AcONa for 2 hrs. The following I were obtained [R1, R2, R3, R4, R5 [X = NO(CH2)2NMe2, Y = NO(CH2)3C5H10N, Z = (CH2)2NMe2], process (L = literature method), and m.p. given]: O, .DELTA.4 NOH, Me, H2 (III), L, --; O, .DELTA.4 NOH, Me, O (IV), L,--; .beta.-OH,H, .alpha.-H, O, Me, H2,L --; (MeO)2, .alpha.-H, O, Me, H2, L, 125-6.degree.; (MeO)2, .beta.-H, O, Me, H2, L, 104-6.degree.; O, .alpha.H, NOH, Me, H2, L, 248-51.degree.; O, .beta.-H, NOH, Me, H2, --, 243-5.degree.; .beta.-HO2CCH2CO2,H, .alpha.-H, O, Me, H2, B, 255-7.degree.; .beta.-HO2CCH2CO2,H, .beta.-H, O, Me, H2, B, 224.5-28.degree. .beta.-HO2CCH2CO2,H, .alpha.-H, NOH, Me, H2, B,A, 243-5.degree.; .beta.-HO2 CCH2CO2,H, .beta.-H, NOH, Me, H2, B,A, 212-14.degree.; .beta.-OH,H, .alpha.-H, NOH, Me, H2, L, --; .beta.-OH,H, .beta.-H, NOH, Me, H2, A, 214-16.degree.; .beta.-OH,H, .alpha.-H, X, Me, H2 (V), D, 137.5-9.5.degree. [HCl salt m. 238-46.degree. (decompn.); MeI salt m. 265-70.degree. (decompn.)]; .beta.-OH,H, .beta.-H, X, Me, H2, D, 100-3.degree.; .beta.-OH,H, .alpha.-H, NOMe, Me, H2 (VI), D,.EPSILON., 216-17.degree.; .beta.-OH,H, .beta.-H, NOMe, Me, H2, D, 169-71.degree.; .beta.-OH,H, .alpha.-H, NOMe, Me, H2, D, 204-9.degree.; .beta.-OH,H, .beta.-H, NOMe, Me, H2, D, 173-8.degree.; .beta.-OH,H, .alpha.-H, Y, Me, H2, D, 124-6.degree. (HCl salt m. 239-48.degree.); O, .alpha.-H, X, Me, H2 (VII), 2, 217-22.degree. (m.p. of HCl salt); .alpha.-Cl,H, .alpha.-H, X, Me, H2 (VIII), 210-16.degree. (HClO4 salt m. 216-20.degree.); H (.DELTA.2), .alpha.-H, O, Me, H2, L, 107-9.degree.; H (.DELTA.2), .alpha.-H, NOH, Me, H2, A, 156-60.degree. H(.DELTA.2), .alpha.-H, X, Me, H2, D, 206-12.degree. (m.p. HCl salt); H,H, .alpha.-H, O, Me, H2, L, 124-5.degree.; H,H, .alpha.-H, NOH, Me, H2, A, 179-80.degree.; H,H, .alpha.-H, X, Me, H2, D, 225-8.degree. (m.p. HCl salt); .beta.-OH,H, .DELTA.5, NOH, Me, H2, L, 201-3.degree.; O, .DELTA.4, (CH2)2O2, Me, H2 (IX), L, 149-50.degree.; O,.beta.-H, (CH2)2O2, Me, H2 (X),--, 103-5.degree.; .alpha.-OH,H, .beta.-H, O, Me, H2 (XI), L, 153-5.degree.; .alpha.-HO2CCH2CO2,H, .beta.-H, O, Me, H2, B, 169-70.degree.; .alpha.-HO2CCH2CO2,H, .beta.-H, NOH, Me, H2, B,A, 123-6.degree.; .alpha.-OH,H, .beta.-H, NOH, Me, H2, A, 229-30.degree.; H(.DELTA.3), .DELTA.5, O, Me, H2, L, 94-5.degree.; H(.DELTA.3), .DELTA.5, NOH, Me, H2, A, 158-64.degree. and 166-71.degree.; OEt(.DELTA.3), .DELTA.5, NOH, Me,

H2, C, --; O, .DELTA.4, NOH, H, H2, C, 208-13.degree.; OEt (.DELTA.3),.DELTA.5,X, H, H2, D, --; O, .DELTA.4, X, H, H2, D, 193-201.degree.; OEt (.DELTA.3),.DELTA.5, NOH, Me, H2, C, --; O, .DELTA.4, NOH, Me, H2, C, 202-4.degree. OEt (.DELTA.3), .DELTA.5, X, Me, H2, D, --; O, .DELTA.4, X, Me, H2, D, 192-4.degree.; O, .DELTA.4, NOMe, Me, H2, D, 169-70.degree.; OEt(.DELTA.3), .DELTA.5, NOH, Me, O, C, 187-90.degree. (decompn.); O,.DELTA.4, NOH, Me, O, C, 250-2.degree. (decompn.); OEt(.DELTA.3), .DELTA.5, X, Me, O, D, --; O, .DELTA.4, X, Me, O, D, 98-100.degree.; NOH, .DELTA.4, NOH, Me, O, A, 156-7.degree.; O, .DELTA.4, O, Me, .alpha.-OH,H, L, --; O, .DELTA.4, O, Me, .alpha.-HO2CCH2CO2,H, B, 194-5.degree. OEt(.DELTA.3), .DELTA.5, O, Me, .alpha.-HO2CCH2CO2H, C, --; OEt(.DELTA.3), .DELTA.5, NOH, Me, .alpha.-HO2CCH2CO2,H, C,A, --; O, .DELTA.4, NOH, Me, .alpha.-HO2CCH2CO2,H, C, 136-9.degree.. The following II were prepd. (R, R1, process, and m.p. given): Me, X, D, 193-9.degree.; Z, X (XII), D, 44-9.degree. (dioxalate m. 186-92.degree.); Z, NOH, D, 167-73.degree.. V (1.785 g.) oxidized with 1.42 g. CrO3 in 32 ml. AcOH and 1.42 ml. H2O at room temp. for 3.5 hrs. gave VII, isolated as the HCl salt. V p-toluenesulfonate (1.34 g.) and 1.2 g. LiCl refluxed in 84 ml. abs. dioxane for 15 hrs. produced VIII, isolated as the HCl salt. Hydrogenation of IX in pyridine in the presence of 5% Pd--CaCO3 gave X, and X reduced with LiAl(OBu)3H in tetrahydrofuran, followed by hydrolysis of the product in 70% AcOH, produced XI. III and IV produced long-acting anesthesia in mice at 3 mg. intraperitoneally per mouse. Most of the compds. with a 17-Me2N(CH2)2ON group showed potent hypocholesterolemic activity in rats at 1 mg. subcutaneously per rat for 10 days. The mode of action of these compds. was inhibition of cholesterol biosynthesis similar to MER-29. XII was orally active. Me2N(CH2)2ON derivs. showed also antifungal and **antibacterial** activity, with VI having an antifungal spectrum greater than griseofulvin and almost as potent.

IT Steroids
(17-alkoxyimino)

IT 5.alpha.-Androstan-17-one, 3,3-dimethoxy-
5.alpha.-Androstan-17-one, 3.alpha.-chloro-, O-[2-(dimethylamino)ethyl]oxime, perchlorate
5.alpha.-Androstan-17-one, 3.beta.-hydroxy-, O-[2-(dimethylamino)ethyl]oxime, hydrochloride
5.alpha.-Androstan-17-one, 3.beta.-hydroxy-, O-[2-(dimethylamino)ethyl]oxime, methiodide
Estr-4-ene-3,17-dione, 17-[O-[2-(dimethylamino)ethyl]oxime], hydrochloride
Pregna-5,15-dien-20-one, 3.beta.,17-dihydroxy-6,16-dimethyl-, acetate, mixt. with 3.beta.,17-dihydroxy-6-methyl-16-methylenepregn-5-en-20-one 3-acetate

IT Succinic acid, .alpha.-ester with .alpha.-(1-**amino**-2-hydroxyethyl)-p-nitrobenzyl glucosiduronic acid
(with steroids)

IT 57-88-5, Cholesterol
(in blood, 17-[[2-(dimethylamino)ethoxy]imino]androstane deriv. effect on)

IT **53-42-9**, 5.beta.-Androstan-17-one, 3.alpha.-hydroxy-
963-74-6, 5.alpha.-Androstan-17-one 963-75-7, 5.alpha.-Androst-2-en-17-one 1035-62-7, 5.alpha.-Androstan-17-one, oxime 1044-89-9, Androst-4-ene-3,17-dione, cyclic 17-(ethylene acetal) 2428-57-1, Androst-4-en-17-one, 3.beta.-hydroxy-, cyclic ethylene acetal 2830-48-0, Androst-5-en-17-one, 3.beta.-hydroxy-, oxime **3591-19-3**, 5.alpha.-Androstane-3,17-dione, 3-(dimethyl acetal) 5615-20-3, 5.beta.-Androstan-17-one, 3.beta.-hydroxy-, O-[2-(dimethylamino)ethyl]oxime 5615-21-4, 5.alpha.-Androstan-17-one, 3.beta.-hydroxy-, O-methyl oxime 5615-22-5, 5.beta.-Androstan-17-one, 3.beta.-hydroxy-, O-methyloxime 5615-23-6, 5.alpha.-Androstan-3.beta.-ol, 17-(methylimino)-, N-oxide 5615-24-7, 5.beta.-Androstan-3.beta.-ol, 17-(methylimino)-, N-oxide 5615-25-8, 5.alpha.-Androstan-17-one, 3.beta.-hydroxy-, O-(3-piperidinopropyl)oxime **5615-32-7**,

5.beta.-Androstane-3,17-dione, cyclic 17-(ethylene acetal) 5615-33-8,
5.beta.-Androstan-17-one, 3.alpha.-hydroxy-, hydrogen succinate
5615-34-9, 5.beta.-Androstan-17-one, 3.alpha.-hydroxy-, oxime 5615-36-1,
Estr-4-ene-3,17-dione, 17-oxime 5615-38-3, Androst-4-ene-3,17-dione,
17-oxime 5615-40-7, Androst-4-ene-3,17-dione, 17-(O-methyloxime)
5615-41-8, Androsta-3,5-diene-11,17-dione, 3-ethoxy-, 17-oxime
5615-42-9, Androst-4-ene-3,11,17-trione, 17-oxime 5615-43-0,
Androst-4-ene-3,11,17-trione, 17-[O-[2-(dimethylamino)ethyl]oxime]
5615-44-1, Androst-4-ene-3,11,17-trione, 3,17-dioxime 5615-45-2,
Androst-4-ene-3,17-dione, 11.alpha.-hydroxy-, hydrogen succinate
5615-46-3, Androst-4-ene-3,17-dione, 11.alpha.-hydroxy-, 17-oxime, H
succinate 5615-47-4, Estra-1,3,5(10-trien-17-one, 3-[2-
(dimethylamino)ethoxy]-, oxime 5648-55-5, Estra-1,3,5(10-trien-17-one,
3-methoxy-, O-[2-(dimethylamino)ethyl]oxime, hydrochloride
5717-56-6, 5.beta.-Androstane-3,17-dione, 3-(dimethyl acetal)
5717-76-0, 5.alpha.-Androstan-17-one, 3.alpha.-chloro-,
O-[2-(dimethylamino)ethyl]oxime **5717-79-3**, 5.alpha.-Androstane-
3,17-dione, 17-oxime 5717-80-6, 5.alpha.-Androstan-17-one,
3.beta.-hydroxy-, hydrogen succinate 5717-81-7, 5.beta.-Androstan-17-
one, 3.beta.-hydroxy-, hydrogen succinate 5717-82-8,
5.alpha.-Androstan-17-one, 3.beta.-hydroxy-, oxime, H succinate
5717-83-9, 5.beta.-Androstan-17-one, 3.beta.-hydroxy-, oxime, H succinate
5717-84-0, 5.beta.-Androstan-17-one, 3.beta.-hydroxy-, oxime 5717-85-1,
5.alpha.-Androstan-17-one, 3.beta.-hydroxy-, O-[2-
(dimethylamino)ethyl]oxime 6020-90-2, 5.alpha.-Androst-2-en-17-one,
oxime 6020-92-4, 5.beta.-Androstan-17-one, 3.alpha.-hydroxy-, oxime, H
succinate 6020-93-5, Androsta-3,5-dien-17-one, oxime **6067-80-7**
, 5.beta.-Androstane-3,17-dione, 17-oxime **6767-43-7**, Ammonium,
[2-[[(3.beta.-hydroxy-5.alpha.-androstan-17-ylidene)**amino**
]oxy]ethyl]trimethyl, iodide 7129-12-6, Estra-1,3,5(10-trien-17-one,
3-[2-(dimethylamino)ethoxy]-, O-[2-(dimethylamino)ethyl]oxime 7196-70-5,
Estra-1,3,5(10-trien-17-one, 3-[2-(dimethylamino)ethoxy]-,
O-[2-(dimethylamino)ethyl]oxime, oxalate (1:2) 14788-84-2,
Androst-4-ene-3,17-dione, 17-[O-[2-(dimethylamino)ethyl]oxime],
hydrochloride 15428-26-9, 5.alpha.-Androstan-17-one,
O-[2-(dimethylamino)ethyl]oxime, hydrochloride 15428-27-0,
5.alpha.-Androst-2-en-17-one, O-[2-(dimethylamino)ethyl]oxime,
hydrochloride 15428-28-1, 5.alpha.-Androstan-17-one, 3.beta.-hydroxy-,
O-(3-piperidinopropyl)oxime, hydrochloride **15428-32-7**,
5.alpha.-Androstane-3,17-dione, 17-[O-[2-(dimethylamino)ethyl]oxime],
hydrochloride 15428-33-8, 5.alpha.-Androstan-17-one, 3.alpha.-chloro-,
O-[2-(dimethylamino)ethyl]oxime, hydrochloride 94440-41-2,
Androsta-2,5-dien-17-one
(prepn. of)

IT 7256-61-3, 5H-[2,3,7,8]Benzotetraazacycloundecino[5'',4'':4',5']cyclopenta
[1',2':7,8]phenanthro-[2,3-d][2,3,7,8]benzotetraazacycloundecine
7266-15-1, 2H-[1,2,6,7]Tetraazacyclotridecino[4'',3'':4',5']cyclopenta[1',
2':7,8]phenanthro[2,3-c]-[1,2,6,7]tetraazacyclotridecine 7488-57-5,
2H-[1,2,6,7]Tetraazacycloheptadecino[4'',3'':4',5']cyclopenta[1',2':7,8]ph
enanthro[2,3-c][1,2,6,7]tetraazacycloheptadecine
(steroid derivs.)

=>

AN 1969:502104 CAPLUS
DN 71:102104
TI Synthesis and **antibacterial** activity of acid and basic A-nor-androstane derivatives
AU Rufer, Clemens
CS Hauptlab., Schering A.-G., Berlin, Fed. Rep. Ger.
SO Justus Liebigs Annalen der Chemie (1969), 726, 145-51
CODEN: JLACBF; ISSN: 0075-4617
DT Journal
LA German
CC 32 (Steroids)
AB Four A-norandrostane derivs. with basic side chains of various length at C-10, 3-**amino**-3,5-seco-A-norandrostan-17.beta.-ol (HCl salt m. 269-71.degree.),2-**amino**-2,5-seco-A-dinorandrostan-17.beta.-ol (m. 144-5.degree.), 1-**amino**-1,5-seco-A-trinorandrostan-17.beta.-ol (I) (m. 125-7.degree.), and 17.beta.-hydroxy-2,5-seco-A-dinorandrostan-2-ylguanidinium acetate (m. 100-6.degree.), were prepd. by standard synthetic methods and examd. for **antibacterial** activity against Mycobacterium tuberculosis, Battey **bacillus**, M. avium. and M. kasasii in vitro. With the exception of I, these compds. exhibited moderate activity against mycobacteria, but were generally less active than isonicotinic acid hydrazide or streptomycin.
ST steroid derivs synthesis; synthesis steroid derivs; **antibacterial** seco nor androstanes; seco nor androstanes **antibacterial**; nor seco androstanes **antibacterial**; androstanes seco nor **antibacterial**
IT 1,5-Seco-A-trinorsteroids
2,5-Seco-A-dinorsteroids
3,5-Seco-A-norsteroids
IT A-Norsteroids
(**amino** or carboxy derivs., **antibacterial** activity of)
IT Bactericidal action
(of A-norandrostane derivs.)
IT 22711-98-4P 22711-99-5P 22712-00-1P 24124-78-5P 24124-82-1P 24124-83-2P 24124-84-3P 24124-85-4P 24124-86-5P 24124-87-6P **24124-88-7P 24124-89-8P** 24124-90-1P 24124-91-2P 24160-07-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

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AN 1976:587179 CAPLUS
DN 85:187179
TI Structure-function activity of azasterols and nitrogen-containing steroids
AU Kabara, Jon J.; Holzschu, Donald L.; Catsoulacos, Demokritos P.
CS Dep. Biomech., Michigan State Univ., East Lansing, MI, USA
SO Lipids (1976), 11(10), 755-62
CODEN: LPDSAP; ISSN: 0024-4201
DT Journal
LA English
CC 3-2 (Biochemical Interactions)
AB Thirty-nine nitrogen-contg. steroids were tested against 2 gram-neg., 5 gram-pos., and 2 yeast organisms. Although low minimal inhibitory concn. (MIC) values were recorded for sterol producing yeast, growth of **bacteria** which contain no sterols was also inhibited. Structure-function studies provided no relation between biol. activity and hypocholesteremic effects of these azasteroids. **Amino** and azasteroids may be membrane effectors which, in the case of mitochondria, lead to changes in adenosine triphosphate levels and(or) dehydrogenase activity. Their effects on sterol metab., therefore, may be of secondary consideration.
ST azasterol antimicrobial structure activity; nitrogen steroid antimicrobial; bactericide nitrogen steroid
IT Molecular structure-biological activity relationship
(antimicrobial, of nitrogen-contg. steroids)
IT Azasteroids
RL: BIOL (Biological study)
(hydroxy, antimicrobial activity of)
IT Bactericides, Disinfectants and Antiseptics
Fungicides and Fungistats
(nitrogen-contg. steroids as)
IT Steroids, biological studies
RL: BIOL (Biological study)
(nitrogen-contg., antimicrobial activity of)
IT 313-05-3 1035-62-7 1249-82-7 **1865-62-9** 1973-59-7
1973-61-1 3915-24-0 4350-66-7 5668-07-5 5953-71-9 5986-91-4
7590-98-9 28444-84-0 28767-60-4 29588-39-4 30093-16-4 35476-25-6
37106-88-0 39933-02-3 39933-05-6 57700-05-7 57700-06-8
57700-15-9 61148-03-6 61148-04-7 61148-05-8 61148-06-9
61148-07-0 61148-08-1 61148-09-2 61148-10-5 61148-11-6
61148-12-7 61148-14-9 61148-15-0 61148-16-1 61177-50-2
61255-55-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antimicrobial activity of)

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AN 1967:44440 CAPLUS
DN 66:44440
TI Effect of azasteroids on **gram-positive bacteria**
AU Varricchio, Frederick; Doorenbos, Norman J.; Stevens, Audrey
CS Sch. of Med., Univ. of Maryland, Baltimore, MD, USA
SO Journal of Bacteriology (1967), 93(2), 627-35
CODEN: JOBAAY; ISSN: 0021-9193
DT Journal
LA English
CC 8 (Microbial Biochemistry)
AB A group of N-contg. steroids of closely related structure was screened for **antibacterial** activity, by use of **Bacillus** subtilis and Sarcina lutea as the test organisms. The most active compds. were cholesterol derivs. contg. a tertiary or quaternary N in, or attached to, the A ring. Similar methyltestosterone or progesterone derivs. were inactive. All of the cholesterol derivs. that inhibited growth were surfactant, and, structurally, they would be classified as cationic detergents. Some of the inactive compds. were surfactant, but, structurally, they would be classified as nonionic detergents. Certain features of the **antibacterial** activity of one of the active steroids, i.e., ND 212 (4-dimethylaminoethyl-4-aza-5-cholesten-3-one methiodide), were studied. Growth of a culture of B. subtilis contg. 5 .times. 107 cells/ml. was inhibited by 1 .mu.g./ml. (1.7 .times. 10-6M) of ND 212. The amt. of growth inhibition was directly related to both cell and steroid concn. Loss of viability was rapid and irreversible. With B. subtilis, cell lysis was observed. With S. lutea grown in glucose-14C, ND 212 caused release into the media of up to 25% of the cellular radioactivity. Extensive leakage occurred before loss of viability was observed. At bacteriostatic azasteroid concns., there was little leakage. ND 212 was readily bound in large amts. to B. subtilis cells. Inactive azasteroids were bound poorly. Cholestanone-14C was also bound, whereas methyltestosterone-14C and progesterone-14C were not bound in significant amts. At least 50% of the bound cholestanone-14C was assocd. with the membrane fraction. 25 references.
ST AZASTEROIDS **ANTIBACTERIAL**; **ANTIBACTERIAL** AZASTEROIDS; STEROIDS SURFACTANTS **ANTIBACTERIAL**; CHOLESTENONES **ANTIBACTERIAL**
IT Azasteroids
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal action of)
IT Bactericidal action
(of azasteroids)
IT **Bacillus**
(subtilis, azasteroid absorption by)
IT 2696-51-7 2931-63-7 3899-45-4 4321-99-7 5758-88-3 10121-88-7 10169-13-8 10236-65-4 14124-56-2 14124-57-3 14124-58-4 14124-60-8 14124-61-9 15262-51-8 15262-52-9 **15262-54-1** 15262-57-4 15262-65-4 15262-66-5 15904-68-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal action of)

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AN 1968:419417 CAPLUS
DN 69:19417
TI (Optionally 17-alkylated)-3-oxa-5.alpha.-androstan-17.beta.-ols, corresponding and intermediates
IN Pappo, Raphael; Scaros, Mike G.
PA Searle, Gd. and Co.
SO U.S., 4 pp.
CODEN: USXXAM
DT Patent
LA English
NCL 260345200
CC 32 (Steroids)
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3359282		19671219	US	19650924

GI For diagram(s), see printed CA Issue.

AB The title compds. (I, R = H or lower alkanoyl, X = H or lower alkyl) are useful as **antibacterial**, antiprotozoal, and antialgal agents. K metal (3.2 parts) was heated in 160 parts tert-BuOH until dissolved, 24 parts 17.alpha.-hydroxy-17.alpha.-methyl-5.alpha.-androstan-3-one added, the mixt. shaken under O at 10-30 psi. 5 days, the mixt. dild. with 240 parts MeOH and 150 parts H2O, 24 parts NaBH4 added, the mixt. held 16 hrs. at room temp., H2O 100 added, the soln. distd. in vacuo, the residue filtered, the filtrate extd. with CHCl3, the aq. layer sepd., made acidic with HCl, and extd. with CHCl3, and the exts. washed with cold 5% aq. NaOH, dried, and stripped of solvent in vacuo to give a mixt. of 17.beta.-hydroxy-17.alpha.-methyl-3-oxa-5.alpha.-androstan-2-one and 17.alpha.-hydroxy-17.alpha.-methyl-2-oxa-5.alpha.-androstan-3-one. The mixt. was dissolved in MeOH 80, NaOH 2 in H2O 2 parts added, held 5 min. at room temp., extd. with C6H6, the org. layer sepd., and worked up to give 17.beta.-hydroxy-17.alpha.-methyl-3-oxa-5.alpha.-androstan-2-one, m. 213-17.degree.. Similarly prepd. were 17.alpha.-ethyl-17.beta.-hydroxy-3-oxo-2,3-seco-A-nor-5.alpha.-androstan-2-oic acid; 17.alpha.-ethyl-17.beta.-hydroxy-3-oxa-5.alpha.-androstan-2-one; and 17.beta.-acetoxy-3-oxa-5.alpha.-androstan-2-one, m. 174-7.degree.. 17.beta.-Hydroxy-17.alpha.-methyl-3-oxa-5.alpha.-androstan-2-one (1.82 parts) in 162 parts tetrahydrofuran was mixed with 1.8 parts LiAlH4, then 54 parts tetrahydrofuran added, the mixt. stirred under N at room temp. 16 hrs., then refluxed 2 hrs., cooled, and worked up to give 17.alpha.-methyl-2,3-seco-A-nor-5.alpha.-androstane-2,3,17.beta.-triol (II), m. 207-9.degree.. II (1.8 parts) was dissolved in 30 parts C5H5N, cooled to room temp., 15 parts Ac2O added, held at room temp. 21 hrs., dild. carefully with ice, and worked up to give 17.alpha.-methyl-2,3-seco-A-nor-5.alpha.-androstane-2,3,17.beta.-triol 2,3-diacetate. Similarly were prepd. 17.alpha.-methyl-3-oxa-5.alpha.-androstan-17.beta.-ol, m. 180-3.degree.; 3-oxa-5.alpha.-androstan-17.beta.-ol, m. 125-7.degree.; 3-oxa-5.alpha.-androstan-17.beta.-ol 17-acetate, m. 115-16.5.degree.; 17.alpha.-ethyl-2,3-seco-A-nor-5.alpha.-androstan-2,3,17.beta.-triol; 17.alpha.-ethyl-2,3 - seco - A - nor - 5.alpha. - androstane-2,3,17.beta.-triol 2,3-dipropionate; 17.alpha.-ethyl-3-oxa-5.alpha.-androstan-17.beta.-ol; 3-oxa-5.alpha.-androstan-17.beta.-ol 17-propionate; and 2,3-seco-A-nor-5.alpha.-androstane-2,3,17.beta.-triol 2,3,17-triacetate.

ST oxa androstanols esters; esters oxa androstanols; androstanols esters oxa

IT 3-Oxasteroids
(17-alkyl 17-hydroxy)

IT Cyclopenta[5,6]naphtho[2,1-c]pyran, 3-oxaandrostane derivs.
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

IT 7419-90-1P 13263-04-2P 13409-01-3P **18898-03-8P**
18898-04-9P 18898-05-0P 18898-06-1P
RL: SPN (Synthetic preparation); PREP (Preparation)

AN 1969:58133 CAPLUS
DN 70:58133
TI Steroidal cyclic sulfones
IN Daum, Sol J.; Clarke, Robert L.
PA Sterling Drug Inc.
SO U.S., 3 pp.
CODEN: USXXAM
DT Patent
LA English
NCL 260239500
CC 32 (Steroids)
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 3422094	A	19690114	US 1966-585760	19661011
PRAI US 1966-585760		19661011		

AB 17.beta.-Acetoxy-5.alpha.-androstan-3-one (7.64 g.) in 75 ml. HOAc with 7 ml. HSCH2CH2SH and 5 ml. BF3.Et2O at room temp. 30 min. gave the ethanedithiol ketal (I), m. 183-5.degree.. Addn. of 400 ml. monoperphthalic acid in Et2O (100 mg./ml.) to 9.25 g. I in 250 ml. tetrahydrofuran and reaction at room temp. 3 days afforded 17.beta.-acetoxy-3,3-ethylenedisulfonyl-5.alpha.-androstane (II), m. 316-18.degree., [.alpha.]25D 12.2.degree. (c 1.0, CHCl3). II (2 g.), 2 g. NaOMe, and 150 ml. MeOH under reflux 2 hrs., concn. to half vol., addn. of H2O (400 ml.), ether extn., heating the aq. layer 30 min. on a steam bath, bubbling O through the soln. for 10 min., and keeping overnight at room temp. gave 5.alpha.-androstan-17.beta.-ol-3-one, m. 176-9.degree.. Similarly prepd. are 17.beta.-acetoxy-5.alpha.-androstan-2-one ethanedithiol ketal, m. 203.5-5.0.degree.; 17.beta.-acetoxy-2,2-ethylenedisulfonyl-5.alpha.-androstane, m. 258.4-60.4.degree., [.alpha.]25D 17.0.degree. (c 1.0, CHCl3); cholestan-3-one ethanedithiol ketal, m. 142-4.degree.; 3,3-ethylenedisulfonyl-cholestane, m. 293-4.degree. [MeOH-CH2Cl2), [.alpha.]25D 26.9.degree.. Title compds. have **antibacterial** and antifungal activity.

ST steroidal sulfones; sulfones steroidal; androstane sulfones; cholestane sulfones

IT Steroids, preparation
RL: PREP (Preparation)
(oxo, cyclic sulfones)

IT 2H-Cyclopenta[a]phenanthrene, spiro derivs.
Spiro[2H-cyclopenta[a]phenanthrene-2,2'-[1,3]dithiolane], androstane derivs.
Spiro[3H-cyclopenta[a]phenanthrene-3,2'-[1,3]dithiolane], steroid derivs.
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

IT **521-18-6P** 14303-19-6P 14735-31-0P 21362-74-3P 21362-77-6P 21362-78-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

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AN 1971:406192 CAPLUS
DN 75:6192
TI 8-Substituted androstanolones
IN Nagata, Wataru; Takegawa, Bunichi
PA Shionogi and Co., Ltd.
SO Jpn. Tokkyo Koho, 6 pp.
CODEN: JAXXAD
DT Patent
LA Japanese
IC C07C; A61K
CC 32 (Steroids)
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 46002331	B4	19710121	JP	19660831

AB 8.beta.-Substituted 17.beta.-hydroxy-5.alpha.-androstan-3-ones, useful as antiandrogenic, **antibacterial** drugs, etc., are prepd. Thus, 8.beta.-cyano-5.alpha.-androstane-3,17-dione in MeOH is refluxed 45 min with p-toluenesulfonic acid to give 8.beta.-cyano-3,3-dimethoxy-5.alpha.-androstan-17-one (I). I in MeOH is kept 1 hr with NaBH4, and the resulting 8.beta.-cyano-3,3-dimethoxy-5.alpha.-androstan-17.beta.-ol kept 30 min with 10% HClO4 in dioxane to give 8.beta.-cyano-17.beta.-hydroxy-5.alpha.-androstan-3-one (I). Similarly prepd. are 5 other I analogs.

ST antiandrogenic androstanolones; **antibacterial** androstanolones

IT Steroids, preparation
RL: PREP (Preparation)
(8-substituted)

IT **30002-32-5P 32012-29-6P 32012-30-9P**
32012-31-0P **32012-32-1P** 32012-33-2P 32012-34-3P
32012-35-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

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AN 1974:37391 CAPLUS
DN 80:37391
TI 3,5-Androstadieno-[3,4-d]-(2'-imino-3'-substituted)-thiazolines, isomers and intermediates
IN Popper, Thomas L.
PA Schering Corp.
SO U.S., 9 pp.
CODEN: USXXAM
DT Patent
LA English
IC C07C
NCL 260239500
CC 32-4 (Steroids)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3772283	A	19731113	US 1973-328582	19730201
PRAI	US 1973-328582		19730201		

GI For diagram(s), see printed CA Issue.
AB Androstadienothiazolines I and II and their quaternary salts III (R, R1 = H, Me, Et, Pr; R = OHC; R2 = H, Me; R3 = OH; R4 = Me, C.tplbond.CH; R3R4 = O) (15 compds.) were prepd. by treating 4,5-epoxyandrostan-3-ones with RNHCSNHR1. Thus, 380 mg 4.alpha.,5-epoxy-5.alpha.-androstane-3,17-dione was refluxed with 570 mg MeNHCSNHMe to give 248 mg I (R-R2 = Me, R3R4 = O) which was treated with MeI to give III (R5 = me).
Androstadienothiazolines I possessed contraceptive and antilipogenic activity, and their quaternary salts III possessed **antibacterial** activity.
ST androstadienothiazoline contraceptive antilipogenic; quaternary androstadienothiazoline **antibacterial**
IT Steroids, preparation
RL: PREP (Preparation)
([3,4-d]thiazoline)
IT Contraceptives
(androstadienothiazolines as)
IT Lipids
RL: FORM (Formation, nonpreparative)
(formation of, androstadienothiazolines as lowering agents for)
IT Bactericides, disinfectants and antiseptics
(quaternary androstadienothiazolines)
IT **7430-11-7 17503-11-6** 51086-64-7 51154-09-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with thioureas)
IT 51086-51-2P 51086-52-3P 51086-53-4P 51086-54-5P 51086-55-6P
51086-56-7P 51086-57-8P 51086-58-9P 51086-59-0P 51086-60-3P
51086-61-4P 51086-62-5P 51086-63-6P 51154-10-0P 51168-34-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
IT 105-55-5 534-13-4 26536-60-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with epoxyandrostanones)
IT 62-56-6, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(with epoxyandrostanones)

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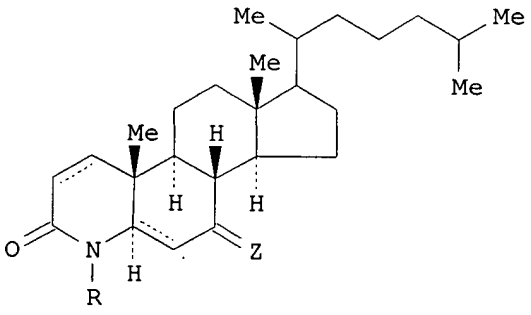
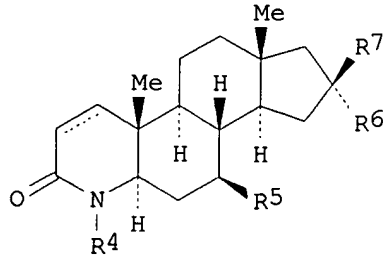
AN 1996:431547 CAPLUS
DN 125:86983
TI Preparation of azacholestanones and azaandrostanones as 5.alpha.-reductase inhibitors
IN Waldstreicher, Joanne
PA Merck and Co., Inc., USA
SO PCT Int. Appl., 169 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C12P033-20
ICS C12P033-10; C12N001-10
CC 32-7 (Steroids)
Section cross-reference(s): 1, 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9612817	A1	19960502	WO 1995-US13440	19951017
	W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5543417	A	19960806	US 1994-327078	19941021
	CA 2199980	AA	19960502	CA 1995-2199980	19951017
	AU 9538964	A1	19960515	AU 1995-38964	19951017
	AU 688994	B2	19980319		
	EP 792371	A1	19970903	EP 1995-938276	19951017
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 10507759	T2	19980728	JP 1995-514047	19951017
PRAI	US 1994-327078		19941021		
	WO 1995-US13440		19951017		

OS MARPAT 125:86983
GI



I



II

AB The title compds. [I; II; the dotted lines = null, bond; R = H, Me, Et, OH, NH2, SMe; Z = O, .alpha.-H and .beta.-substituent from alkyl, alkenyl, CH2COOH, OH, COOH, COO-alkyl, OC(O)NR1R2, etc.; R1R2 = O, or one of them is .alpha.-H and the other is C1-4 alkyl, CH2-COOH, etc.; R4, R5 = C1-10 alkyl; R6 and R7 = H, Me, amino, cyano, etc.], which, in combination with **antibacterials**, keratolytics, and/or antiinflammatories, are useful for treatment of acne. Thus, 7.beta.-ethylcholest-4-en-3-one, prepd. in 5 steps from cholesterol 3-acetate (via 7-oxidn. using Cr(CO)6-BuOOH, Grignard reaction with EtMgCl, treatment with Al(OiPr)3, redn. with Li-NH3, and isomerization in the presence of DBU), was cleaved with KMnO4/NaIO4/t-BuOH, and the resulting 7.beta.-ethyl-17.beta.-(6-methyl-2-heptyl)-5-oxo-A-nor-3,5-secoandrostan-3-oic acid reacted with methylamine HCl to give the title compd. 7.beta.-ethyl-4-methyl-4-azacholest-5-en-3-one. In an inhibition study using human prostatic and scalp 5.alpha.-reductases, the IC50 values of I and II were under 600 nM.

ST azacholestanone prepn reductase inhibitor; azaandrostanone prepn reductase inhibitor; cholestanone aza prepn reductase inhibitor; androstanone aza prepn reductase inhibitor

IT Keratins
RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)
(keratolytics; use in pharmaceuticals contg. steroidal 5.alpha.-reductase inhibitors)

IT Bactericides, Disinfectants, and Antiseptics
(prepn. of azacholestanones and azaandrostanones as 5.alpha.-reductase inhibitors)

IT Inflammation inhibitors
(use in pharmaceuticals contg. steroidal 5.alpha.-reductase inhibitors)

IT Acne
(vulgaris, prepn. of azacholestanones and azaandrostanones as 5.alpha.-reductase inhibitors)

IT 9081-34-9, 5.alpha.-Reductase
RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)
(inhibitors; prepn. of azacholestanones and azaandrostanones as 5.alpha.-reductase inhibitors)

IT 1080-32-6, Diethyl benzylphosphonate 2682-86-2, Diethyl 3-pyridylmethylphosphonate 3762-25-2, Diethyl 4-methylbenzylphosphonate 16666-78-7, Propylidenetriphenylphosphorane 39225-17-7, Diethyl 4-chlorobenzylphosphonate
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of)

IT 151192-95-9P 158493-04-0P 158493-05-1P 158493-10-8P 158493-12-0P
158493-13-1P 158493-14-2P 158493-15-3P 158493-16-4P 158493-18-6P
158493-19-7P 158493-20-0P 158493-22-2P 158493-34-6P 158493-35-7P
158493-38-0P **166174-28-3P** 166174-29-4P 166174-30-7P
166174-31-8P 166174-38-5P **166174-42-1P** 166174-43-2P
166174-44-3P 166174-45-4P 166174-46-5P 166174-47-6P 166174-48-7P
166174-49-8P 166174-57-8P 166174-59-0P 166174-60-3P 166174-61-4P
166174-65-8P 166174-66-9P 166174-67-0P 166174-84-1P 166174-89-6P
166174-91-0P 166174-92-1P 166174-93-2P 166174-96-5P 166175-16-2P
166175-17-3P 166175-18-4P 166175-19-5P 166175-21-9P 166895-38-1P
166895-39-2P 166895-40-5P 166895-41-6P 166895-42-7P 178358-44-6P
178358-49-1P 178358-50-4P 178693-76-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of azacholestanones and azaandrostanones as 5.alpha.-reductase inhibitors)

IT 151192-96-0P 158493-06-2P 158493-07-3P 158493-09-5P 158493-11-9P

158493-17-5P 158493-21-1P 158493-23-3P 158493-24-4P 158493-25-5P
158493-26-6P 158493-32-4P 158493-37-9P 166174-32-9P 166174-34-1P
166174-35-2P 166174-36-3P 166174-39-6P 166174-50-1P 166174-51-2P
166174-52-3P 166174-53-4P 166174-54-5P 166174-55-6P 166174-58-9P
166174-62-5P 166174-68-1P 166174-69-2P 166174-70-5P 166174-71-6P
166174-72-7P 166174-73-8P 166174-74-9P 166174-75-0P 166174-76-1P
166174-77-2P 166174-78-3P 166174-79-4P 166174-80-7P 166174-81-8P
166174-82-9P 166174-85-2P 166174-86-3P 166174-90-9P 166174-94-3P
166174-95-4P 166174-97-6P 166174-98-7P 166174-99-8P 166175-00-4P
166175-02-6P 166175-20-8P 166175-22-0P 166175-23-1P 166175-24-2P
166175-26-4P 166175-27-5P 166175-28-6P 166175-29-7P 166895-43-8P
178249-54-2P 178358-45-7P 178358-46-8P 178693-74-8P
178693-78-2P 178898-90-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of azacholestanones and azaandrostanones as 5.alpha.-reductase inhibitors)

IT 62-23-7, 4-Nitrobenzoic acid 74-88-4, Iodomethane, reactions 75-03-6, Iodoethane 75-11-6, Diiodomethane 75-16-1, Methylmagnesium bromide 75-36-5, Acetyl chloride 98-59-9, Tosyl chloride 98-88-4, Benzoyl chloride 100-39-0, Benzyl bromide 106-95-6, Allyl bromide, reactions 107-08-4, 1-Iodopropane 352-33-0, 1-Fluoro-4-chlorobenzene 402-44-8, 1-Fluoro-4-(trifluoromethyl)benzene 452-73-3 540-36-3, 1,4-DiFluorobenzene 593-51-1, Methylamine hydrochloride 604-35-3, Cholesteryl acetate 809-51-8 870-63-3, 3,3-Dimethylallyl bromide 930-69-8 1194-02-1, p-Fluorobenzonitrile 1730-25-2, Allylmagnesium bromide 2386-64-3, Ethylmagnesium chloride 3173-56-6, Benzyl isocyanate 3887-61-4 5758-88-3 7143-01-3, Methanesulfonic acid anhydride 10486-08-5 18803-44-6 19488-09-6 **86284-03-9**
98946-18-0 166174-83-0 166174-88-5 178693-75-9 178693-77-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of azacholestanones and azaandrostanones as 5.alpha.-reductase inhibitors)

IT 149280-70-6P 149280-76-2P 158493-08-4P 158493-39-1P 158493-40-4P
158493-41-5P 158493-42-6P 158493-43-7P 158493-44-8P 158493-45-9P
158493-46-0P 158493-47-1P 158493-49-3P 158493-50-6P 158493-51-7P
158493-52-8P 158569-27-8P **166174-26-1P** **166174-27-2P**
166174-33-0P 166174-37-4P **166174-41-0P** 166174-56-7P
166174-63-6P 166174-64-7P 166895-37-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of azacholestanones and azaandrostanones as 5.alpha.-reductase inhibitors)

IT **158938-58-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of azacholestanones and azaandrostanones as 5.alpha.-reductase inhibitors)

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AN 1967:44440 CAPLUS
DN 66:44440
TI Effect of azasteroids on gram-positive **bacteria**
AU Varricchio, Frederick; Doorenbos, Norman J.; Stevens, Audrey
CS Sch. of Med., Univ. of Maryland, Baltimore, MD, USA
SO Journal of Bacteriology (1967), 93(2), 627-35
CODEN: JOBAAY; ISSN: 0021-9193
DT Journal
LA English
CC 8 (Microbial Biochemistry)
AB A group of N-contg. steroids of closely related structure was screened for **antibacterial** activity, by use of **Bacillus** subtilis and Sarcina lutea as the test organisms. The most active compds. were cholesterol derivs. contg. a tertiary or quaternary N in, or attached to, the A ring. Similar methyltestosterone or progesterone derivs. were inactive. All of the cholesterol derivs. that inhibited growth were surfactant, and, structurally, they would be classified as cationic detergents. Some of the inactive compds. were surfactant, but, structurally, they would be classified as nonionic detergents. Certain features of the **antibacterial** activity of one of the active steroids, i.e., ND 212 (4-dimethylaminoethyl-4-aza-5-cholesten-3-one methiodide), were studied. Growth of a culture of B. subtilis contg. 5 .times. 107 cells/ml. was inhibited by 1 .mu.g./ml. (1.7 .times. 10-6M) of ND 212. The amt. of growth inhibition was directly related to both cell and steroid concn. Loss of viability was rapid and irreversible. With B. subtilis, cell lysis was observed. With S. lutea grown in glucose-14C, ND 212 caused release into the media of up to 25% of the cellular radioactivity. Extensive leakage occurred before loss of viability was observed. At bacteriostatic azasteroid concns., there was little leakage. ND 212 was readily bound in large amts. to B. subtilis cells. Inactive azasteroids were bound poorly. Cholestanone-14C was also bound, whereas methyltestosterone-14C and progesterone-14C were not bound in significant amts. At least 50% of the bound cholestanone-14C was assocd. with the membrane fraction. 25 references.
ST AZASTEROIDS **ANTIBACTERIAL**; **ANTIBACTERIAL** AZASTEROIDS; STEROIDS SURFACTANTS **ANTIBACTERIAL**; CHOLESTENONES **ANTIBACTERIAL**
IT Azasteroids
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal action of)
IT Bactericidal action
(of azasteroids)
IT **Bacillus**
(subtilis, azasteroid absorption by)
IT 2696-51-7 2931-63-7 3899-45-4 4321-99-7 5758-88-3 10121-88-7 10169-13-8 10236-65-4 14124-56-2 14124-57-3 14124-58-4 14124-60-8 14124-61-9 15262-51-8 15262-52-9 **15262-54-1** 15262-57-4 15262-65-4 15262-66-5 15904-68-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal action of)

=>

AN 1963:410815 CAPLUS
DN 59:10815
OREF 59:1994c-d
TI Antimicrobial action of nitrogen-containing steroids
AU Smith, Rodney F.; Shay, Donald E.; Doorenbos, Norman J.
CS Univ. of Maryland, Baltimore
SO Journal of Bacteriology (1963), 85, 1295-9
CODEN: JOBAAY; ISSN: 0021-9193
DT Journal
LA Unavailable
CC 62 (Microbial Biochemistry)
AB A new group of 16 synthetic N-contg. steroids have been tested against a variety of microorganisms for antimicrobial properties. The gradient plate screening method, serial diln., and dry wt. techniques were used in the studies. The organisms tested consisted of 14 **gram**-neg. **bacteria**, 10 **gram**-pos. **bacteria**, 2 actinomycetes, 7 yeasts, and 8 molds. Inhibitory properties were found to be specific and potent in 4 compds., with inhibitory concns. as low as 0.37 .gamma./ml. Three of the active steroids are 4-azacholestanes and one is a 4-nor-3,5-secocholestane amide. Sensitivity to the compds. was greatest in the **gram**-pos. **bacteria**, followed by the yeasts and molds. The **gram**-neg. **bacteria** were not inhibited. All 16 steroids interfered to some extent with pigmentation in Serratia marcescens but not with pigment production in Pseudomonas aeruginosa. In a few instances, some of the molds were stimulated by the steroids at a concn. of 250 .gamma./ml.
IT Steroids
(nitrogen-contg., bactericidal action of)
IT Bactericidal action or Bacteriostatic action
(of steroids (N-contg.))
IT Bactericides, Disinfectants and Antiseptics
(steroids (N-contg.) as)
IT 1H-Benz[e]indene-6-propionamide, 3-(1,5-dimethylhexyl)dodecahydro-N-(2-hydroxyethyl)-3a,5b-dimethyl-7-oxo-
3-Aza-A-homo-5.alpha.-androstan-4-one, 17.beta.-acetamido-
4-Azonia-5.alpha.-cholestane compounds, 3.beta.-benzyl-4,4-dimethyl-
5.alpha.-Androst-2-eno[3,2-b]thiazol-17.beta.-ol, 2'-amino-17-methyl-
Spiro[benzothiazoline-2,2'(1'H)-dicyclopenta[a,f]naphthalene],
6'-(1,5-dimethylhexyl)-3',3'a,3'b,4',5',5'a,6',7',8',8'a,8'b,9',10',10'a-tetradecahydro-3'a,5'a-dimethyl-
(bactericidal action of)
IT **1865-62-9**, Androst-4-en-3-one, 17.beta.-acetamido- 2102-24-1,
4-Azapregn-5-en-3-one, 20.beta.-hydroxy- 4379-76-4, 4-Azapregn-5-ene-3,20-dione, 4-(2-hydroxyethyl)- 5089-86-1, 4-Aza-5.alpha.-cholestane, 3.beta.,4-dimethyl- 5457-79-4, 5.alpha.-Cholestan-3.alpha.-amine, hydrochloride 5758-90-7, 4-Aza-5.alpha.-cholestane, 3.beta.-benzyl-4-methyl- 10062-39-2, 3-Aza-A-homocholest-4a-en-4-one 15262-52-9, Ammonium, diethyl[2-(17.beta.-hydroxy-17-methyl-3-oxo-4-azaandrost-5-en-4-yl)ethyl]methyl, iodide 95044-25-0, Pregn-4-en-3-one, 20.beta.-hydroxy-, oxime 96290-48-1, 5.alpha.-Cholestan-3.beta.-amine, hydrochloride 100271-49-6, 1H-Cyclopenta[7,8]phenanthro[2,3-d]thiazol-1-ol, 8-amino-2,3,3a,3b,4,5,5a,6,10,10a,10b,11,12,12a-tetradecahydro-1,10a,12a-trimethyl- 100576-74-7, Cyclopenta[5,6]naphth[1,2-d]azepin-2(1H)-one, 8-acetamido-3,4,5,5a,5b,6,7,7a,8,9,10,10a,10b,11,12,12a-hexadecahydro-5a,7a-dimethyl- 103713-41-3, 3,5-Seco-A-norcholestan-3-amide, N-(2-hydroxyethyl)-5-oxo-
(bactericidal action of)
IT 217-04-9, Dicyclopenta[a,f]naphthalene
(spiro derivs., bactericidal action of)
IT 219-14-7, 2H-Indeno[5,4-f]quinoline
(steroid derivs., bactericidal action of)

AN 1963:410815 CAPLUS
DN 59:10815
OREF 59:1994c-d
TI Antimicrobial action of nitrogen-containing steroids
AU Smith, Rodney F.; Shay, Donald E.; Doorenbos, Norman J.
CS Univ. of Maryland, Baltimore
SO Journal of Bacteriology (1963), 85, 1295-9
CODEN: JOBAAY; ISSN: 0021-9193
DT Journal
LA Unavailable
CC 62 (Microbial Biochemistry)
AB A new group of 16 synthetic N-contg. steroids have been tested against a variety of microorganisms for antimicrobial properties. The gradient plate screening method, serial diln., and dry wt. techniques were used in the studies. The organisms tested consisted of 14 gram-neg. **bacteria**, 10 gram-pos. **bacteria**, 2 actinomycetes, 7 yeasts, and 8 molds. Inhibitory properties were found to be specific and potent in 4 compds., with inhibitory concns. as low as 0.37 .gamma./ml. Three of the active steroids are 4-azacholestanes and one is a 4-nor-3,5-secocholestane amide. Sensitivity to the compds. was greatest in the gram-pos. **bacteria**, followed by the yeasts and molds. The gram-neg. **bacteria** were not inhibited. All 16 steroids interfered to some extent with pigmentation in Serratia marcescens but not with pigment production in Pseudomonas aeruginosa. In a few instances, some of the molds were stimulated by the steroids at a concn. of 250 .gamma./ml.
IT Steroids
(nitrogen-contg., bactericidal action of)
IT Bactericidal action or Bacteriostatic action
(of steroids (N-contg.))
IT Bactericides, Disinfectants and Antiseptics
(steroids (N-contg.) as)
IT 1H-Benz[e]indene-6-propionamide, 3-(1,5-dimethylhexyl)dodecahydro-N-(2-hydroxyethyl)-3a,5b-dimethyl-7-oxo-
3-Aza-A-homo-5.alpha.-androstan-4-one, 17.beta.-acetamido-
4-Azonia-5.alpha.-cholestane compounds, 3.beta.-benzyl-4,4-dimethyl-
5.alpha.-Androst-2-eno[3,2-b]thiazol-17.beta.-ol, 2'-amino-17-methyl-
Spiro[benzothiazoline-2,2'(1'H)-dicyclopenta[a,f]naphthalene],
6'-(1,5-dimethylhexyl)-3',3'a,3'b,4',5',5'a,6',7',8',8'a,8'b,9',10',10'a-tetradecahydro-3'a,5'a-dimethyl-
(bactericidal action of)
IT **1865-62-9**, Androst-4-en-3-one, 17.beta.-acetamido- 2102-24-1,
4-Azapregn-5-en-3-one, 20.beta.-hydroxy- 4379-76-4, 4-Azapregn-5-ene-3,20-dione, 4-(2-hydroxyethyl)- 5089-86-1, 4-Aza-5.alpha.-cholestane,
3.beta.,4-dimethyl- 5457-79-4, 5.alpha.-Cholestan-3.alpha.-**amine**
, hydrochloride 5758-90-7, 4-Aza-5.alpha.-cholestane,
3.beta.-benzyl-4-methyl- 10062-39-2, 3-Aza-A-homocholest-4a-en-4-one
15262-52-9, Ammonium, diethyl[2-(17.beta.-hydroxy-17-methyl-3-oxo-4-azaandrost-5-en-4-yl)ethyl]methyl, iodide 95044-25-0, Pregn-4-en-3-one,
20.beta.-hydroxy-, oxime 96290-48-1, 5.alpha.-Cholestan-3.beta.-**amine**, hydrochloride 100271-49-6, 1H-
Cyclopenta[7,8]phenanthro[2,3-d]thiazol-1-ol, 8-amino-
2,3,3a,3b,4,5,5a,6,10,10a,10b,11,12,12a-tetradecahydro-1,10a,12a-trimethyl-
100576-74-7, Cyclopenta[5,6]naphth[1,2-d]azepin-2(1H)-one,
8-acetamido-3,4,5,5a,5b,6,7,7a,8,9,10,10a,10b,11,12,12a-hexadecahydro-5a,7a-dimethyl- 103713-41-3, 3,5-Seco-A-norcholestan-3-amide,
N-(2-hydroxyethyl)-5-oxo-
(bactericidal action of)
IT 217-04-9, Dicyclopenta[a,f]naphthalene
(spiro derivs., bactericidal action of)
IT 219-14-7, 2H-Indeno[5,4-f]quinoline
(steroid derivs., bactericidal action of)

L13 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1950:41152 CAPLUS
DN 44:41152
OREF 44:7934c-e
TI Effect of vitamins and hormones (particularly vitamin K) on the growth of **bacteria** and pathogenic fungi
AU Nekam, Louis; Polgar, Pierre
CS Univ., Budapest, Hung.
SO Acta Dermato-Venereologica (1950), 30, 200-5
CODEN: ADVEA4; ISSN: 0001-5555
DT Journal
LA French
CC 11C (Biological Chemistry: Microbiology)
AB Solns. or emulsions of vitamins A, E, F, B1, B6, rutin, and diiodotyrosine and glanduatin in concns. of 0.05-0.5% have no effect on the growth of Trichophyton crateriform (I) and Staphylococcus aureus (II). Vitamin D2, folic acid and pantothenic acid increase growth. Estrone, metrokrin, p-aminobenzoic acid, and nicotinamide retard while androsterone, testosterone, vitamin C, and especially vitamin K arrest growth. The effect is independent of pH for the hormones. The inhibitory effect of the vitamins decreases with increasing pH between 4.49 (nicotinic acid) and 6.46 (pantothenic acid), except for vitamins B1 and B6 which increase growth at relatively low pH.
IT **Bacteria**
Fungi
(effect of hormones and vitamins on)
IT Hormones
Vitamins
(effect on **bacteria** and pathogenic fungi)
IT Estrogenic hormones or principles
(metrokrin, effect on growth of **bacteria** and pathogenic fungi)
IT Vitamin, K (antihemorrhagic)
(effect of, on **bacteria** and pathogenic fungi)
IT Benzoic acid, p-**amino**-, 3-dimethylamino-1,2-dimethylpropyl ester
Vitamin, D2 (calciferol)
(effect on **bacteria** and pathogenic fungi)
IT 50-81-7, Vitamin, C 53-16-7, Estrone **53-41-8**, Androsterone
58-22-0, Testosterone 59-30-3, Folic acid 79-83-4, Pantothenic acid
98-92-0, Nicotinamide
(effect on **bacteria** and pathogenic fungi)

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AN 1969:502104 CAPLUS
DN 71:102104
TI Synthesis and **antibacterial** activity of acid and basic A-nor-androstane derivatives
AU Rufer, Clemens
CS Hauptlab., Schering A.-G., Berlin, Fed. Rep. Ger.
SO Justus Liebigs Annalen der Chemie (1969), 726, 145-51
CODEN: JLACBF; ISSN: 0075-4617
DT Journal
LA German
CC 32 (Steroids)
AB Four A-norandrostane derivs. with basic side chains of various length at C-10, 3-**amino**-3,5-seco-A-norandrostan-17.beta.-ol (HCl salt m. 269-71.degree.),2-**amino**-2,5-seco-A-dinorandrostan-17.beta.-ol (m. 144-5.degree.), 1-**amino**-1,5-seco-A-trinorandrostan-17.beta.-ol (I) (m. 125-7.degree.), and 17.beta.-hydroxy-2,5-seco-A-dinorandrostan-2-ylguanidinium acetate (m. 100-6.degree.), were prepd. by standard synthetic methods and examd. for **antibacterial** activity against Mycobacterium tuberculosis, Battey **bacillus**, M. avium. and M. kasasii in vitro. With the exception of I, these compds. exhibited moderate activity against mycobacteria, but were generally less active than isonicotinic acid hydrazide or streptomycin.
ST steroid derivs synthesis; synthesis steroid derivs; **antibacterial** seco nor androstanes; seco nor androstanes **antibacterial**; nor seco androstanes **antibacterial**; androstanes seco nor **antibacterial**
IT 1,5-Seco-A-trinorsteroids
2,5-Seco-A-dinorsteroids
3,5-Seco-A-norsteroids
IT A-Norsteroids
(**amino** or carboxy derivs., **antibacterial** activity of)
IT Bactericidal action
(of A-norandrostane derivs.)
IT 22711-98-4P 22711-99-5P 22712-00-1P 24124-78-5P 24124-82-1P 24124-83-2P 24124-84-3P 24124-85-4P 24124-86-5P 24124-87-6P **24124-88-7P 24124-89-8P** 24124-90-1P 24124-91-2P 24160-07-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

=>

AN 1976:587179 CAPLUS
DN 85:187179
TI Structure-function activity of azasterols and nitrogen-containing steroids
AU Kabara, Jon J.; Holzschu, Donald L.; Catsoulacos, Demokritos P.
CS Dep. Biomech., Michigan State Univ., East Lansing, MI, USA
SO Lipids (1976), 11(10), 755-62
CODEN: LPDSAP; ISSN: 0024-4201
DT Journal
LA English
CC 3-2 (Biochemical Interactions)
AB Thirty-nine nitrogen-contg. steroids were tested against 2 gram-neg., 5 gram-pos., and 2 yeast organisms. Although low minimal inhibitory concn. (MIC) values were recorded for sterol producing yeast, growth of **bacteria** which contain no sterols was also inhibited. Structure-function studies provided no relation between biol. activity and hypocholesteremic effects of these azasteroids. **Amino** and azasteroids may be membrane effectors which, in the case of mitochondria, lead to changes in adenosine triphosphate levels and(or) dehydrogenase activity. Their effects on sterol metab., therefore, may be of secondary consideration.
ST azasterol antimicrobial structure activity; nitrogen steroid antimicrobial; bactericide nitrogen steroid
IT Molecular structure-biological activity relationship
(antimicrobial, of nitrogen-contg. steroids)
IT Azasteroids
RL: BIOL (Biological study)
(hydroxy, antimicrobial activity of)
IT Bactericides, Disinfectants and Antiseptics
Fungicides and Fungistats
(nitrogen-contg. steroids as)
IT Steroids, biological studies
RL: BIOL (Biological study)
(nitrogen-contg., antimicrobial activity of)
IT 313-05-3 1035-62-7 1249-82-7 **1865-62-9** 1973-59-7
1973-61-1 3915-24-0 4350-66-7 5668-07-5 5953-71-9 5986-91-4
7590-98-9 28444-84-0 28767-60-4 29588-39-4 30093-16-4 35476-25-6
37106-88-0 39933-02-3 39933-05-6 57700-05-7 57700-06-8
57700-15-9 61148-03-6 61148-04-7 61148-05-8 61148-06-9
61148-07-0 61148-08-1 61148-09-2 61148-10-5 61148-11-6
61148-12-7 61148-14-9 61148-15-0 61148-16-1 61177-50-2
61255-55-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antimicrobial activity of)

=>

AN 1967:44440 CAPLUS
DN 66:44440
TI Effect of azasteroids on **gram-positive bacteria**
AU Varricchio, Frederick; Doorenbos, Norman J.; Stevens, Audrey
CS Sch. of Med., Univ. of Maryland, Baltimore, MD, USA
SO Journal of Bacteriology (1967), 93(2), 627-35
CODEN: JOBAAY; ISSN: 0021-9193
DT Journal
LA English
CC 8 (Microbial Biochemistry)
AB A group of N-contg. steroids of closely related structure was screened for **antibacterial** activity, by use of **Bacillus** subtilis and Sarcina lutea as the test organisms. The most active compds. were cholesterol derivs. contg. a tertiary or quaternary N in, or attached to, the A ring. Similar methyltestosterone or progesterone derivs. were inactive. All of the cholesterol derivs. that inhibited growth were surfactant, and, structurally, they would be classified as cationic detergents. Some of the inactive compds. were surfactant, but, structurally, they would be classified as nonionic detergents. Certain features of the **antibacterial** activity of one of the active steroids, i.e., ND 212 (4-dimethylaminoethyl-4-aza-5-cholesten-3-one methiodide), were studied. Growth of a culture of B. subtilis contg. 5 .times. 107 cells/ml. was inhibited by 1 .mu.g./ml. (1.7 .times. 10-6M) of ND 212. The amt. of growth inhibition was directly related to both cell and steroid concn. Loss of viability was rapid and irreversible. With B. subtilis, cell lysis was observed. With S. lutea grown in glucose-14C, ND 212 caused release into the media of up to 25% of the cellular radioactivity. Extensive leakage occurred before loss of viability was observed. At bacteriostatic azasteroid concns., there was little leakage. ND 212 was readily bound in large amts. to B. subtilis cells. Inactive azasteroids were bound poorly. Cholestanone-14C was also bound, whereas methyltestosterone-14C and progesterone-14C were not bound in significant amts. At least 50% of the bound cholestanone-14C was assocd. with the membrane fraction. 25 references.
ST AZASTEROIDS **ANTIBACTERIAL**; **ANTIBACTERIAL** AZASTEROIDS; STEROIDS SURFACTANTS **ANTIBACTERIAL**; CHOLESTENONES **ANTIBACTERIAL**
IT Azasteroids
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal action of)
IT Bactericidal action
(of azasteroids)
IT **Bacillus**
(subtilis, azasteroid absorption by)
IT 2696-51-7 2931-63-7 3899-45-4 4321-99-7 5758-88-3 10121-88-7 10169-13-8 10236-65-4 14124-56-2 14124-57-3 14124-58-4 14124-60-8 14124-61-9 15262-51-8 15262-52-9 **15262-54-1** 15262-57-4 15262-65-4 15262-66-5 15904-68-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal action of)

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AN 1967:44440 CAPLUS
DN 66:44440
TI Effect of azasteroids on **gram**-positive **bacteria**
AU Varricchio, Frederick; Doorenbos, Norman J.; Stevens, Audrey
CS Sch. of Med., Univ. of Maryland, Baltimore, MD, USA
SO Journal of Bacteriology (1967), 93(2), 627-35
CODEN: JOBAAY; ISSN: 0021-9193
DT Journal
LA English
CC 8 (Microbial Biochemistry)
AB A group of N-contg. steroids of closely related structure was screened for **antibacterial** activity, by use of **Bacillus** subtilis and Sarcina lutea as the test organisms. The most active compds. were cholesterol derivs. contg. a tertiary or quaternary N in, or attached to, the A ring. Similar methyltestosterone or progesterone derivs. were inactive. All of the cholesterol derivs. that inhibited growth were surfactant, and, structurally, they would be classified as cationic detergents. Some of the inactive compds. were surfactant, but, structurally, they would be classified as nonionic detergents. Certain features of the **antibacterial** activity of one of the active steroids, i.e., ND 212 (4-dimethylaminoethyl-4-aza-5-cholesten-3-one methiodide), were studied. Growth of a culture of B. subtilis contg. 5 .times. 107 cells/ml. was inhibited by 1 .mu.g./ml. (1.7 .times. 10-6M) of ND 212. The amt. of growth inhibition was directly related to both cell and steroid concn. Loss of viability was rapid and irreversible. With B. subtilis, cell lysis was observed. With S. lutea grown in glucose-14C, ND 212 caused release into the media of up to 25% of the cellular radioactivity. Extensive leakage occurred before loss of viability was observed. At bacteriostatic azasteroid concns., there was little leakage. ND 212 was readily bound in large amts. to B. subtilis cells. Inactive azasteroids were bound poorly. Cholestanone-14C was also bound, whereas methyltestosterone-14C and progesterone-14C were not bound in significant amts. At least 50% of the bound cholestanone-14C was assocd. with the membrane fraction. 25 references.
ST AZASTEROIDS **ANTIBACTERIAL**; **ANTIBACTERIAL** AZASTEROIDS; STEROIDS SURFACTANTS **ANTIBACTERIAL**; CHOLESTENONES **ANTIBACTERIAL**
IT Azasteroids
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal action of)
IT Bactericidal action
(of azasteroids)
IT **Bacillus**
(subtilis, azasteroid absorption by)
IT 2696-51-7 2931-63-7 3899-45-4 4321-99-7 5758-88-3 10121-88-7 10169-13-8 10236-65-4 14124-56-2 14124-57-3 14124-58-4 14124-60-8 14124-61-9 15262-51-8 15262-52-9 **15262-54-1** 15262-57-4 15262-65-4 15262-66-5 15904-68-4
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(bactericidal action of)

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